http://www.cas.org/support/stngen/stndoc/properties.html

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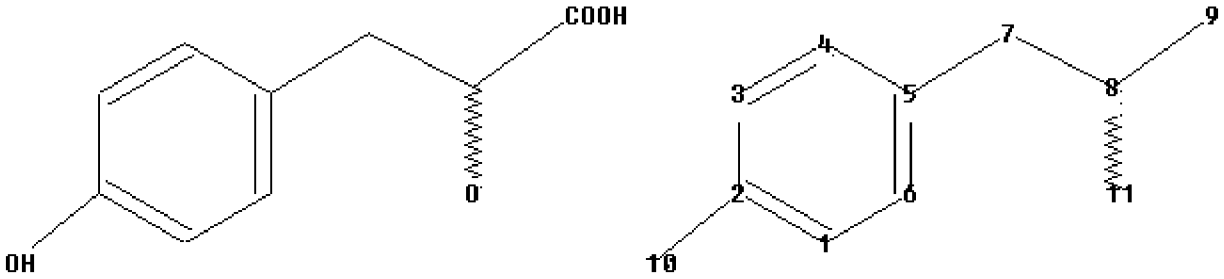
Uploading C:\Program Files\Stnexp\Queries\10578744.str



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7 8 9 10 11
ring nodes :
1 2 3 4 5 6
chain bonds :
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ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact bonds :
2-10 5-7 7-8 8-9 8-11
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS

L6 STRUCTURE UPLOADED

=> d l6
L6 HAS NO ANSWERS
L6 STR
/ Structure 1 in file .gra /

Structure attributes must be viewed using STN Express query preparation.

=> s l6 fam sam
SAMPLE SEARCH INITIATED 09:34:00 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 139 TO ITERATE

100.0% PROCESSED 139 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2073 TO 3487
PROJECTED ANSWERS: 1 TO 80

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L7            1 SEA FAM SAM L6

=> s l6 fam full
FULL SEARCH INITIATED 09:34:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     3328 TO ITERATE

100.0% PROCESSED     3328 ITERATIONS                              16 ANSWERS
SEARCH TIME: 00.00.01

L8           16 SEA FAM FUL L6

=> s l6 sss sam
SAMPLE SEARCH INITIATED 09:34:53 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      597 TO ITERATE

100.0% PROCESSED      597 ITERATIONS                              26 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        10475 TO    13405
PROJECTED ANSWERS:             215 TO      825

L9           26 SEA SSS SAM L6

=> s l6 sss full
FULL SEARCH INITIATED 09:35:06 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    12087 TO ITERATE

100.0% PROCESSED    12087 ITERATIONS                             412 ANSWERS
SEARCH TIME: 00.00.01

L10         412 SEA SSS FUL L6

=> d scan

L14          26 SEA SSS SAM L12

=> s l12 sss full
FULL SEARCH INITIATED 09:42:14 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    12087 TO ITERATE

100.0% PROCESSED    12087 ITERATIONS                             412 ANSWERS
SEARCH TIME: 00.00.01

L15         412 SEA SSS FUL L12

=> d scan

L15  412 ANSWERS   REGISTRY  COPYRIGHT 2009 ACS on STN
IN   D-Tyrosine, β-ethyl-α-[(4-fluorobenzoyl)oxy]-3-hydroxy-
MF   C18 H18 F N O


=> s l15/prep
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       4705416 PREP/RL
L16         617 L15/PREP
                (L15 (L) PREP/RL)
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       4502889 AY<2003
       3971612 PRY<2003
L17         263 L16 AND (PY<2003 OR AY<2003 OR PRY<2003)

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            19 'CHIRALS'
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                  ('CHIRAL' OR 'CHIRALS')
        827404 'CATALYST'
        823540 'CATALYSTS'
       1060120 'CATALYST'
                  ('CATALYST' OR 'CATALYSTS')
          2138 'CHIRAL CATALYST'
                  ('CHIRAL'(W)'CATALYST')
L18            0 L17 AND 'CHIRAL CATALYST'

=> s l17 and asymmetric hydrogenation
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            31 ASYMMETRICS
         76835 ASYMMETRIC
                  (ASYMMETRIC OR ASYMMETRICS)
        147804 ASYM
             6 ASYMS
        147807 ASYM
                  (ASYM OR ASYMS)
        171488 ASYMMETRIC
                  (ASYMMETRIC OR ASYM)
        184214 HYDROGENATION
          2478 HYDROGENATIONS
        184475 HYDROGENATION
                  (HYDROGENATION OR HYDROGENATIONS)
          4061 ASYMMETRIC HYDROGENATION
                  (ASYMMETRIC(W)HYDROGENATION)
L19            0 L17 AND ASYMMETRIC HYDROGENATION

=> s l17 and catalyst
        827404 CATALYST
        823540 CATALYSTS
       1060120 CATALYST
                  (CATALYST OR CATALYSTS)
L20            7 L17 AND CATALYST

=> d l20 ibib abs 1-7

L20  ANSWER 1 OF 7  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         2003:22888  CAPLUS  Full-text
DOCUMENT NUMBER:          138:73085
TITLE:                    Preparation of 3-aryl-α-oxy substituted
                          propanoic acids for treatment of type II diabetes and
                          related disorders
INVENTOR(S):              Potlapally, Rajender Kumar; Velagala, Venkata Rama
                          Murali Krishna Reddy; Mamillapalli, Ramabhadra Sarma;
                          Gaddam, Om Reddy
PATENT ASSIGNEE(S):       Reddy's Research Foundation, India
SOURCE:                   PCT Int. Appl., 54 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
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LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003002575	A1	20030109	WO 2001-IN124	20010628 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001277663	A1	20030303	AU 2001-277663	20010628 <--
JP 2004530728	T	20041007	JP 2003-508956	20010628 <--
US 20040248849	A1	20041209	US 2004-481735	20040728 <--

PRIORITY APPLN. INFO.: WO 2001-IN124 W 20010628 <--
OTHER SOURCE(S): CASREACT 138:73085; MARPAT 138:73085
GI

/ Structure 28 in file .gra /

AB 3-Aryl-α-oxy substituted propanoic acids [I; wherein R1 = tert-butyldimethylsilyl, trimethylsilyl, alkoxyalkyl; R2 = H, (substituted)(C1-C6)alkyl] were prepared For example, Me tert-butyldimethylsilyloxy-3-(4-hydroxyphenyl)propanoate (II) was prepared in three steps. The prepared compds. are useful for treating diabetes, obesity, glucose intolerance, insulin resistance and other related disorders such as hypertension, coronary heart disease, atherosclerosis, stroke, peripheral vascular diseases and related disorders (no data). The prepared compds. are also useful for reducing total cholesterol, body weight, blood plasma glucose, triglycerides, LDL, VLDL and free fatty acids (no data).
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:620035 CAPLUS Full-text
DOCUMENT NUMBER: 138:89669
TITLE: Efficient synthesis of antihyperglycemic (S)-α-aryloxy-β-phenylpropionic acid derivative using a bifunctional asymmetric catalyst
AUTHOR(S): Takamura, Makoto; Yanagisawa, Hiroaki; Kanai, Motomu; Shibasaki, Masakatsu
CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Sankyo Co., Ltd., Tokyo, 140-8710, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (2002), 50(8), 1118-1121
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:89669

GI

/ Structure 29 in file .gra /

AB The title acid (I) was prepared using catalytic asym. cyanosilylation as a key reaction to construct the α-oxycarboxylic acid moiety.
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:286131 CAPLUS Full-text
DOCUMENT NUMBER: 136:309765
TITLE: Preparation of optically active 2-hydroxy-3-phenylpropionitrile
INVENTOR(S): Yanagisawa, Hiroaki; Takamura, Minoru
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002114749	A	20020416	JP 2000-303538	20001003 <--
PRIORITY APPLN. INFO.:			JP 2000-303538	20001003 <--

OTHER SOURCE(S): CASREACT 136:309765; MARPAT 136:309765
AB The compds. p-R1OC6H4CH2CH(OH)CN (R1 = H, OH-protecting group) are prepared by reaction of p-R1OC6H4CH2CHO (R1 = same as above) with cyanating agents in the presence of optically active catalysts. 4-Benzyloxyphenylacetaldehyde was reacted with trimethylsilyl cyanide in the presence of Et2AlCl, (S)-3,3'-bis(diphenylphosphinoyl)-1,1'- binaphthol, and Bu3P(O) in CH2Cl2 at -40° for 53.5 h to give 116 mg (R)-3-(4-benzyloxyphenyl)-2-hydroxypropionitrile. (R)-3-(4-hydroxyphenyl)lactic acid was prepared from the compound

L20 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:784755 CAPLUS Full-text
DOCUMENT NUMBER: 132:166053
TITLE: Total syntheses of all four stereoisomers of piscidic acid via catalytic asymmetric dihydroxylation of (Z)- and (E)-trisubstituted olefins
AUTHOR(S): Toshima, Hiroaki; Saito, Masatoshi; Yoshihara, Teruhiko
CORPORATE SOURCE: Department of Bioscience and Chemistry, Faculty of Agriculture, Hokkaido University, Sapporo, 060-8589, Japan
SOURCE: Bioscience, Biotechnology, and Biochemistry (1999), 63(11), 1934-1941
CODEN: BBBIEJ; ISSN: 0916-8451
PUBLISHER: Japan Society for Bioscience, Biotechnology, and Agrochemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:166053

AB Four stereoisomers of (2S,3R)-(+)-piscidic acid were synthesized with high optical purity via Sharpless catalytic asym. dihydroxylation of (Z)- and (E)-trisubstituted olefins in 6 steps from (4-hydroxyphenyl)pyruvic acid. That is Wittig reaction of Me (4-hydroxyphenyl)pyruvate with (carbomethoxymethylene)triphenylphosphorane give (Z)- and (E)-trisubstituted olefins in a 3:1 ratio, protecting the phenolic hydroxyl group with tert-butyldimethylsilyl, then the (Z)-olefin was subjected to asym. dihydroxylation by using the chiral ligand, dihydroquinidine 1,4-anthraquinonediyl diether, gave the product with 89% e.e. Desilylation and subsequent alkaline hydrolysis gave (2S,3R)-(+)-piscidic acid with > 99% e.e. after recrystn. Use of ligand, dihydroquinine 1,4-anthraquinonediyl diether, gave (2R,3S)-(-)-piscidic acid . Asym. dihydroxylation of the (E)-olefin with phthalazine ligands (dihydroquinidine and dihydroquinine 1,4-phthalazinediyl diethers) also gave high e.e. values product, followed by the same procedure mentioned above, gave (2S,3S)-(+)-3-epi-piscidic acid and (2R,3R)-(-)-2-epi-piscidic acid resp.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:262036 CAPLUS Full-text
DOCUMENT NUMBER: 124:289000
ORIGINAL REFERENCE NO.: 124:53583a,53586a
TITLE: Hydrogenation process and catalysts for the production of phenyllactic acids from phenylpyruvic acids
INVENTOR(S): Morita, Hikari; Mori, Hiroyuki
PATENT ASSIGNEE(S): Nitto Chemical Industry Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 8 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 696566	A1	19960214	EP 1995-112540	19950809 <--
EP 696566	B1	19980610		
R: CH, DE, LI				
JP 08053394	A	19960227	JP 1994-206102	19940809 <--
JP 3394606	B2	20030407		
US 5684186	A	19971104	US 1995-511152	19950804 <--
CN 1122325	A	19960515	CN 1995-109050	19950809 <--
CN 1083422	C	20020424		

PRIORITY APPLN. INFO.: JP 1994-206102 A 19940809 <--
OTHER SOURCE(S): CASREACT 124:289000; MARPAT 124:289000
GI

/ Structure 30 in file .gra /

AB Phenyllactic acids [I; R1, R2 = H, OH, (un)branched alkyl, (un)branched alkoxy; R3 = H, (un)branched alkyl; R1R2 = methylenedioxy], useful as intermediates in the preparation of agrochems. (no data) and pharmaceuticals (no data), are prepared in high yield by the hydrogenation of phenylpyruvic acids (II) in the presence of a catalyst containing ≥1 Group VIII metal (e.g., Pd, Pt, Ni, etc.). Thus, 3-(4-hydroxyphenyl)pyruvic acid was hydrogenated in

MeOH using a Pd/C catalyst at 25°/5 kg/cm2, producing 3-(4-hydroxyphenyl)lactic acid.

L20 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1993:603784 CAPLUS Full-text
DOCUMENT NUMBER: 119:203784
ORIGINAL REFERENCE NO.: 119:36369a,36372a
TITLE: Selectivity and specificity in substrate binding to proteases: novel hydrolytic reactions catalyzed by α-chymotrypsin suspended in organic solvents with low water content and mediated by ammonium hydrogen carbonate
AUTHOR(S): Ricca, Jean Marc; Crout, David H. G.
CORPORATE SOURCE: Dep. Chem., University of Warwick, Coventry, CV4 7AL, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1993), (11), 1225-33
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 119:203784

AB α-Chymotrypsin suspended in organic solvents with low water content catalyzed hydrolytic reactions in the presence of ammonium hydrogen carbonate. Mol. modeling studies were carried out and structure-reactivity relationships were estimated by studying the hydrolysis of amino acid derivs. and analogs. The enzyme was stereoselective with respect to the hydrolysis of L-amino acid derivs., but no stereoselectivity was observed when α-hydroxy esters were used as substrates. A general procedure for the resolution of aromatic amino acid esters is given. The results are interpreted in terms of mol. modeling based on x-ray crystallog. data and literature data.

L20 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1955:84086 CAPLUS Full-text
DOCUMENT NUMBER: 49:84086
ORIGINAL REFERENCE NO.: 49:15798a-i,15799a-c
TITLE: Constituents of Cortex piscidiae erythrinae. II. Synthesis of O-methylpiscidic acid
AUTHOR(S): Buckle, A. L. J.; McGookin, Alexander; Robertson, Alexander
CORPORATE SOURCE: Univ. Liverpool, UK
SOURCE: Journal of the Chemical Society (1954) 3981-6
CODEN: JCSOA9; ISSN: 0368-1769
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB cf. C.A. 42, 4981f. Piscidic acid was shown previouslyto be (+)-p-HOC6H4CH2C(OH)(CO2H)CH(OH)CO2H (loc. cit.) and now has been confirmed by the synthesis of p-methoxybenzyltartaric acid, identical with natural O-Me piscidic acid. Several routes for the synthesis of tartaric acids of this type were examined Et α-phenylacetoacetate, b0.8 110° (2,4-dinitrophenylhydrazone, m. 94-5°), prepared by the method of Attwood, et al. (C.A. 17, 3183), with Pb(OAc)4 in HOAc, gave Et α-acetoxy-α-phenylacetoacetate, b0.2 128-30°. Similarly, from Et γ-phenylacetoacetate there resulted Et α-acetoxy-γ-phenylacetoacetate (I), b0.5 143-5°. I was obtained also from phenylacetyl bromide, Et diazoacetate, and HOAc. A mixture of I, HCN, and NaOH after 12 h. was diluted with EtOH, saturated with HCl,

refluxed 4 h. and filtered to remove NH_4Cl. The residue after evaporation of the filtrate gave Et benzyltartrate as a mixture which was separated manually into equal amts. of racemate A, m. 174-5° (diamide, 204-6°), and racemate B, m. 194-5° (diamide, m. 185-6°). Reduction of Et phenyloxaloacetate with moist Al amalgam gave Et β-phenylmalate, b0.04 131°, which after hydrolysis with KOH and purification from EtOAc gave β-phenylmalic acid, m. 150-60°. Fractional crystallization from EtOAc gave the racemic isomeride A, m. 172°, and from EtOAc-light petroleum (b.p. 60-80°) the racemic isomeride B, m. 162°. Dehydration with Ac_2O of a mixture of the isomerides gave phenylmaleic anhydride, m. 120°, which on treatment with alkali gave phenylmaleic acid (II), m. 90-2°. II with pyridine and OsO_4 in Et_2O gave phenyltartaric acid, m. 173-4°. Oxidation of citraconic acid with $NaClO_3$ and OsO_4 gave C-methyltartaric acid, m. 144-5° (m. 146°, given by Schmidt and Perkow, C.A. 45, 2412h); Me ester, m. 99-100°; diamide, m. 152-3°. The condensation of NaOEt and Et oxalate with Et β-p-methoxyphenylpropionate, gave Et α-ethoxalyl-β-p-methoxyphenylpropionate. After reduction with moist amalgam, there was isolated Et β-hydroxy-α-p-methoxybenzylsuccinate, b0.1. 75-7°. Hydrolysis with KOH gave a mixture of acids, m. about 130°. Fractional crystallization from EtOAc-light petroleum (b.p. 60-80°) gave racemate A, m. 136-7°, and racemate B, m. 125-6°. A mixture of these racemates with Ac_2O gave p-methoxybenzylidenesuccinic anhydride (III), m. 160°, which on boiling with H_2O gave p-methoxybenzylidenesuccinic acid (IV), m. and mixed m.p. 194-5° (decomposition). IV was obtained also from the condensation of anisaldehyde, Et succinate, and NaOEt. IV with Ac_2O gave III, which by the boiling MeOH-H_2SO_4 method gave Me p-methoxybenzylidenesuccinate (V), b0.5 165°. Hydrogenation with $PdCl_2$ catalyst of IV gave p-methoxybenzylsuccinic acid (VI) m. 98-101°, and of V gave Me p-methoxybenzylsuccinate, b1 156°, m. 35-7°. Distillation of VI at 180°/0.5 mm. gave the anhydride, m. 91-2°. From p-methoxybenzyl alc. with PCl_3 in Et_2O there was obtained p-methoxybenzyl chloride as an unstable oil, b25 125-7°, which on condensation with Et sodiomalonate gave Et p-methoxybenzylmalonate (VII), b0.5 145°. There was isolated from $BrCH_2CO_2Et$ and VII Et α-ethoxycarbonyl-α-p-methoxybenzylsuccinate, b0.2 166-9° which on heating with EtOH-KOH gave α-carboxy-α-p-methoxybenzylsuccinic acid, m. 157-9° (decomposition). When this was heated at 160°/25 mm. for 15 min., there was obtained VI. A stirred mixture of N-bromosuccinimide, p-methoxybenzylsuccinic anhydride, benzoyl peroxide, and CCl_4 or CS_2 as solvent, after refluxing for 12 h., evaporating the filtered mixture and extracting with EtOAc gave III. III was heated until molten, then rapidly poured on to a cold surface, the solid pulverized and refluxed with CS_2, collected and washed with more solvent and the process repeated 22 times. Evaporation of the combined CS_2 exts. left an orange semisolid which was extracted with Et_2O. The residue left on evaporation of Et_2O was extracted with light petroleum (b.p. 40-60°) and on cooling, deposited p-methoxybenzylmaleic anhydride (VIII), m. 64-5°, which on recrystn. from $CHCl_3$-light petroleum (b.p. 60-80°), m. 65-6°. VIII reverted to III on melting. Hydrolysis of VIII with H_2O gave p-methoxybenzylmaleic acid (IX), m. 120° (sintered at 117°). Addition of pyridine and OsO_4 to IX in Et_2O and the mixture kept in a closed vessel for 3 days resulted in a brown precipitate, which after collection was treated with aqueous KOH, the solution extracted with Et_2O, acidified, evaporated, the residue extracted with Et_2O in a Soxhlet apparatus 9 h. and the extract evaporated to obtain p-methoxybenzyltartaric acid (X), m. 205-7° (decomposition); brucine salt, $[\alpha]_{23.5D}$ -14.39° ± 0.6° (c 2.96, 50% EtOH). Resolution of X with brucine gave p-O-methylpiscidic acid, $[\alpha]_{23D}$ 44.01° ± 5.0° (c 1.262, H_2O), m. 169-70° (mixed m.p. with X, 173-6°); cinchonine salt, $[\alpha]_{17D}$ 139.6° (c 6.1, EtOH); brucine salt, $[\alpha]_{24D}$ -13.03° (c 2.131, 50% EtOH); Me ester, $[\alpha]_{18D}$ 78.16° (c 1.54, EtOH). The following derivs. of piscidic acid were cited: Me ester, $[\alpha]_{23D}$ 41.52° (c 1.325, H_2O); Et ester, $[\alpha]_{17.5D}$ 59.70° (c 1.551, EtOH); di-Me ester, $[\alpha]_{19D}$ 23.71° (c

6.367, EtOH); Me p-O-benzylpiscidate, [α]19D 48.73° (c 1.786, EtOH); cinchonine salt, [α]21D 146.2° (c 0.424, EtOH); (+)-N-methylphenylisopropylamine salt, m. 179°, [α]24D 12.73° (c 2.09, H2O). Reduction of p-methoxyphenylpyruvic acid in aqueous NaOH with 2% Na-Hg gave p-methoxyphenyllactic acid, m. 88°; Me ester (XI), b0.1 135°. Methylation of XI with Ag2O in MeI gave the Me ether of Me p-methoxyphenyllactate, b0.5 120°.

http://www.cas.org/legal/infopolicy.html

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L40 (         7)SEA FILE=CAPLUS SPE=ON  ABB=ON  PLU=ON  L37 AND CATALYST
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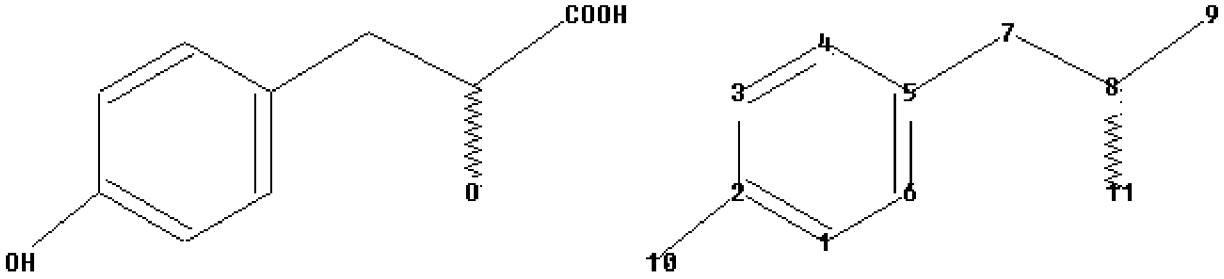


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7  8  9  10  11
ring nodes :
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chain bonds :
2-10  5-7  7-8  8-9  8-11
ring bonds :
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exact bonds :
2-10  5-7  7-8  8-9  8-11
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6
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Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  9:CLASS  10:CLASS
11:CLASS



L42     STRUCTURE UPLOADED

=> s l42 sss full
FULL SEARCH INITIATED 10:16:47 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    12087 TO ITERATE

100.0% PROCESSED    12087 ITERATIONS                              412 ANSWERS
SEARCH TIME: 00.00.01

L43         412 SEA SSS FUL L42

=> d scan

L43  412 ANSWERS   REGISTRY  COPYRIGHT 2009 ACS on STN
IN   Benzenepropanoic acid, 4-hydroxy-α-methoxy-, (αR)-(2S)-compd.
     with 2-amino-1-butanol (1:1)
MF   C10 H12 O4 . C4 H11 N O

     CM   1

Absolute stereochemistry.

/ Structure 34 in file .gra /

=> s l43/prep
          3034 L43
       4705416 PREP/RL
L44        617 L43/PREP
                (L43 (L) PREP/RL)

=> s l44 and 'chiral catalyst'
        129174 'CHIRAL'
            19 'CHIRALS'
        129179 'CHIRAL'
                ('CHIRAL' OR 'CHIRALS')
        827404 'CATALYST'
        823540 'CATALYSTS'
       1060120 'CATALYST'
                ('CATALYST' OR 'CATALYSTS')
          2138 'CHIRAL CATALYST'
                ('CHIRAL'(W)'CATALYST')
L45           0 L44 AND 'CHIRAL CATALYST'

=> s l44 and "asymmetric hydrogenation'
MISMATCHED QUOTE 'AND "ASYMMETRIC'
Quotation marks (or apostrophes) must be used in pairs,
one before and one after the expression you are setting
off or masking.

=> s l44 and 'asymmetric hydrogenation'
         76804 'ASYMMETRIC'
            31 'ASYMMETRICS'
```

```
         76835 'ASYMMETRIC'
               ('ASYMMETRIC' OR 'ASYMMETRICS')
        147804 'ASYM'
             6 'ASYMS'
        147807 'ASYM'
               ('ASYM' OR 'ASYMS')
        171488 'ASYMMETRIC'
               ('ASYMMETRIC' OR 'ASYM')
        184214 'HYDROGENATION'
          2478 'HYDROGENATIONS'
        184475 'HYDROGENATION'
               ('HYDROGENATION' OR 'HYDROGENATIONS')
          4061 'ASYMMETRIC HYDROGENATION'
               ('ASYMMETRIC'(W)'HYDROGENATION')
L46          2 L44 AND 'ASYMMETRIC HYDROGENATION'

=> d l46 abs ibib 1-2

L46  ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2009 ACS on STN
AB    Chiral 2-alkoxy-3-arylpropanoic acids R2nC6H5-nCH2CH(OR1)CO2H or their alkali
      metal salts [1; R1 = (un)substituted C1-18 alkyl, C4-24 aryl, C5-18 arylalkyl;
      R2 = OH, halo, (alkyl)amino, C1-18 alkyl(oxy), C4-24 aryl, C5-18 arylalkyl,
      C1-18 alkylsulfonyl(amino), acyl(amino), acyloxy, preferably R2 = OH; n = 1-5,
      preferably n = 1], useful as peroxisome proliferator activated receptors
      (PPAR) agonists, were prepared by an improved process comprising transition
      metal-catalyzed asym. hydrogenation of the corresponding cinnamic acids
      R2nC6H5-nCH:C(OR1)CO2H (2; same R, n) in the presence of at least one protic
      solvent.  Compds. 2 were preferably prepared by Perkin condensation of
      benzaldehydes R2nC6H5-nCHO (3; same R2, n) with 2-alkoxyacetates R1OCH2CO2R3
      (4; same R1; R3 = H, C1-18 alkyl, preferably C1-6 alkyl).  In an example,
      sodium 4-hydroxy-α-methoxybenzenepropanoate (αS)-4-HOC6H4CH2CH(OMe)CO2Na was
      prepared in 53% yield and 92% ee by asym. hydrogenation of 200.0 mmol of (2Z)-
      4-HOC6H4CH:C(OMe)CO2H catalyzed by 0.5 mmol of [Ir(COD)Cl]2 and 1.0 mmol of
      (S,S)-2,4-bis(diphenylphosphino)pentane in 240 mL of iso-Pr acetate and 60 mL
      of MeOH for 24 h at 65° and 3 atm of H2.
ACCESSION NUMBER:         2007:696717  CAPLUS  Full-text
DOCUMENT NUMBER:          147:95305
TITLE:                    Process for the preparation of enantiomer-enriched
                          2-alkoxy-3-arylpropionic acids by asymmetric
                          hydrogenation of substituted 2-alkoxycinnamic
                          acids
INVENTOR(S):              Woltering, Michael; Bunlaksananusorn, Tanasri;
                          Gerlach, Arne
PATENT ASSIGNEE(S):       Saltigo G.m.b.H., Germany
SOURCE:                   Eur. Pat. Appl., 16pp.
                          CODEN: EPXXDW
DOCUMENT TYPE:            Patent
LANGUAGE:                 German
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     ---------------     ----   --------    --------------------   --------
     EP 1801093           A1    20070627    EP 2006-25546          20061211
         R:  AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
             BA, HR, MK, YU
     DE 102005061472      A1    20070705    DE 2005-102005061472   20051222
     US 20070149804       A1    20070628    US 2006-635302         20061207
     US 7429676           B2    20080930
```

CN 1986516	A	20070627	CN 2006-10168677		20061222
PRIORITY APPLN. INFO.:			DE 2005-102005061472A		20051222

OTHER SOURCE(S): CASREACT 147:95305; MARPAT 147:95305
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
GI

/ Structure 37 in file .gra /

AB Title compds. (I; R2 = alkyl; R5-R8 = H, substituent) and salts thereof were prepared by reaction of benzaldehydes (II; R1 = protective group; R5-R8 as defined above) with R2OCH2CO2R3 (R3 = hydrocarbyl; R2 as defined above), hydrolysis of the resulting cinnamate esters to give cinnamic acids, asym. hydrogenation, and O-deprotection. Thus, a mixture of 4-benzyloxybenzaldehyde, Me methoxyacetate, and NaOMe was refluxed 5 h in MeOH to give 80% Me 3-(4-benzyloxyphenyl)-2-methoxyacrylate. This was refluxed 2 h with 1N NaOH in MeOH to give 85% 3-(4-benzyloxyphenyl)-2-methoxyacrylic acid Na salt. The latter was hydrogenated in MeOH over [Ru(p-cymene)[(S)-dm-segphos]]Cl in MeOH at 5 MPa and 60° for 16 h to give Na 3-(4-hydroxyphenyl)-2-methoxypropionate in 20% yield and 92.9% enantiomeric excess.

ACCESSION NUMBER: 2005:490344 CAPLUS Full-text
DOCUMENT NUMBER: 143:43684
TITLE: Process for preparation of optically active 3-(4-hydroxyphenyl)propionic acids by reaction of protected 4-hydroxybenzaldehydes and glycolic acid derivatives to give cinnamates and asymmetric hydrogenation of the latter.
INVENTOR(S): Yokozawa, Tohru; Shimizu, Hideo; Fujiwara, Takahiro; Ino, Yasunori
PATENT ASSIGNEE(S): Takasago International Corporation, Japan
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005051882	A1	20050609	WO 2004-JP17998		20041126
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
EP 1687250	A1	20060809	EP 2004-819490		20041126
R: CH, DE, ES, FR, GB, LI, IE					
JP 2007512222	T	20070517	JP 2006-520429		20041126
US 20070142472	A1	20070621	US 2006-578744		20060510
PRIORITY APPLN. INFO.:			JP 2003-398201	A	20031127

```
                                                  WO 2004-JP17998     W  20041126
OTHER SOURCE(S):         CASREACT 143:43684; MARPAT 143:43684
REFERENCE COUNT:         4     THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT


=> s l44 and  'chiral? cataly?'
        129174 'CHIRAL'
            19 'CHIRALS'
        129179 'CHIRAL'
                  ('CHIRAL' OR 'CHIRALS')
             2 'CATALY'
             0 'CHIRAL? CATALY?'
                  ('CHIRAL'(W)'CATALY')
L47          0 L44 AND  'CHIRAL? CATALY?'

=> l47 and chiral catalyst
L47 IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> s l44 and chiral catalyst
        129174 CHIRAL
            19 CHIRALS
        129179 CHIRAL
                  (CHIRAL OR CHIRALS)
        827404 CATALYST
        823540 CATALYSTS
       1060120 CATALYST
                  (CATALYST OR CATALYSTS)
          2138 CHIRAL CATALYST
                  (CHIRAL(W)CATALYST)
L48          0 L44 AND CHIRAL CATALYST

=> s l44 and chiral
        129174 CHIRAL
            19 CHIRALS
        129179 CHIRAL
                  (CHIRAL OR CHIRALS)
L49         24 L44 AND CHIRAL

=> s l24 and catalyst
            12 "TOHRU"
             5 "YOKOZAWA"
             0 "TOHRU YOKOZAWA"
                  ("TOHRU"(W)"YOKOZAWA")
        827404 CATALYST
        823540 CATALYSTS
       1060120 CATALYST
                  (CATALYST OR CATALYSTS)
L50          0 L24 AND CATALYST


=> s l44 and chiral ligand
        129174 CHIRAL
            19 CHIRALS
        129179 CHIRAL
                  (CHIRAL OR CHIRALS)
        357859 LIGAND
```

```
        243937 LIGANDS
        486682 LIGAND
                (LIGAND OR LIGANDS)
          4290 CHIRAL LIGAND
                (CHIRAL(W)LIGAND)
L56          2 L44 AND CHIRAL LIGAND

=> d l44 ibib abs 1-2

L44  ANSWER 1 OF 617  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2008:1522131  CAPLUS  Full-text
TITLE:                   Inhibitory activity of Melissa officinalis L. extract
                         on Herpes simplex virus type 2 replication
AUTHOR(S):               Mazzanti, G.; Battinelli, L.; Pompeo, C.; Serrilli, A.
                         M.; Rossi, R.; Sauzullo, I.; Mengoni, F.; Vullo, V.
CORPORATE SOURCE:        Department of Human Physiology and Pharmacology,
                         "Sapienza" University, Rome, Italy
SOURCE:                  Natural Product Research, Part B: Bioactive Natural
                         Products (2008), 22(16), 1433-1440
                         CODEN: NPRPEA
PUBLISHER:               Taylor & Francis Ltd.
DOCUMENT TYPE:           Journal
LANGUAGE:                English
AB    Melissa officinalis (Lamiaceae) (lemon balm) is used in folk medicine for
      nervous complaints, lower abdominal disorders and, more recently, for treating
      Herpes simplex lesions.  In this work the antiviral activity of a
      hydroalcoholic extract of lemon balm leaves against the Herpes simplex virus
      type 2 (HSV-2) was assessed by the cytopathic effect inhibition assay on Vero
      cells (ATCC CCL-81), in comparison with acyclovir.  The cytotoxicity of the
      extract on Vero cells was previously tested by evaluating the cellular death
      and was confirmed by the Trypan blue test.  Lemon balm showed to reduce the
      cytopathic effect of HSV-2 on Vero cells, in the range of non-toxic concns. of
      0.025-1 mg mL-1 (with reference to the starting crude herbal material).  The
      maximum inhibiting effect (60%) was obtained with 0.5 mg mL-1.  The viral
      binding assay showed that the extract does not prevent the entry of HSV-2 in
      the cells, thus suggesting a mechanism of action subsequent to the penetration
      of the virus in the cell.  The extract was also chemical characterized by NMR
      and HPLC anal.; it showed to contain cinnamic acid-like compds., mainly
      rosmarinic acid (4.1% weight/weight).  Our expts. support the use of lemon
      balm for treating Herpes simplex lesions and encourage clin. trials on this
      medicinal plant.


L44  ANSWER 2 OF 617  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2008:1433048  CAPLUS  Full-text
DOCUMENT NUMBER:         150:41243
TITLE:                   Method for preparing salvianolic acid A of Salvia
                         miltiorrhiza with salvianolic acid B
INVENTOR(S):             Li, Zhigang; Gu, Qun; Qu, Shoufeng; Mi, Changjiang;
                         Lin, Zhirong
PATENT ASSIGNEE(S):      Beijing Bencao Tianyuan Pharmaceutical Research
                         Institute, Peop. Rep. China
SOURCE:                  Faming Zhuanli Shenqing Gongkai Shuomingshu, 20pp.
                         CODEN: CNXXEV
DOCUMENT TYPE:           Patent
LANGUAGE:                Chinese
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
```

CN 101311160	A	20081126	CN 2007-10099618	20070525
PRIORITY APPLN. INFO.:			CN 2007-10099618	20070525

AB The invention relates to a method for preparing salvianolic acid A of Salvia miltiorrhiza with salvianolic acid B. The method comprises (1) dissolving salvianolic acid B in water, adjusting pH to 3.5-6, heating at 110-130°C for 1-6h, filtering, concentrating, and drying to obtain salvianolic acid A extract; or dissolving salvianolic acid B in water, adjusting pH to 3.5-6, extracting under irradiation of microwave at 915-2450 MHZ and 1000-15000 W for 0.5-2 h, filtering, concentrating, and drying to obtain salvianolic acid A extract; (2) purifying by nonpolar or weak-polar macroporous resin column chromatog., silica gel chromatog., dextran gel LH-20 chromatog., polyamide chromatog. and/or extraction

L60 STRUCTURE UPLOADED

=> s l60
SAMPLE SEARCH INITIATED 10:37:49 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 597 TO ITERATE

100.0% PROCESSED 597 ITERATIONS 26 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 10475 TO 13405
PROJECTED ANSWERS: 215 TO 825

L61 26 SEA SSS SAM L60

=> d scan

> s l61/prep
122 L61
4705416 PREP/RL
L62 50 L61/PREP
(L61 (L) PREP/RL)

=>

=> s l65/prep
3709 L65
4705416 PREP/RL
L66 1056 L65/PREP
(L65 (L) PREP/RL)

=> s l66 and (py<2003 or ay<2003 or pry<2003)
22983068 PY<2003
4502889 AY<2003
3971612 PRY<2003
L67 600 L66 AND (PY<2003 OR AY<2003 OR PRY<2003)

=> s l67 and (optical? activ?)

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       1145195 OPTICAL?
       4457524 ACTIV?
         51440 OPTICAL? ACTIV?
                 (OPTICAL?(W)ACTIV?)
L68         28 L67 AND (OPTICAL? ACTIV?)

=> s l67 and cataly?
       1500302 CATALY?
L69         52 L67 AND CATALY?


=> d l69 ibib abs 1-5

L69  ANSWER 1 OF 52  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2003:491168  CAPLUS  Full-text
DOCUMENT NUMBER:         139:69049
TITLE:                   Preparation of substituted phenylpropionic acid
                         derivatives as agonists to human peroxisome
                         proliferator-activated receptor alpha (PPAR)
INVENTOR(S):             Lindstedt Alstermark, Eva-Lotte; Olsson, Anna
                         Christina; Li, Lanna
PATENT ASSIGNEE(S):      Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE:                  PCT Int. Appl., 40 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  5
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     ---------------     ----   --------    -------------------    --------
     WO 2003051821        A1    20030626    WO 2002-GB5738         20021218 <--
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2470491           A1    20030626    CA 2002-2470491        20021218 <--
     AU 2002366315        A1    20030630    AU 2002-366315         20021218 <--
     EP 1458673           A1    20040922    EP 2002-804964         20021218 <--
     EP 1458673           B1    20060906
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
     BR 2002014988        A     20041214    BR 2002-14988          20021218 <--
     HU 2004002133        A2    20050228    HU 2004-2133           20021218 <--
     CN 1620422           A     20050525    CN 2002-828123         20021218 <--
     CN 1293044           C     20070103
     CN 1620423           A     20050525    CN 2002-828155         20021218 <--
     JP 2005526011        T     20050902    JP 2003-552709         20021218 <--
     JP 3784804           B2    20060614
     TW 253444            B     20060421    TW 2002-91136518       20021218 <--
     NZ 533276            A     20060428    NZ 2002-533276         20021218 <--
     TW 255807            B     20060601    TW 2002-91136519       20021218 <--
     AT 338743            T     20060915    AT 2002-804964         20021218 <--
     CN 1896045           A     20070117    CN 2006-10007173       20021218 <--
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ES 2271381	T3	20070416	ES 2002-804964		20021218	<--
AT 363466	T	20070615	AT 2002-788145		20021218	<--
RU 2303031	C2	20070720	RU 2004-116917		20021218	<--
ES 2286310	T3	20071201	ES 2002-788145		20021218	<--
IN 2004DN01549	A	20070817	IN 2004-DN1549		20040604	<--
ZA 2004004657	A	20050829	ZA 2004-4657		20040611	<--
ZA 2004004658	A	20060222	ZA 2004-4658		20040611	<--
MX 2004PA06004	A	20040927	MX 2004-PA6004		20040618	<--
NO 2004003023	A	20040715	NO 2004-3023		20040715	<--
US 20050282822	A1	20051222	US 2004-26806		20041230	<--
HK 1068604	A1	20070302	HK 2005-100831		20050201	<--
US 20050171204	A1	20050804	US 2005-499261		20050304	<--
JP 2005336209	A	20051208	JP 2005-235794		20050816	<--
JP 2006298924	A	20061102	JP 2006-123399		20060427	<--
PRIORITY APPLN. INFO.:			SE 2001-4334	A	20011219	<--
			CN 2002-828123	A3	20021218	<--
			JP 2003-552709	A3	20021218	<--
			JP 2003-552710	A3	20021218	<--
			WO 2002-GB5738	W	20021218	<--
			WO 2002-GB5744	A	20021218	<--
			GB 2002-29931	A	20021221	<--
			GB 2003-14079	A	20030618	
			WO 2003-GB5602	A	20031219	
			WO 2004-EP6597	A	20040617	
			US 2005-499261	A2	20050304	

OTHER SOURCE(S): MARPAT 139:69049
GI

/ Structure 43 in file .gra /

AB The S enantiomer of I, n = 1 or 2, (C6H13 = hexyl) as well as their pharmaceutically acceptable salts, solvates, crystalline forms and prodrugs are synthesized using various solvents and in presence of charcoal-supported palladium catalyst. The utility of these compds. in clin. conditions such as lipid disorders (dyslipidemias) whether or not associated with insulin resistance and therapeutic and other pharmaceutical activities is also investigated. For example, (2S)-3-(4{2-[benzyl(hexyl)amino]-2-oxoethoxy}phenyl)2-ethoxypropionic acid was prepared in 58% yield via reaction of (2S)-2-ethoxy-3-(4-hydroxyphenyl)propanoate and benzyl bromoacetate.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L69 ANSWER 2 OF 52 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:22888 CAPLUS Full-text
DOCUMENT NUMBER: 138:73085
TITLE: Preparation of 3-aryl-α-oxy substituted propanoic acids for treatment of type II diabetes and related disorders
INVENTOR(S): Potlapally, Rajender Kumar; Velagala, Venkata Rama Murali Krishna Reddy; Mamillapalli, Ramabhadra Sarma; Gaddam, Om Reddy
PATENT ASSIGNEE(S): Reddy's Research Foundation, India
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003002575	A1	20030109	WO 2001-IN124	20010628 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001277663	A1	20030303	AU 2001-277663	20010628 <--
JP 2004530728	T	20041007	JP 2003-508956	20010628 <--
US 20040248849	A1	20041209	US 2004-481735	20040728 <--

PRIORITY APPLN. INFO.: WO 2001-IN124 W 20010628 <--
OTHER SOURCE(S): CASREACT 138:73085; MARPAT 138:73085
GI

/ Structure 44 in file .gra /

AB 3-Aryl-α-oxy substituted propanoic acids [I; wherein R1 = tert-butyldimethylsilyl, trimethylsilyl, alkoxyalkyl; R2 = H, (substituted)(C1-C6)alkyl] were prepared For example, Me tert-butyldimethylsilyloxy-3-(4-hydroxyphenyl)propanoate (II) was prepared in three steps. The prepared compds. are useful for treating diabetes, obesity, glucose intolerance, insulin resistance and other related disorders such as hypertension, coronary heart disease, atherosclerosis, stroke, peripheral vascular diseases and related disorders (no data). The prepared compds. are also useful for reducing total cholesterol, body weight, blood plasma glucose, triglycerides, LDL, VLDL and free fatty acids (no data).

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L69 ANSWER 3 OF 52 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:927394 CAPLUS Full-text
DOCUMENT NUMBER: 138:4416
TITLE: Process for the preparation 3-aryl-2-hydroxypropionic acid derivatives
INVENTOR(S): Ehrl, Robert; Ioannidis, Panagiotis; Mackintosh, William
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096865	A1	20021205	WO 2002-SE1040	20020530 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,				

UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2448658	A1	20021205	CA 2002-2448658	20020530 <--
AU 2002309400	A1	20021209	AU 2002-309400	20020530 <--
NZ 529815	A	20031219	NZ 2002-529815	20020530 <--
EP 1404651	A1	20040407	EP 2002-736372	20020530 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002010125	A	20040608	BR 2002-10125	20020530 <--
JP 2004528388	T	20040916	JP 2003-500045	20020530 <--
CN 1535262	A	20041006	CN 2002-814965	20020530 <--
CN 1247537	C	20060329		
ZA 2003009216	A	20040916	ZA 2003-9216	20031126 <--
MX 2003PA11011	A	20040227	MX 2003-PA11011	20031128 <--
US 20050014955	A1	20050120	US 2004-479159	20040823 <--
PRIORITY APPLN. INFO.:			SE 2001-1979 A	20010601 <--
			SE 2002-1004 A	20020402 <--
			WO 2002-SE1040 W	20020530 <--

OTHER SOURCE(S): CASREACT 138:4416; MARPAT 138:4416

AB 2-Ethoxy-3-[4-[2-(4-methanesulfonyloxyphenyl)ethoxy]phenyl]propanoic acid (I) or its alkyl esters were prepared by etherification of 2-ethoxy-3-(4-hydroxyphenyl)propanoic acid (II) or alkyl ester with 4-MeSO3C6H4CH2CH2-X (X is a suitable leaving group) in the presence of a base and a phase transfer catalyst at 50-150°C. Thus, 4-MeSO3C6H4CH2CH2O3SMe (1.01 mol), (S)-II Et ester (406 mmol) and PEG-400 (81 mmol) were melted together at 110 °C, Na2CO3 (536 mmol) added under vigorous stirring, and the reaction continued at this temperature for 5.5 h. Saponification of the ester afforded (S)-I, a compound for therapeutic use in the Insulin Resistance Syndrome (IRS).

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L69 ANSWER 4 OF 52 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:793403 CAPLUS Full-text
DOCUMENT NUMBER: 137:310931
TITLE: Preparation of phenylalkanoic acid derivatives as preventive or remedial agents for digestive tract diseases
INVENTOR(S): Horizoe, Tatsuo; Shinoda, Masanobu; Emori, Eita; Matsuura, Fumiyoshi; Kaneko, Toshihiko; Ohi, Norihito; Kasai, Shunji; Yoshitomi, Hideki; Yamazaki, Kazuto; Miyashita, Sadakazu; Hihara, Taro; Seiki, Takashi; Clark, Richard; Harada, Hitoshi
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 344 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002080899	A1	20021017	WO 2002-JP3006	20020327 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,				

UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002242989 A1 20021021 AU 2002-242989 20020327 <--
PRIORITY APPLN. INFO.: JP 2001-101465 A 20010330 <--
JP 2001-105131 A 20010403 <--
WO 2002-JP3006 W 20020327 <--
OTHER SOURCE(S): MARPAT 137:310931
GI

/ Structure 45 in file .gra /

AB Disclosed is a preventive/remedy for digestive tract or inflammatory diseases, which contains as the active ingredient a novel carboxylic acid derivative represented by the following formula [I; R1 = H, OH, each (un)substituted C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, C1-6 hydroxyalkyl, C1-6 hydroxyalkoxy, C1-6 hydroxyalkylthio, C1-6 aminoalkyl, C1-6 aminoalkoxy, C1-6 aminoalkylthio, C2-12 alkoxyalkyl, C3-7 cycloalkyl, C3-7 cycloalkyloxy, C3-7 cycloalkylthio, C2-6 alkenyl, C2-6 alkenyloxy, or C2-6 alkenylthio, etc.; L = a single or double bond, each (un)substituted C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene; M = a single bond, each (un)substituted C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene; T = a single bond, each (un)substituted C1-3 alkylene, C2-3 alkenylene, or C2-3 alkynylene; W = 2,4-dioxothiazolidin-5-yl, 2,4-dioxothiazolidin-5-ylidene, carboxy, (un)substituted CONH2; X = O, (un)substituted C2-6 alkenylene, hydroxymethylene, CO, CS, N-(un)substituted CQNH, NHCQ, SO2NH, NHSO2, or NHCQNH (Q = O, S); Y = (un)substituted C5-12 aromatic hydrocarbyl or C3-7 aliphatic hydrocarbyl optionally containing ≥1 heteroatoms; ring Z = C5-6 aromatic hydrocarbyl; Y = (un)substituted aromatic hydrocarbon group optionally containing ≥1 heteroatoms; some provisos given], a salt of the derivative, or a hydrate of either. The above digestive tract diseases include (1) inflammatory digestive tract diseases such as ulcerous colitis, Crohn's disease, pancreatitis, and gastritis, (2) digestive tract proliferative diseases such as digestive tract benign rumors, digestive tract polyp, hereditary (genetic) polyposis syndromes, colon cancer, rectum cancer, and stomach cancer, and (3) digestive tract ulcerous diseases such as duodenal ulcer, stomach ulcer, esophagus ulcer, regurgitant esophagitis, stress ulcer or erosion, erosion caused by drugs, and Zollinger-Ellison syndromes. The above inflammatory diseases include arthritic rheumatism, multiple sclerosis, immunodeficiency, cachexia, osteoarthritis, osteoporosis, asthma, and allergy. The compds. I are triple agonists for PPAR (peroxisome proliferator-activated receptor) α, β, and γ subtype. Thus, 2-isopropoxy-3-[4-methoxy-3-[[[4-(trifluoromethyl)benzyl]amino]carbonyl]phenyl]propanoic acid in vitro showed the transcription activity for PPARα, β, and γ with EC50 of 0.08, 2.513, and 0.382 μM, resp., in CV-1 cell. (2S)-3-[3-[[(2,4-dichlorobenzoyl)amino]methyl]-4-methoxyphenyl]-2- isopropoxypropanoic acid at 1 mg/kg/day p.o. for 3 days showed a disease activity index based on diarrhea, bloody excrement, and weight loss (DAI) of 2.0±0.3 in mice suffering from colitis induced by dextran sulfate sodium salt vs. 2.8±0.2 for the control group and 2.1±0.3 for the mice treated with rosiglitazone at 30 mg/kg/day. Many compds. prepared do not possess the thiazolidine skeleton and thereby may completely avoid toxicity such as liver disorder which was noted in the past as a problem for compds. having PPARγ agonist activity.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2002:620035 CAPLUS Full-text
DOCUMENT NUMBER: 138:89669
TITLE: Efficient synthesis of antihyperglycemic (S)-α-aryloxy-β-phenylpropionic acid derivative using a bifunctional asymmetric catalyst
AUTHOR(S): Takamura, Makoto; Yanagisawa, Hiroaki; Kanai, Motomu; Shibasaki, Masakatsu
CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Sankyo Co., Ltd., Tokyo, 140-8710, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (2002), 50(8), 1118-1121
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:89669
GI

L70 STRUCTURE UPLOADED

=> s sss full l70
FULL SEARCH INITIATED 11:17:13 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 12087 TO ITERATE

100.0% PROCESSED 12087 ITERATIONS 7767 ANSWERS
SEARCH TIME: 00.00.01

L71 7767 SEA SSS FUL L70

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3709 L71
4705416 PREP/RL
L72 1056 L71/PREP
(L71 (L) PREP/RL)

=> s l72 and (py<2003 or ay<2003 or pry<2003)
22983068 PY<2003
4502889 AY<2003
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L73 600 L72 AND (PY<2003 OR AY<2003 OR PRY<2003)

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1500302 CATALY?
L74 4 L73 AND CHIRAL? AND CATALY?

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31 'ASYMMETRICS'
76835 'ASYMMETRIC'
('ASYMMETRIC' OR 'ASYMMETRICS')
147804 'ASYM'
6 'ASYMS'
147807 'ASYM'
('ASYM' OR 'ASYMS')
171488 'ASYMMETRIC'
('ASYMMETRIC' OR 'ASYM')
184214 'HYDROGENATION'

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        184475 'HYDROGENATION'
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          4061 'ASYMMETRIC HYDROGENATION'
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                 )

=> d l74 ibib abs 1-4

L74  ANSWER 1 OF 4  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         2001:836609  CAPLUS  Full-text
DOCUMENT NUMBER:          136:118291
TITLE:                    Total synthesis of biologically active compounds
                          related to plant disease and the physiological
                          function
AUTHOR(S):                Toshima, Hiroaki
CORPORATE SOURCE:         Department of Bioresource Science, College of
                          Agriculture, Ibaraki University, Ibaraki, 300-0393,
                          Japan
SOURCE:                   Yuki Gosei Kagaku Kyokaishi (2001), 59(11),
                          1121-1129
                          CODEN: YGKKAE; ISSN: 0037-9980
PUBLISHER:                Yuki Gosei Kagaku Kyokai
DOCUMENT TYPE:            Journal; General Review
LANGUAGE:                 English
AB    A review, total synthesis of biol. active compds. related to plant disease and
      the physiol. function has been accomplished.  Coronatine, its related compds.,
      β-resorcylic acid derivs., decumbic acid, aliphatic δ-lactones, cepaciamides,
      and piscidic acids, were selected as the synthetic targets.  In these
      syntheses, the chiral pool method and catalytic asym. synthesis were also
      applied to introduce the requisite stereogenic centers.  Combination of the
      two methods made it possible to synthesize a sufficient amount of the required
      enantiomers and diastereomers for biol. studies.
REFERENCE COUNT:          43    THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L74  ANSWER 2 OF 4  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         1999:784755  CAPLUS  Full-text
DOCUMENT NUMBER:          132:166053
TITLE:                    Total syntheses of all four stereoisomers of piscidic
                          acid via catalytic asymmetric
                          dihydroxylation of (Z)- and (E)-trisubstituted olefins
AUTHOR(S):                Toshima, Hiroaki; Saito, Masatoshi; Yoshihara,
                          Teruhiko
CORPORATE SOURCE:         Department of Bioscience and Chemistry, Faculty of
                          Agriculture, Hokkaido University, Sapporo, 060-8589,
                          Japan
SOURCE:                   Bioscience, Biotechnology, and Biochemistry (
                          1999), 63(11), 1934-1941
```

CODEN: BBBIEJ; ISSN: 0916-8451
PUBLISHER: Japan Society for Bioscience, Biotechnology, and Agrochemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:166053
AB Four stereoisomers of (2S,3R)-(+)-piscidic acid were synthesized with high optical purity via Sharpless catalytic asym. dihydroxylation of (Z)- and (E)-trisubstituted olefins in 6 steps from (4-hydroxyphenyl)pyruvic acid. That is Wittig reaction of Me (4-hydroxyphenyl)pyruvate with (carbomethoxymethylene)triphenylphosphorane give (Z)- and (E)-trisubstituted olefins in a 3:1 ratio, protecting the phenolic hydroxyl group with tert-butyldimethylsilyl, then the (Z)-olefin was subjected to asym. dihydroxylation by using the chiral ligand, dihydroquinidine 1,4-anthraquinonediyl diether, gave the product with 89% e.e. Desilylation and subsequent alkaline hydrolysis gave (2S,3R)-(+)-piscidic acid with > 99% e.e. after recrystn. Use of ligand, dihydroquinine 1,4-anthraquinonediyl diether, gave (2R,3S)-(-)-piscidic acid . Asym. dihydroxylation of the (E)-olefin with phthalazine ligands (dihydroquinidine and dihydroquinine 1,4-phthalazinediyl diethers) also gave high e.e. values product, followed by the same procedure mentioned above, gave (2S,3S)-(+)-3-epi-piscidic acid and (2R,3R)-(-)-2-epi-piscidic acid resp.
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L74 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:363435 CAPLUS Full-text
DOCUMENT NUMBER: 131:184794
TITLE: Total synthesis of (+)-(2S,3R)-Piscidic acid via catalytic asymmetric dihydroxylation of a trisubstituted olefin
AUTHOR(S): Toshima, Hiroaki; Saito, Masatoshi; Yoshihara, Teruhiko
CORPORATE SOURCE: Department of Bioscience and Chemistry, Faculty of Agriculture, Hokkaido University, Sapporo, 060-8589, Japan
SOURCE: Bioscience, Biotechnology, and Biochemistry (1999), 63(5), 964-967
CODEN: BBBIEJ; ISSN: 0916-8451
PUBLISHER: Japan Society for Bioscience, Biotechnology, and Agrochemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:184794
AB (+)-(2S,3R)-Piscidic acid was efficiently synthesized with high optical purity (90% e.e.) via Sharpless catalytic asym. dihydroxylation of a trisubstituted olefin in only 6 steps from com. available 4-hydroxyphenyl-pyruvic acid as the starting material. The reaction proceeded with high optical purity by using the chiral ligands, dihydroquinidine 2,5-diphenyl-4,6-pyrimidinediyl diether or dihydroquinidine 1,4-anthraquinonediyl diether.
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L74 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1992:426523 CAPLUS Full-text
DOCUMENT NUMBER: 117:26523
ORIGINAL REFERENCE NO.: 117:4791a,4794a
TITLE: Enantioselective synthesis of calcium channel blockers of the diltiazem group
AUTHOR(S): Schwartz, Alan; Madan, Pradeep B.; Mohacsi, Erno;

O'Brien, Jay P.; Todaro, Louis J.; Coffen, David L.
CORPORATE SOURCE: Roche Res. Cent., Hoffmann-La Roche Inc., Nutley, NJ, 07110, USA
SOURCE: Journal of Organic Chemistry (1992), 57(3), 851-6
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 117:26523
GI

/ Structure 47 in file .gra /

AB A lipase-catalyzed kinetic resolution of racemic trans-2-phenylcyclohexanol readily provides the (-)-(1R,2S) enantiomer. This alc. is employed as its chloroacetate in a chiral auxiliary-induced asym. Darzens glycidic ester condensation with p-anisaldehyde. Crystallization of the Darzens product affords enantiomerically pure phenylcyclohexyl (methoxyphenyl)glycidate I, the structure of which was established by x-ray crystallog. The use of this glycidic ester in syntheses of diltiazem II (R = R1 = H) and maltiazem II [RR1 = (CH:CH)2], members of the diltiazem group of calcium channel blockers, provides these drug substances directly in enantiomerically pure form.

=> d l73 ibib abs 1-5

L73 ANSWER 1 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:994060 CAPLUS Full-text
DOCUMENT NUMBER: 149:306485
TITLE: Di-m-Chlorobis[Bis-(cyclooctene)rhodium]
AUTHOR(S): Judd, Andrew S.
CORPORATE SOURCE: USA
SOURCE: e-EROS Encyclopedia of Reagents for Organic Synthesis (2001), No pp. given. John Wiley & Sons, Ltd.: Chichester, UK.
CODEN: 69KUHI
URL: http://www3.interscience.wiley.com/cgi-bin/mrwhome/104554785/HOME
DOCUMENT TYPE: Conference; General Review; (online computer file)
LANGUAGE: English
OTHER SOURCE(S): CASREACT 149:306485
AB A review of the article Di-m-Chlorobis[Bis-(cyclooctene)rhodium].

L73 ANSWER 2 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:302246 CAPLUS Full-text
DOCUMENT NUMBER: 148:262617
TITLE: Preparation of pyrimidine- and triazine-derivative endothelin receptor antagonists
INVENTOR(S): Riechers, Hartmut; Klinge, Dagmar; Amberg, Wilhelm; Kling, Andreas; Mueller, Stefan; Baumann, Ernst; Rheinheimer, Joachim; Vogelbacher, Uwe Josef; Wernet, Wolfgang; Unger, Liliane; Raschack, Manfred
PATENT ASSIGNEE(S): Abbott Gmbh & Co. KG, Germany
SOURCE: U.S., 18pp., Cont. of U.S. Ser. No. 748,184.
CODEN: USXXAM

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 7109205	B2	20060919	US 2003-602275	20030624 <--
US 20040092742	A1	20040513		
DE 19533023	A1	19960418	DE 1995-19533023	19950907 <--
DE 19533023	B4	20070516		
WO 9611914	A1	19960425	WO 1995-EP3963	19951007 <--
W: AU, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KR, KZ, MX, NO, NZ, PL, RU, SG, SI, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1110952	A1	20010627	EP 2001-103889	19951007 <--
EP 1110952	B1	20040929		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
US 5932730	A	19990803	US 1997-809699	19970327 <--
US 5969134	A	19991019	US 1998-184152	19981102 <--
US 6197958	B1	20010306	US 1999-309770	19990511 <--
US 20020052495	A1	20020502	US 2000-748184	20001227 <--
US 6600043	B2	20030729		
US 20060160808	A1	20060720	US 2006-377879	20060316 <--
US 7119097	B2	20061010		
US 20060276645	A1	20061207	US 2006-502257	20060810 <--
US 20060276474	A1	20061207	US 2006-502293	20060810 <--
US 20070203338	A1	20070830	US 2007-789630	20070425 <--

PRIORITY APPLN. INFO.:

DE 1994-4436851	A	19941014 <--
DE 1995-19533023	A	19950907 <--
WO 1995-EP3963	W	19951007 <--
US 1997-809699	A3	19970327 <--
US 1998-184152	A3	19981102 <--
US 1999-309770	A3	19990511 <--
US 2000-748184	A1	20001227 <--
EP 1995-935916	A3	19951007 <--
US 2003-602275	A1	20030624
US 2006-502257	B1	20060810

OTHER SOURCE(S): MARPAT 148:262617
GI

/ Structure 48 in file .gra /

AB The title compds. I [R = CHO, tetrazolyl, CN, CO2H, groups cleavable to CO2H; R2 = (un)substituted NH2, halogen, (un)substituted alkyl, etc.; R3 = H, OH, (un)substituted NH2, halogen, (un)substituted alkyl, etc.; R4, R5 = (un)substituted Ph or naphthyl; R6 = H, alkyl, alkenyl, alkynyl, alkylcarbonyl, (un)substituted Ph, etc.; X = N, (un)substituted CH; Y = direct bond, S, O; Z = S, O, SO, SO2, direct bond], and their pharmaceutically acceptable salts, are prepared and disclosed as endothelin receptor antagonists. In receptor binding assays, pyrimidine derivative II (R2 and R3 = MeO), m.p. 167°, demonstrated a Ki ETA of 6 nM. In particular, the racemate and individual enantiomers of II (R2 and R3 = Me) are claimed.

REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L73 ANSWER 3 OF 600 CAPLUS

ACCESSION NUMBER: 2007:899716 CAPLUS Full-text
DOCUMENT NUMBER: 148:495971
TITLE: Process for the preparation of antidiabetic compound
PATENT ASSIGNEE(S): Dr. Reddy's Laboratories Ltd., India
SOURCE: Indian Pat. Appl., 30pp.
CODEN: INXXBQ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2002MA00399	A	20070803	IN 2002-MA399	20020523 <--

PRIORITY APPLN. INFO.: IN 2002-MA399 20020523 <--
OTHER SOURCE(S): CASREACT 148:495971; MARPAT 148:495971
GI

/ Structure 49 in file .gra /

AB A invention relates to a process for the preparation of tromethamine salt of (-)-3-[4-(2-(5-ethyl-1-methyl-7-oxo-3-propyl-6,7-dihydro-1H-pyrazolo[4,3-d]pyrimidin-6-yl)ethoxy)phenyl]-2-alkoxypropionic acid of the formula I, where R is C1-6 alkyl, c. Example compound I (R = Et) was prepared by etherification of iso-Pr 3-(4-hydroxyphenyl)-2(S)-hydroxypropionate with 5-ethyl-6-(2-chloroethyl)-1-methyl-3-propyl-6,7-dihydro-1H-pyrazolo[4,3-d]pyrimidin-7-one; the resulting (-)-[((pyrazolo[4,3-d]pyrimidin-7-yl)ethoxy)phenyl]-2-hydroxypropanoate derivative underwent O-alkylation followed by hydrolysis to give the corresponding 2-alkoxypropanoic acid, which was reacted with tromethamine to give compound I.

L73 ANSWER 4 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:544712 CAPLUS Full-text
DOCUMENT NUMBER: 148:449648
TITLE: An improved process for the preparation of phenoxazine antidiabetic compounds
INVENTOR(S): Rao, Siripragada Mahender; Reddy, Chepyala Naveen Kumar; Reddy, Challa Maheedhara; Sarma, Mamillapalli Ramabhandra; Reddy, Gaddam Om
PATENT ASSIGNEE(S): Reddy's Laboratories Ltd., India
SOURCE: Indian Pat. Appl., 18pp.
CODEN: INXXBQ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2002MA00508	A	20070511	IN 2002-MA508	20020708 <--

PRIORITY APPLN. INFO.: IN 2002-MA508 20020708 <--
OTHER SOURCE(S): CASREACT 148:449648; MARPAT 148:449648
GI

/ Structure 50 in file .gra /

AB The invention relates to an improved process for the preparation of antidiabetic phenoxazinylethoxyphenylalkoxypropanoate arginine salts I [R1 = Me, Et, Pr, i-Pr]. The process uses inexpensive chems. and an easy resolution via chiral amine salts. Thus, condensation of 4-[2-(phenoxazin-10-yl)ethoxy]benzaldehyde with Et chloroacetate using EtONa in EtOH gave the corresponding glycidic ester, which was hydrogenated over Pd/C, O-ethylated with di-Et sulfate in xylene, and saponified with aqueous NaOH in MeOH to give acid (±)-II. Resolution of this racemate using (-)-ephedrine and salification with L-arginine in i-PrOH gave I (R1 = Et).

L73 ANSWER 5 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:544708 CAPLUS Full-text
DOCUMENT NUMBER: 148:449647
TITLE: Process for the preparation of antidiabetic (S)-3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-ethoxypropanoic acid L-arginine salt
INVENTOR(S): Reddy, Gaddam Om
PATENT ASSIGNEE(S): Reddy's Laboratories Ltd., India
SOURCE: Indian Pat. Appl., 9pp.
CODEN: INXXBQ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2002MA00017	A	20070511	IN 2002-MA17	20020107 <--
PRIORITY APPLN. INFO.:			IN 2002-MA17	20020107 <--

OTHER SOURCE(S): CASREACT 148:449647; MARPAT 148:449647
GI

/ Structure 51 in file .gra /

AB Claimed is a process for the preparation of compound I [R1 = alkyl] comprising (a) reacting a [(phenoxazinyl)ethoxy]phenylpropanoic acid derivative with 1-phenylethylamine in the presence of a solvent at 20°C to 50°C, (b) reacting the resulting salt with L-arginine in the presence of a solvent in the range of 20°C to reflux temperature for 4 to 24 h; (c) isolating the resulting product. I is an antidiabetic agent (no data). Thus, (S)-3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2- ethoxypropanoic acid (II) in Et acetate was reacted with 1-phenylethylamine to give a salt ; a solution of said salt in methanol was treated with L-arginine to give II L-arginine salt.

L73 ANSWER 6 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:183455 CAPLUS Full-text
DOCUMENT NUMBER: 146:462276
TITLE: Preparation of pyrimidinone derivatives for use in medicine and pharmaceutical compositions containing them
INVENTOR(S): Madhavan, Gurram Ranga; Venkateswarlu, Akella; Rajagopalan, Ramanujam; Chakrabarti, Ranjan; Misra, Parimal; Lohray, Braj Bhushan; Lohray, Vidya Bhushan;

Rao, Paraselli Bheema
PATENT ASSIGNEE(S): Dr. Reddy's Research Foundation, India
SOURCE: Indian Pat. Appl., 112pp.
CODEN: INXXBQ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2001MA00568	A	20050304	IN 2001-MA568	20010710 <--
PRIORITY APPLN. INFO.:			IN 2001-MA568	20010710 <--

OTHER SOURCE(S): CASREACT 146:462276; MARPAT 146:462276
GI

/ Structure 53 in file .gra /

AB Title compds. I [X = O or S; R1-3 independently when attached to C = H, halo, OH, CN, etc.; when R3 is attached to N, R3 = H, OH, CHO, etc.; R4 = H, halo, alkyl, etc.; R5 = H, halo, alkoxy, etc.; or R4 and R5 join to form a bond; R6 = H, (un)substituted alkyl, acyl, aryl, etc.; R7 = H, (un)substituted alkyl, cycloalkyl, aryl, etc.; Y = O or NR8, where R8 = H, alkyl, aryl, etc.; or R7 and R8 may join to form cyclic or heterocyclic ring; n = 1-4; Ar = (un)substituted aryl or heterocyclic group], and their pharmaceutically acceptable salts, are prepared and disclosed as antiobesity and anticholesteremic agents. Thus, e.g., II was prepared by substitution of Et 2-ethoxy-3-[4-(2-haloethoxy)phenyl]propanoate with 2-ethyl-4-phenyl-1,6-dihydropyrimidin-6-one followed by hydrolysis to provide the carboxylic acid. I were evaluated for hPPARγ activity, e.g., II was determined to have a PPARγ value of 23.9 at 1 μM. The present invention relates to novel antiobesity and hypocholesterolemic compds., their derivs., their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, their pharmaceutically acceptable solvates and pharmaceutically acceptable composition containing them.

L73 ANSWER 7 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:181507 CAPLUS Full-text
DOCUMENT NUMBER: 148:355830
TITLE: Preparation of thiodiphenylamines and related compounds as hypolipemic agents
INVENTOR(S): Lohray, Braj Bhushan; Lohray, Vidya Bhushan; Bajji, Ashok Channa Veerappa; Kalchar, Shivaramayya; Rao, Paraselli Bheema; Madhavan, Gurram Ranga; Rajacopalan, Ramanujam; Chakrabarti, Ranjan
PATENT ASSIGNEE(S): Dr. Reddy's Research Foundation, India
SOURCE: Indian Pat. Appl., 75pp.
CODEN: INXXBQ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 1999MA00483	A	20050304	IN 1999-MA483	19990428 <--
PRIORITY APPLN. INFO.:			IN 1999-MA483	19990428 <--

OTHER SOURCE(S): MARPAT 148:355830
GI

/ Structure 54 in file .gra /

AB Title compds. I [Z = (CH2)m; m = 1-4; n = 0-1; R1, R2, R3, R4 = H, halo, OH, etc.; A = 5 or 6-membered cyclic structure with provisos; X = O, S, NR9; R9 = H, alkyl, aryl, etc.; Ar = fused aromatic, heterocyclic, etc.; R5 = H, OH, halo, etc.; R6 = H, OH, halo, etc.; R7 = H, alkyl, cycloalkyl, etc.; R8 = H, alkyl, cycloalkyl, etc.; Y = O, NR10; R10 = H, alkyl, aryl, etc.] and their pharmaceutically acceptable salts were prepared For example, condensation of aldehyde II and triethyl-2-ethoxyphosphonoacetate afforded thiodiphenylamine III. In plasma triglyceride assays, 3-examples of compds. I exhibited 6-58% lowering of triglyceride concentration at 1 mg/kg dosage.

L73 ANSWER 8 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1335635 CAPLUS Full-text
DOCUMENT NUMBER: 144:69628
TITLE: Preparation of phenoxyacetamide derivatives as modulators of peroxisome proliferator-activated receptors (PPAR)
INVENTOR(S): Lindstedt Alstermark, Eva-Lotte; Olsson, Anna Christina; Li, Lanna
PATENT ASSIGNEE(S): Swed.
SOURCE: U.S. Pat. Appl. Publ., 47 pp., Cont.-in-part of U.S. Ser. No. 499,261.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050282822	A1	20051222	US 2004-26806	20041230 <--
WO 2003051821	A1	20030626	WO 2002-GB5738	20021218 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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WO 2003051822	A1	20030626	WO 2002-GB5744	20021218 <--
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     CN 1896045           A     20070117    CN 2006-10007173       20021218 <--
     WO 2004056748        A1    20040708    WO 2003-GB5602         20031219 <--
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             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     WO 2004113270        A2    20041229    WO 2004-EP6597         20040617
     WO 2004113270        A3    20050331
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
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             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
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             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
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             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     EP 1676833           A1    20060705    EP 2006-5766           20040617
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
     CN 101239928         A     20080813    CN 2008-10009615       20040617
     US 20050171204       A1    20050804    US 2005-499261         20050304 <--
     JP 2005336209        A     20051208    JP 2005-235794         20050816 <--
     JP 2006045240        A     20060216    JP 2005-253346         20050901 <--
     JP 2006298924        A     20061102    JP 2006-123399         20060427 <--
     JP 2006298925        A     20061102    JP 2006-139673         20060519
PRIORITY APPLN. INFO.:                       SE 2001-4334        A  20011219 <--
                                             WO 2002-GB5738      W  20021218 <--
                                             WO 2002-GB5744      A  20021218 <--
                                             GB 2002-29931       A  20021221 <--
                                             GB 2003-14079       A  20030618
                                             WO 2003-GB5602      A  20031219
                                             WO 2004-EP6597      A  20040617
                                             US 2005-499261      A2 20050304
                                             CN 2002-828123      A3 20021218 <--
                                             JP 2003-552709      A3 20021218 <--
                                             JP 2003-552710      A3 20021218 <--
                                             JP 2004-561668      A3 20031219
                                             CN 2004-80023304    A3 20040617
                                             EP 2004-740044      A3 20040617
                                             JP 2006-515989      A3 20040617
OTHER SOURCE(S):         CASREACT 144:69628; MARPAT 144:69628
GI
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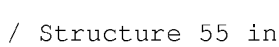

/ Structure 55 in file .gra /

AB The phenyl-, phenoxy-, or phenylthioalkanamidetitle compds., (in particular phenoxyacetamide derivs.) (I) [A is situated in the ortho, meta or para position and represents CR3R4CR1R2COR, CR3:CR1COR (wherein R = H, alkyl, (un)substituted HO or NH2; R1 = alkyl, aryl, alkenyl, alkynyl, or when A is CR3R4CR1R2COR, R1 can also be cyano, (un)substituted HO, SH, OCONH2, SO2NH2, CO2H, etc.; R2 = H, halogen, alkyl, aryl, alkylaryl; R3, R4 = H, alkyl, aryl, alkylaryl); Y = O, S, a single bond; n = an integer of 1-4; X = alkyl; R5, R6 = H, each (un)substituted C1-13 alkyl, C2-10 alkenyl, or C2-10 alkynyl; or R5, R6 = each (un)substituted C3-8 cycloalkyl, C3-C8 cycloalkenyl, aryl, heterocyclyl, or heteroaryl; or R5 and R6 together with the nitrogen atom to which they are attached form a single or a fused heterocyclic system] are prepared These compds. are useful in treating clin. conditions including lipid disorders (dyslipidemias) whether or not associated with insulin resistance, and other manifestations of the metabolic syndrome. Thus, a solution of 0.598 g N-butyl-N-[2-fluoro-4-(trifluoromethyl)benzyl]amine and 0.593 g [4-((2S)-2,3-diethoxy-3-oxopropyl)phenoxy]acetic acid in 20 mL CH2Cl2 was treated with 0.80 mL N,N-diisopropylethylamine and 0.674 g O-(benzotriazol-1-yl)-N,N,N',N'-tetramethyluronium tetrafluoroborate and the reaction mixture was stirred at room temperature overnight to give, after workup and silica gel chromatog., 74% Et (2S)-3-[4-[2-[butyl[2-fluoro-4-(trifluoromethyl)benzyl]amino]-2- oxoethoxy]phenyl]-2-ethoxypropanoate (II). A solution of 0.748 g II in 70 mL MeCN was treated with 35 mL 0.10 M LiOH and the reaction mixture was stirred at room temperature overnight, neutralized with 5% HCl, concentrated, acidified with 5% HCl, and extracted with EtOAc to give 97% (2S)-3-[4-[2-[butyl[2-fluoro-4-(trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid (III). III showed EC50 of 0.001 μmol/L for human PPARα.

L73 ANSWER 9 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:519176 CAPLUS Full-text
DOCUMENT NUMBER: 143:71779
TITLE: Red-rooted Salvia root anti-peptic ulcer effective component and preparing process thereof
INVENTOR(S): Li, Hequan; Wang, Yulin; Li, Xi
PATENT ASSIGNEE(S): China Medical Univ., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, No pp. given
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1508133	A	20040630	CN 2002-144771	20021213 <--
CN 1208330	C	20050629		
PRIORITY APPLN. INFO.:			CN 2002-144771	20021213 <--

AB The present invention relates to effective region of salvia root for resisting peptic ulcer and its preparation process. The chemical composition of said effective region contains danshenphenolic acid component using danshenphenolic acid B as main substance and small quantity of fatty acid component. Its preparation process includes the following steps: decocting Chinese medicinal material salvia root by adding water, making filtrate pass through polyamide column, washing with distilled water to remove impurity, eluting with Et alc., reduced pressure recovering Et alc. solution, heating with water and dissolving the obtained red tan solid, precipitation, filtering to obtain yellow supernatant fluid, precipitating, washing with water, reduced pressure removing water content to obtain the product (DSE-F), brown color, its yield

is about 0.6%. Said product can be made into tablet, powder, capsule and decoction preparation

L73 ANSWER 10 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:483308 CAPLUS Full-text
DOCUMENT NUMBER: 143:225593
TITLE: Method for preparing salvianolic acid B of Salvia miltiorrhiza
INVENTOR(S): Zhang, Fengxia; Wang, Jiaping; Tan, Xuebing
PATENT ASSIGNEE(S): Nanjing Hongqiao Institute of Medical Technology, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 13 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1425659	A	20030625	CN 2002-160771	20021231 <--
CN 1164582	C	20040901		
PRIORITY APPLN. INFO.:			CN 2002-160771	20021231 <--

AB The method comprises extracting with water, acidifying to pH 1-6, purifying on macroporous resin column (ion exchange resin, Mcigel-Chp-20P, Sephadex LH-20, C18 bonded stationary phase, or C8 bonded stationary phase), and drying. The extraction and acidification processes may be simultaneously carried out by extraction with acidic solution (pH 1-6). The solvent extraction may be substituted by percolation, ultrasonic wave-aided extraction, or microwave-aided extraction methods.

=> d l73 ibib abs 11-15
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L73 ANSWER 11 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:483216 CAPLUS Full-text
DOCUMENT NUMBER: 143:13395
TITLE: Medical composition of active constituent of traditional Chinese medicine for treating cardiovascular and cerebrovascular diseases and its preparation
INVENTOR(S): Zhang, Weidong; Su, Juan; Zhang, Chuan
PATENT ASSIGNEE(S): Shanghai Botai Medical Science and Technology Co., Ltd., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 10 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

CN 1425430	A	20030625	CN 2002-155004	20021219 <--
CN 100346798	C	20071107		
PRIORITY APPLN. INFO.:			CN 2002-155004	20021219 <--

AB The metal composition is composed of 1 part total salvianolic acid (its salvianolic acid B Mg salt content >50%) and 0.1-1 part total saponin (its astragalin A content >20%) of Astragalus membranaceus. The total salvianolic acid is isolated from Salvia multiorrhiza by percolating in acetone and purifying on macroporous adsorbent resin column. The total saponin is isolated from A. membranaceus by extracting with water and then purifying on macroporous adsorbent resin column. The metal composition may be used to prepare injection, large-capacity injection, powder injection, tablet, capsule, powder, etc.

L73 ANSWER 12 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:1154649 CAPLUS Full-text
DOCUMENT NUMBER: 142:93514
TITLE: Preparation of phenylpropanoic acid derivatives as PPARα agonists
INVENTOR(S): Li, Lanna; Lindstedt-Alstermark, Eva-Lotte; Olsson, Christina
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004113270	A2	20041229	WO 2004-EP6597	20040617
WO 2004113270	A3	20050331		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004249409	A1	20041229	AU 2004-249409	20040617
AU 2004249409	B2	20080529		
CA 2528234	A1	20041229	CA 2004-2528234	20040617
EP 1675820	A2	20060705	EP 2004-740044	20040617
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EP 1676833	A1	20060705	EP 2006-5766	20040617
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BR 2004011484	A	20060725	BR 2004-11484	20040617
CN 1835913	A	20060920	CN 2004-80023304	20040617
JP 2006527730	T	20061207	JP 2006-515989	20040617
CN 101239928	A	20080813	CN 2008-10009615	20040617
US 20050282822	A1	20051222	US 2004-26806	20041230 <--
US 20050148656	A1	20050707	US 2005-518777	20050303
NO 2005005892	A	20060106	NO 2005-5892	20051212

MX 2005PA13712	A	20060308	MX 2005-PA13712		20051215	
JP 2006298925	A	20061102	JP 2006-139673		20060519	
US 20060258866	A1	20061116	US 2006-477168		20060628	
US 20070244198	A1	20071018	US 2007-772474		20070702	
IN 2008DN04240	A	20080815	IN 2008-DN4240		20080519	
PRIORITY APPLN. INFO.:			GB 2003-14079	A	20030618	
			SE 2001-4334	A	20011219	<--
			WO 2002-GB5738	W	20021218	<--
			WO 2002-GB5744	A	20021218	<--
			GB 2002-29931	A	20021221	<--
			WO 2003-GB5602	A	20031219	
			CN 2004-80023304	A3	20040617	
			EP 2004-740044	A3	20040617	
			JP 2006-515989	A3	20040617	
			WO 2004-EP6597	W	20040617	
			IN 2005-DN5470	A3	20041128	
			US 2005-518777	A3	20050303	
			US 2005-499261	A2	20050304	
			US 2006-477168	A1	20060628	

OTHER SOURCE(S): MARPAT 142:93514
GI

/ Structure 56 in file .gra /

AB Title compds. represented by the formula I [wherein A = CR3(R4)CR1(R2)COR or C(R3):C(R1)COR; R = H, alkoxy, (alkyl)aryloxy, amino, etc.; R1 = alkyl, aryl, alkenyl, alkynyl, etc.; R2 = H, halo, alkyl, (alkyl)aryl; R3, R4 = independently H, alkyl, (alkyl)aryl; T = O, S or a single bond; n = 1-4; R5, R6 = independently selected substituent comprising C, H, N, O, S, Se, P or halo; with provisos; optical isomers and racemates thereof as well as pharmaceutically acceptable salts, prodrugs, solvates and crystalline forms thereof] were prepared as PPARα agonists. For example, II was given in a multi-step synthesis starting from the reaction of 2,4-difluorobenzylamine with octanoic acid. I had EC50 values of less than 0.1 μmil/L for PPARα and showed the ration of the EC50(PPARγ) with EC50(PPARα) is greater than 150:1. Thus, I and their pharmaceutical compns. are useful for the treatment of clin. conditions including lipid disorders (dyslipidemias) whether or not associated with insulin resistance (no data).

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L73 ANSWER 13 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:918600 CAPLUS Full-text
DOCUMENT NUMBER: 142:113816
TITLE: Rosmarinic acid derivatives as an immunosuppressant and an inhibitor of SH2
INVENTOR(S): Kang, Mi Ae; Kim, Hong Tae; Ko, Jae Gyun; Lee, Geon Hyeong; Lee, Jong Seong; Oh, Jae Taek; Oh, Jong Eun; Park, Si Hyeong; Won, Jong Hwa; Yoon, Yeong Dae
PATENT ASSIGNEE(S): Mogam Biotechnology Institute, S. Korea
SOURCE: Repub. Korean Kongkae Taeho Kongbo, No pp. given
CODEN: KRXXA7
DOCUMENT TYPE: Patent
LANGUAGE: Korean
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
KR 2002006643	A	20020124	KR 2000-39730	20000711 <--

PRIORITY APPLN. INFO.: KR 2000-39730 20000711 <--

AB A rosemarine derivative useful as an immunosuppressant and an inhibitor of SH2(src homol. region 2) in T lymphocyte cell kinase is provided, thereby diagnosing and preventing transplant rejection, inflammatory diseases, and autoimmune related diseases. The rosemarine derivative useful as an immunosuppressant and an inhibitor of SH2(src homol. region 2) in T lymphocyte cell kinase is represented by formula(1), in which R1, R2, R3, R4 and R5 are independent, wherein at least one of them is hydroxy, and others are selected from hydrogen, halogen, C1 to C3 alkoxy, aldehyde, carboxyl, amino, trifluormethyl and nitro; R6, R7, R8, R9 and R10 are independent, wherein at least one of them is hydroxy, and others are selected from hydrogen, halogen, C1 to C3 alkoxy, aldehyde, carboxyl, amino, trifluormethyl and nitro; X1 is O, S, NH, N-CH3, N-CH2CH3 or NHNH; X2 is CH2; X3 is (CH2)m; Y1 is selected from hydrogen, CH2, hydrogen, linear or branched alkyl or aryl-substituted amine; Y2 is none or -NZ11Z12, -O-Z2 or -S-Z2, wherein Z11 or Z12 is individually hydrogen, amine optionally substituted by t-butoxycarbonyl; C1 to C12 linear or branched alkyl, aryl, cycloalkyl or heteroalkyl; Z2 is hydrogen, C1 to C12 linear or branched alkyl, aryl, cycloalkyl or heteroalkyl; and B is hydrogen or alkyl.

L73 ANSWER 14 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:867863 CAPLUS Full-text

DOCUMENT NUMBER: 142:71652

TITLE: Process for separating rosmarinic acid from Agastache rugosa

INVENTOR(S): Cho, Gang Jin; Hwang, Yeong Su; Kim, Jeong Bong; Kim, Jong Beom; Park, No Dong

PATENT ASSIGNEE(S): Republic of Korea Management : Rural Development Administration, S. Korea

SOURCE: Repub. Korean Kongkae Taeho Kongbo, No pp. given CODEN: KRXXA7

DOCUMENT TYPE: Patent

LANGUAGE: Korean

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
KR 2001016848	A	20010305	KR 1999-32025	19990804 <--

PRIORITY APPLN. INFO.: KR 1999-32025 19990804 <--

AB Provided is a process for separating rosmarinic acid from Agastache rugosa in high purity, wherein the rosmarinic acid is used for producing antioxidizing material and used as additives in food or medical supplies. The process comprises the steps of: drying the Agastache rugosa to have a water content of less than 6 weight and then pulverizing; adding 2-6 times water, based on the weight of the pulverized Agastache rugosa, to obtain the extracted solution of the Agastache rugosa; filtering the extracted solution, performing an adsorption chromatog. and then collecting and condensing activated fractions to obtain the concentrated solution of the rosmarinic acid; performing a gel chromatog. of the concentrated solution and drying fractions containing the rosmarinic acid.

L73 ANSWER 15 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:821008 CAPLUS Full-text
DOCUMENT NUMBER: 141:274556
TITLE: Method for separation and purification of high purity rosmarinic acid from plant
INVENTOR(S): Kim, Geun Su; Kim, Sam Gon; Kim, Yeong Hoe; Kim, Yong Ha; Lee, Dong Uk; Lee, Jong Cheol
PATENT ASSIGNEE(S): Korea Ginseng & Tobacco Research Experiment Station, S. Korea
SOURCE: Repub. Korean Kongkae Taeho Kongbo, No pp. given
CODEN: KRXXA7
DOCUMENT TYPE: Patent
LANGUAGE: Korean
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
KR 2001002275	A	20010115	KR 1999-22009	19990614 <--

PRIORITY APPLN. INFO.: KR 1999-22009 19990614 <--
AB A method for separating and purifying rosmarinic acid as an antioxidant from a plant, in particular from the leaves of Perilla frutescens var. japonica Hara, using water or a polar solvent is provided; the title method gives highly pure rosmarinic acid at low cost.

=> d l73 ibib abs 60-20
YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS' - CONTINUE? (Y)/N:n

=> d l73 ibib abs 16-20
YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS' - CONTINUE? (Y)/N:y

L73 ANSWER 16 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:799722 CAPLUS Full-text
DOCUMENT NUMBER: 141:260400
TITLE: An improved process for the preparation of (+)-(2S,3S)-3-(2-amino-phenylthio)-2-hydroxy-3-(4'-mehoxyphenyl)propionic acid by using (+)-α-methylbenzylamine as a resolving agent
INVENTOR(S): Sadanandam, Vennu Sangiah; Shetty, Meera Manjaya; Sari, Imtiaz Ahmad; Yadav, Jhillu Singh; Rao, Allavenkata Rama
PATENT ASSIGNEE(S): Council of Scientific & Industrial Research, India
SOURCE: Indian, 14 pp.
CODEN: INXXAP
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 182359	A1	19990327	IN 1993-DE1464	19931228 <--

PRIORITY APPLN. INFO.: IN 1993-DE1464 19931228 <--
GI

/ Structure 57 in file .gra /

AB The invention is directed to an improved process for the preparation of (+)-(2S,3S)-3-(2-amino-phenylthio)-2-hydroxy-3-(4'methoxyphenyl)propionic acid [(+)-(2S,3S)-I], key intermediate in the synthesis of Diltiazem, by using (+)-α-methylbenzylamine (II) as a resolving agent in the presence of a polar solvent and a base. The advantages include high yields of (+)-enantiomer, use of cheap materials and simple methodol. Thus, heating a mixture of (±)-(2RS,3RS)-I and (+)-α-methylbenzylamine in H2O in the presence of LiOH, separating the amine salt [(+)-(2S,3S)-I]•II, and neutralizing it with HCl solution gave acid [(+)-(2S,3S)-I] (m.p. = 136-138°) in 98.77% purity.

L73 ANSWER 17 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:668102 CAPLUS Full-text
DOCUMENT NUMBER: 142:313339
TITLE: Isodon lophanthoides extractant as natural medicine for treating hepatitis B
INVENTOR(S): Lai, Xiaoping; Hu, Yingjie; Chen, Jiannan; Zhu, Yutong; Liu, Zhongqiu
PATENT ASSIGNEE(S): Guangzhou University of Traditional Chinese Medicine, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 18 pp. CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1405177	A	20030326	CN 2002-135069	20021101 <--
PRIORITY APPLN. INFO.:			CN 2002-135069	20021101 <--

AB The extractant of Isodon lophanthoides is prepared by extracting with methanol or ethanol under refluxing, then concentrating and adding suitable amount of water, further extracting with petroleum ether, and freeze drying the petroleum ether-insol. phase. The extractant can be prepared by supercrit. CO2 fluid extraction with 2.5-10% ethanol as entrainer at room temperature - 50°. The extractant containing 2-hydroxyursolic acid, 2,19-dihydroxyursolic acid, and rosmarinic acid, can be used for medical formulation (such as tablet, capsule, oral solution, injection, etc.) for treating hepatitis B. Thus, dried Isodon lophanthoides crude powder 4 kg, refluxing in methanol for three times with each time 2 h, after filtration, concentration the solution with reduced pressure, adding water to the residue, then extracted with petroleum ether (60-90°), reducing pressure concentration the petroleum ether insol. part, after freezing dry, crashed the residue and through 80 mesh screen, gave the final Isodon lophanthoides extractant.

L73 ANSWER 18 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:546469 CAPLUS Full-text
DOCUMENT NUMBER: 141:106266
TITLE: Preparation of phenylpropanoic acids derivatives as selective PPARα modulators
INVENTOR(S): Lindstedt Alstermark, Eva-Lotte; Olsson, Anna Christina; Li, Lanna; Aurell, Carl-Johan; Minidis,

Anna; Yousefi-Salakdeh, Esmail; Dahlstrom, Mikael Ulf Johan

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056748	A1	20040708	WO 2003-GB5602	20031219 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2508851	A1	20040708	CA 2003-2508851	20031219 <--
AU 2003290309	A1	20040714	AU 2003-290309	20031219 <--
AU 2003290309	B2	20070118		
EP 1572626	A1	20050914	EP 2003-782668	20031219 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003017458	A	20051116	BR 2003-17458	20031219 <--
CN 1753862	A	20060329	CN 2003-80109895	20031219 <--
CN 1308291	C	20070404		
JP 2006511572	T	20060406	JP 2004-561668	20031219 <--
JP 3786945	B2	20060621		
US 20050131068	A1	20050616	US 2004-499893	20040623 <--
US 7462644	B2	20081209		
US 20050282822	A1	20051222	US 2004-26806	20041230 <--
IN 2005DN02515	A	20070413	IN 2005-DN2515	20050610 <--
NO 2005002914	A	20050719	NO 2005-2914	20050615 <--
MX 2005PA06812	A	20050908	MX 2005-PA6812	20050621 <--
ZA 2005004730	A	20060927	ZA 2005-4730	20050622 <--
JP 2006045240	A	20060216	JP 2005-253346	20050901 <--

PRIORITY APPLN. INFO.:			GB 2002-29931	A 20021221 <--
			SE 2001-4334	A 20011219 <--
			WO 2002-GB5738	W 20021218 <--
			WO 2002-GB5744	A 20021218 <--
			GB 2003-14079	A 20030618
			JP 2004-561668	A3 20031219
			WO 2003-GB5602	W 20031219
			WO 2004-EP6597	A 20040617
			US 2005-499261	A2 20050304

OTHER SOURCE(S): CASREACT 141:106266; MARPAT 141:106266

GI

/ Structure 58 in file .gra /

AB Title compds. I [R1 = Cl, CF3, CF3O; R2 = H, F; R3 = alkyl] and their pharmaceutically acceptable salts, prodrugs were prepared For example, amidation of N-butyl-N-[2-fluoro-4-(trifluoromethyl)benzyl]amine, e.g., prepared from Et (2S)-2-ethoxy-3-(4-hydroxyphenyl)propanoate in 3 steps, and {4-[(2S)-2,3-diethoxy-3-oxopropyl]phenoxy}acetic acid, followed by hydrolysis afforded compound (S)-I [R1 = CF3; R2 = F; R3 = butyl] in 72% yield. Compds. I have EC50 values <0.1 μmol/L for PPARα, e.g., the EC50 value of compound (S)-I [R1 = CF3; R2 = F; R3 = butyl] was 0.001 μmol/L. Of notes, compds. I exhibit improved metabolic stability (in vitro), promising toxicol. profile (no data provided) and particular compds. have the ratio of the EC50(PPARγ):EC50(PPARγ) <150:1. Compds. I are claimed useful for the treatment of hypertension, diabetes, etc.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L73 ANSWER 19 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:546467 CAPLUS Full-text

DOCUMENT NUMBER: 141:106263

TITLE: Preparation of dimeric dicarboxylic acid derivatives as PPAR agonists

INVENTOR(S): Sauerberg, Per; Jeppesen, Lone; Polivka, Zdenek; Sindelar, Karel

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004056740	A1	20040708	WO 2003-DK895		20031218 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 20040259950	A1	20041223	US 2003-734368		20031212 <--
AU 2003287912	A1	20040714	AU 2003-287912		20031218 <--
EP 1578716	A1	20050928	EP 2003-779752		20031218 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2006510687	T	20060330	JP 2004-561080		20031218 <--
PRIORITY APPLN. INFO.:			DK 2002-1966	A	20021220 <--
			US 2003-439410P	P	20030110
			WO 2003-DK895	W	20031218

OTHER SOURCE(S): MARPAT 141:106263

GI

/ Structure 59 in file .gra /

AB The title compds. DOC(O)AXLTZUMYBC(O)OE [I; A, B = (un)substituted alkylene, O(alkylene), S(alkylene); D, E = H, alkyl, cycloalkyl; L, M = O, S; T, U = (un)substituted divalent saturated carbon chain, NR1(alkylene) (wherein R1 = H, alkyl); X, Y = (un)substituted arylene, heteroarylene; Z = (un)substituted arylene, heteroarylene, divalent polycyclic ring system] which may be useful in the treatment and/or prevention of conditions mediated by Peroxisome Proliferator-Activated Receptors (PPAR) (no specific biol. data given), were prepared and formulated. E.g., a multi-step synthesis of II, is given. The compds. I are claimed as selective PPARδ agonists useful in treating diabetes, syndrome X, cardiovascular diseases, dyslipidemia, and hypercholesteremia.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L73 ANSWER 20 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:453192 CAPLUS Full-text

DOCUMENT NUMBER: 141:6919

TITLE: Preparation of substituted aralkyl derivatives as antidiabetic, hypolipidemic and hypocholesterolemic agents

INVENTOR(S): Lohray, Braj Bhushan; Lohray, Vidya Bhushan; Jain, Mukul R.; Basu, Sujay; Pingali, Harikishore; Raval, Saurin K.; Raval, Preeti S.

PATENT ASSIGNEE(S): Cadila Healthcare Limited, India

SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046119	A1	20040603	WO 2003-IN358	20031114 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IN 2002MU00992	A	20060901	IN 2002-MU992	20021115 <--
IN 2003MU00792	A	20050401	IN 2003-MU792	20030812
CA 2506112	A1	20040603	CA 2003-2506112	20031114 <--
AU 2003302111	A1	20040615	AU 2003-302111	20031114 <--
EP 1569916	A1	20050907	EP 2003-808341	20031114 <--
EP 1569916	B1	20090107		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015713	A	20050913	BR 2003-15713	20031114 <--
CN 1738807	A	20060222	CN 2003-80108836	20031114 <--
JP 2006514976	T	20060518	JP 2004-570329	20031114 <--
NZ 540474	A	20080430	NZ 2003-540474	20031114 <--
MX 2005PA05063	A	20050816	MX 2005-PA5063	20050511 <--
NO 2005002413	A	20050726	NO 2005-2413	20050513
US 20060142277	A1	20060629	US 2005-534726	20051118 <--

PRIORITY APPLN. INFO.: IN 2002-MU992 A 20021115 <--
IN 2003-MU792 A 20030812

WO 2003-IN358 W 20031114

OTHER SOURCE(S): MARPAT 141:6919
GI

/ Structure 60 in file .gra /

AB The present invention relates to novel substituted aralkyl derivs. of formula A(CH2)nX-Ar-CH2CH(R)CHR1R2 [A = (substituted) aryl, heteroaryl, heterocyclyl; n = 1-3; X = O, S; Ar = aromatic, heteroarom. or heterocyclic group; R, R1 = (substituted) amino, (substituted) OH, N3, CN, COOH, tetrazolyl, etc.; R2 = H, alkyl, cycloalkyl], their derivs., their analogs, their tautomeric forms, their pharmaceutically acceptable salts, their pharmaceutically acceptable solvates, pharmaceutical compns. containing them, use of these compds. in medicine and the intermediates involved in their preparation The compds. are useful as antidiabetic, hypolipidemic and hypocholesterolemic agents. Thus, I was prepared, and lowered serum triglyceride in Swiss albino mice by 78%.

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L73 ANSWER 21 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:412810 CAPLUS Full-text
DOCUMENT NUMBER: 140:423665
TITLE: Preparation of substituted 4-alkoxyoxazole derivatives as PPAR agonists
INVENTOR(S): Binggeli, Alfred; Grether, Uwe; Hilpert, Hans; Hirth, Georges; Maerki, Hans-Peter; Meyer, Markus; Mohr, Peter
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041275	A1	20040521	WO 2003-EP12189	20031031 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2503117	A1	20040521	CA 2003-2503117	20031031 <--
AU 2003276234	A1	20040607	AU 2003-276234	20031031 <--
AU 2003276234	B2	20070419		

EP 1572203	A1	20050914	EP 2003-810427		20031031	<--
EP 1572203	B1	20071107				
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK						
BR 2003016091	A	20050927	BR 2003-16091		20031031	<--
CN 1711084	A	20051221	CN 2003-80102841		20031031	<--
JP 2006508097	T	20060309	JP 2004-548844		20031031	<--
AT 377419	T	20071115	AT 2003-810427		20031031	<--
RU 2312106	C2	20071210	RU 2005-117964		20031031	<--
ES 2295692	T3	20080416	ES 2003-810427		20031031	<--
US 20040157898	A1	20040812	US 2003-700417		20031104	<--
US 7109225	B2	20060919				
MX 2005PA04743	A	20050803	MX 2005-PA4743		20050503	<--
IN 2005CN00841	A	20070810	IN 2005-CN841		20050505	<--
PRIORITY APPLN. INFO.:			EP 2002-25001	A	20021108	<--
			WO 2003-EP12189	W	20031031	

OTHER SOURCE(S): CASREACT 140:423665; MARPAT 140:423665
GI

/ Structure 61 in file .gra /

AB Alkoxyoxazole derivs. of formula I [R1 = alkyl, fluoroalkyl, cycloalkyl, bicyclo-alkyl, tricyclo-alkyl; R2 = H, alkyl, fluoroalkyl; R3-R6 = H, OH, halo, alkyl, etc.; R3R4 = CH=CH-S, alkylene, etc.; R7 = alkyl, fluoroalkyl, aryl, etc.; R8 = H, alkyl] are prepared The compds. are useful for the treatment of diseases such as diabetes. Pharmaceutical compns. containing I are described. Thus, II was prepared in several steps, and had IC50 of 53 nmol/l against PPARα.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L73 ANSWER 22 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:308424 CAPLUS Full-text
DOCUMENT NUMBER: 140:321346
TITLE: Preparation of chiral oxazole-arylpropionic acid derivatives and their use as PPARα and PPARγ agonists for disorders like type II diabetes
INVENTOR(S): Binggeli, Alfred; Boehringer, Markus; Grether, Uwe; Hilpert, Hans; Hirth, Georges; Maerki, Hans-Peter; Meyer, Markus; Mohr, Peter; Ricklin, Fabienne
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 2004031162	A1	20040415	WO 2003-EP11030	20031006	<--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,					

TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2499721	A1	20040415	CA 2003-2499721		20031006 <--
AU 2003273949	A1	20040423	AU 2003-273949		20031006 <--
AU 2003273949	B2	20070405			
US 20040116487	A1	20040617	US 2003-679604		20031006 <--
US 6969725	B2	20051129			
EP 1551814	A1	20050713	EP 2003-757914		20031006 <--
EP 1551814	B1	20080723			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003015114	A	20050816	BR 2003-15114		20031006 <--
JP 2006504709	T	20060209	JP 2004-540787		20031006 <--
RU 2303593	C2	20070727	RU 2005-114373		20031006 <--
NZ 538465	A	20070831	NZ 2003-538465		20031006 <--
AT 402153	T	20080815	AT 2003-757914		20031006 <--
NO 2005001011	A	20050502	NO 2005-1011		20050224 <--
MX 2005PA03392	A	20050622	MX 2005-PA3392		20050330 <--
ZA 2005002664	A	20060222	ZA 2005-2664		20050401 <--
IN 2005CN00553	A	20070615	IN 2005-CN553		20050405 <--
US 20050267180	A1	20051201	US 2005-183360		20050718 <--
US 7348349	B2	20080325			
HK 1083339	A1	20081114	HK 2006-104701		20060419 <--
PRIORITY APPLN. INFO.:			EP 2002-22286	A	20021007 <--
			US 2003-679604	A3	20031006
			WO 2003-EP11030	W	20031006

OTHER SOURCE(S): MARPAT 140:321346
GI

/ Structure 62 in file .gra /

AB The present invention relates to chiral oxazole-arylpropionic acid derivs. (shown as I; variables defined below; e.g. II) and pharmaceutically acceptable salts and esters thereof. The compds. are useful for the treatment and/or prevention of diseases, which are modulated by PPARα and/or PPARγ agonists as e.g. type II diabetes. For I: R1 is aryl or heteroaryl; R2 is H, lower-alkyl, or fluoro-lower-alkyl; R3 and R4 = H, hydroxy, halogen, lower-alkyl, fluoro-lower-alkyl, hydroxy-lower-alkyl, lower-alkoxy-lower-alkyl, lower-alkoxy, fluoro-lower-alkoxy, hydroxy-lower-alkoxy, lower-alkoxy-lower-alkoxy, or lower-alkenyl, wherein at least one of R3 and R4 is not H; R5 is lower-alkoxy, fluoro-lower-alkoxy, lower-alkenyloxy, fluoro-lower-alkenyloxy, aryloxy, aryl-lower-alkoxy, or arylfluoro-lower-alkoxy; R6 is H or lower-alkyl; n is 1. EC50 and IC50 values for 10 examples of I towards PPARα and PPARγ are tabulated, e.g. IC50 = 30 and 58 nmol/L for PPARα and PPARγ, resp. for II. A method of preparation involving removing a protective ester radical (R6 = protective group) is claimed. Approx. 50 examples prepns. of I are included. For example, II was prepared in 4 steps starting with cyclization of diacetyl monooxime with 4-isopropoxybenzaldehyde to give 2-(4-isopropoxyphenyl)-4,5-dimethyloxazole 3-oxide hydrochloride, which was converted with POCl3 to 4-chloromethyl-2-(4-isopropoxyphenyl)-5- methyloxazole, which was coupled to (2S)-2-ethoxy-3-(4-hydroxy-2-methylphenyl)propionic acid Me ester to give (S)-2-ethoxy-3-[4-[2-(4-isopropoxyphenyl)-5-methyloxazol-4-ylmethoxy]-2-

methylphenyl]propionic acid Me ester, which was hydrolyzed by LiOH to the acid.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L73 ANSWER 23 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:271229 CAPLUS Full-text
DOCUMENT NUMBER: 140:269926
TITLE: Rosmarinic acid-rich Perilla extract, its manufacture and use as seasoning, and seasoned foods and beverages
INVENTOR(S): Harasawa, Mitsuo; Matsumoto, Katsuyuki; Okutsuka, Mariko
PATENT ASSIGNEE(S): Ogawa and Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004097108	A	20040402	JP 2002-264219	20020910 <--
JP 4088127	B2	20080521		
PRIORITY APPLN. INFO.:			JP 2002-264219	20020910 <--

AB Title extract is manufactured by extraction of shredded Perilla with alc. solvent at -19 to 5°, then concentration Thus, shredded Perilla frutescens crispa leaves were extracted with aqueous 40% EtOH at -15° for 96 h to give an extract, which had good flavor and contained 0.6% rosmarinic acid.

L73 ANSWER 24 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:220326 CAPLUS Full-text
DOCUMENT NUMBER: 140:270727
TITLE: Preparation of furan derivatives for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes
INVENTOR(S): Hamamura, Kazumasa; Sasaki, Shigekazu; Amano, Yuichiro; Sakamoto, Junichi; Fukatsu, Kohji
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 325 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004022551	A1	20040318	WO 2003-JP11308	20030904 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2497901	A1	20040318	CA 2003-2497901		20030904 <--
AU 2003261935	A1	20040329	AU 2003-261935		20030904 <--
EP 1535915	A1	20050601	EP 2003-794233		20030904 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2005035966	A	20050210	JP 2003-314293		20030905 <--
US 20060100261	A1	20060511	US 2005-526507		20050929 <--
PRIORITY APPLN. INFO.:			JP 2002-261873	A	20020906 <--
			JP 2003-185241	A	20030627
			WO 2003-JP11308	W	20030904

OTHER SOURCE(S): MARPAT 140:270727
GI

/ Structure 63 in file .gra /

AB The title compds. I [wherein R = (un)substituted hydrocarbyl or heterocyclyl; p = 0-2; R1 = H or (un)substituted hydrocarbyl; R2 = (un)substituted aryl; ring A = (un)substituted aromatic ring; X1 = O or S; X2 = a bond, O, S, SO, or SO2; Y = a bond, O, S, SO, SO2, CO, (un)substituted CONH, or NHCO; M1-M3 = independently a bond or (un)substituted aliphatic hydrocarbyl; M4 = (un)substituted aliphatic hydrocarbyl; with exclusions], or prodrugs, or pharmaceutically acceptable salts thereof are prepared For example, the compound II was prepared in a multi-step synthesis. II exhibited EC50 of 0.10 μM towards human G protein-coupled receptors (GPR40). I are useful for the treatment of abnormal lipid metabolism, arteriosclerotic diseases, secondary diseases, diabetes, etc. (no data). Formulations containing I as an active ingredient were also described.
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L73 ANSWER 25 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:203818 CAPLUS Full-text
DOCUMENT NUMBER: 140:253565
TITLE: Preparation of novel 2-arylthiazole compounds as peroxisome proliferator activated receptors (PPARα and PPARγ) agonists
INVENTOR(S): Binggeli, Alfred; Grether, Uwe; Hilpert, Hans; Hirth, Georges; Maerki, Hans-Peter; Meyer, Markus; Mohr, Peter
PATENT ASSIGNEE(S): F.Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 169 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004020420	A1	20040311	WO 2003-EP9281	20030821 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,				

FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2495942	A1	20040311	CA 2003-2495942		20030821 <--
AU 2003273801	A1	20040319	AU 2003-273801		20030821 <--
EP 1537091	A1	20050608	EP 2003-757762		20030821 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003013946	A	20050712	BR 2003-13946		20030821 <--
CN 1678596	A	20051005	CN 2003-820543		20030821 <--
JP 2006502151	T	20060119	JP 2004-532104		20030821 <--
RU 2296754	C2	20070410	RU 2005-109163		20030821 <--
NZ 537897	A	20070831	NZ 2003-537897		20030821 <--
US 20040110807	A1	20040610	US 2003-650434		20030828 <--
US 6809110	B2	20041026			
NO 2005000620	A	20050303	NO 2005-620		20050203 <--
MX 2005PA02115	A	20050523	MX 2005-PA2115		20050223 <--
IN 2005CN00276	A	20070907	IN 2005-CN276		20050225 <--
PRIORITY APPLN. INFO.:			EP 2002-19146	A	20020830 <--
			WO 2003-EP9281	W	20030821

OTHER SOURCE(S): MARPAT 140:253565
GI

/ Structure 64 in file .gra /

AB The present invention relates to compds. of formula (I) [R1 = aryl, heteroaryl; R2 = H, lower-alkyl, fluoro-lower-alkyl; R3, R4, R5 = H, HO, lower-alkenyl, halogen, lower-alkyl, fluoro-lower-alkyl, hydroxy-lower-alkyl, lower-alkoxy-lower- alkyl, lower-alkoxy, fluoro-lower-alkoxy, hydroxy-lower-alkoxy, lower-alkoxy-lower-alkoxy; wherein at least one of R3, R4, R5 and R6 is not hydrogen, or R3 and R4 are bonded to each other to form a ring together with the carbon atoms to which they are attached, and R3 and R4 together are -CH:CH-S-, -S-CH:CH-, -CH:CH-O-, -O-CH:CH-, -CH;CHCH:CH-, -(CH2)3-5, -O-(CH2)2-3- or -(CH2)2-3-O-, and R5 and R6 are as defined above; R7 = lower-alkyl, lower-alkoxy, lower-alkenyloxy, aryloxy, aryl-lower-alkoxy; R5 = H, lower-alkyl; R9, R10 = H, lower-alkyl, lower-alkenyl, cycloalkyl, Ph, -[1,3]dioxan-2-ethyl; n = 1-3] and pharmaceutically acceptable salts and/or pharmaceutically acceptable esters thereof. The compds. I exceed the compds. known in the art, in as much as they bind to and activate both, PPARα and PPARγ, simultaneously and very efficiently. Therefore, these compds. I combine the anti-glycemic effect of PPARγ activation with the anti-dyslipidemic effect of PPARα activation. Consequently, plasma glucose and insulin are reduced (i.e. insulin sensitization), triglycerides lowered and HDL cholesterol increased (i.e. improved lipid profile) and in addition, such compds. may also lower LDL cholesterol, decrease blood pressure, and counteract inflammatory atherosclerosis. Thereby, the compds. I are useful for the treatment of diseases such as diabetes, noninsulin dependent diabetes mellitus, elevated blood pressure, increased lipid and cholesterol levels, atherosclerotic diseases, metabolic syndrome, endothelial dysfunction, procoagulant state, dyslipidemia, polycystic ovary syndrome, inflammatory diseases, or proliferative diseases. For example, rac-3-[4-[3-[2-(4-tert-butylphenyl)-5-methylthiazol-4-yl]propoxy]-2- methylphenyl]-2-ethoxypropionic acid showed IC50 of 15 and 20 nM against PPARα and PPARγ, resp., in luciferase transcriptional reporter gene assays using baby hamster kidney cells transfected with either pFA-PPARγ-LBD or pFA-PPARα-LBD expression plasmids and a reporter plasmid.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l73 ibib abs 30-40
YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS' - CONTINUE? (Y)/N:y

L73 ANSWER 30 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:826813 CAPLUS Full-text
DOCUMENT NUMBER: 139:311997
TITLE: Rosmarinic acid compositions containing fragrances or cationic surfactants
INVENTOR(S): Someya, Keita; Mizushima, Yukako; Matsukawa, Hiroshi
PATENT ASSIGNEE(S): Lion Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 140 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003300811	A	20031021	JP 2002-104240	20020405 <--
JP 3821222	B2	20060913		
JP 2006249092	A	20060921	JP 2006-90601	20060329 <--
PRIORITY APPLN. INFO.:			JP 2002-104240	A3 20020405 <--

AB The compns., useful for flavoring materials, perfumes, and hair or fiber treatment agents, contain rosmarinic acid (I) and fragrances or cationic surfactants. I improves fragrance stability and hand feel of hair and fiber products. A fragrance composition comprising fragrant preparation 0.01, I 0.1, 1,3-butanediol 30, and H2O to 100 weight% showed good fragrance after storage in a cup at room temperature for 1 day.

L73 ANSWER 31 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:796655 CAPLUS Full-text
DOCUMENT NUMBER: 139:292053
TITLE: Etherification process for the preparation of 2-ethoxy-3-[4-[2-(4-methanesulfonyloxyphenyl)ethoxy]phenyl]propanoic acid derivatives
INVENTOR(S): Larsson, Maria
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 9 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082812	A2	20031009	WO 2003-GB1395	20030328 <--
WO 2003082812	A3	20040108		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2478650	A1	20031009	CA 2003-2478650	20030328	<--
AU 2003226523	A1	20031013	AU 2003-226523	20030328	<--
BR 2003008297	A	20041228	BR 2003-8297	20030328	<--
EP 1492764	A2	20050105	EP 2003-745340	20030328	<--
EP 1492764	B1	20060628			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2005521725	T	20050721	JP 2003-580280	20030328	<--
CN 1646487	A	20050727	CN 2003-807685	20030328	<--
CN 1285571	C	20061122			
NZ 534989	A	20060428	NZ 2003-534989	20030328	<--
AT 331704	T	20060715	AT 2003-745340	20030328	<--
ES 2266842	T3	20070301	ES 2003-745340	20030328	<--
ZA 2004006589	A	20050921	ZA 2004-6589	20040818	<--
NO 2004004045	A	20041018	NO 2004-4045	20040924	<--
MX 2004PA09686	A	20050111	MX 2004-PA9686	20041001	<--
US 20050215808	A1	20050929	US 2005-509654	20050505	<--
HK 1071353	A1	20061208	HK 2005-104064	20050513	<--
PRIORITY APPLN. INFO.:			SE 2002-1005 A	20020402	<--
			WO 2003-GB1395 W	20030328	

OTHER SOURCE(S): CASREACT 139:292053; MARPAT 139:292053
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB An efficient industrial-scale process for the preparation of 2-ethoxy-3-[4-[2-(4-methanesulfonyloxyphenyl)ethoxy]phenyl]propanoic acid derivs. [I; R = H, acid-protecting group; 1-(S)-2-ethoxy-3-[4-[2-(4-methanesulfonyloxyphenyl)ethoxy]phenyl]propanoic acid] is described which comprises the etherification of 2-ethoxy-3-(4-hydroxyphenyl)propanoate derivs. [II; e.g., Et (S)-2-ethoxy-3-(4-hydroxyphenyl)propanoate] with 2-(4-methanesulfonyloxyphenyl)ethyl derivs. [III; X = leaving group; e.g., 2-(4-methanesulfonyloxyphenyl)ethyl methanesulfonate] in the presence of a base (e.g., sodium carbonate) and using water as a diluent.
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L73 ANSWER 32 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:696734 CAPLUS Full-text
DOCUMENT NUMBER: 139:230768
TITLE: Preparation of (arylalkyl)thiazoles and oxazoles as peroxisome proliferator activated receptor modulators for treating diabetes mellitus, syndrome X, and cardiovascular disease
INVENTOR(S): Conner, Scott Eugene; Knobelsdorf, James Allen; Mantlo, Nathan Bryan; Schkeryantz, Jeffrey Michael; Shen, Quanrong; Warshawsky, Alan M.; Zhu, Guoxin
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 223 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072100	A1	20030904	WO 2003-US2679	20030213 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003217274	A1	20030909	AU 2003-217274	20030213 <--
EP 1480640	A1	20041201	EP 2003-713316	20030213 <--
EP 1480640	B1	20070815		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005529077	T	20050929	JP 2003-570846	20030213 <--
AT 369855	T	20070915	AT 2003-713316	20030213 <--
ES 2290439	T3	20080216	ES 2003-713316	20030213 <--
US 20050107449	A1	20050519	US 2004-505089	20040817 <--
US 7153878	B2	20061226		
PRIORITY APPLN. INFO.:			US 2002-359808P P	20020225 <--
			WO 2003-US2679 W	20030213

OTHER SOURCE(S): MARPAT 139:230768
GI

/ Structure 65 in file .gra /

AB Title compds. I [wherein R3, R4, R30, and R40= independently H, alkyl, halo, or alkoxy; R5 = (un)substituted alkyl, alkenyl, aryl(oxy)alkyl, or arylthioalkyl; or when R5 = alkyl, R5 may be combined with W to form a heterocycloalkyl fused to the oxazole or thiazole ring; R6 = trihalomethyl, trihalomethoxy, (hydroxy)alkyl, alkylcarbamoyl, tetramethyldioxaborolanyl, halo, alkanoyl, carboxyalkoxy, (cyclo)alkoxy, tetrahydropyranyloxy, morpholinyl, or (un)substituted aryloxy, arylthio, heterocyclyloxy, pyridinyl, pyrimidinyl, pyrazinyl, or arylalkyl; R7 and R8 = independently H, CF3, or alkyl; R9 = (un)substituted (aryl)alkyl or alkenyl; R10 = H or alkyl; Q = a bond, O, or CH2; T1 = C or N; W = CH2, O, OCH2, S, SO2, or (un)substituted CONH, NH, or NHCH2; X = C, CH2C, or CCH2; Y and Z = independently O, N, or S wherein at least 1 of Y and Z = O or S; A = CO2H, alkylnitrile, CONH2, or (CH2)nCO2R19; n = 0-3; R19 = H or alkyl; and pharmaceutically acceptable salts thereof] were prepared as peroxisome proliferator activated receptor δ (PPARδ) modulators (no data). For example, (4-mercapto-2-methylphenoxy)acetic acid Et ester was condensed with 1-[4-[2-(2-chloro-6-fluorophenyl)ethyl]-2-(4-trifluoromethylphenyl)thiazol-5-yl]ethanol in the presence of PBu3 and 1,1'-(azodicarbonyl)bipiperidine in toluene. Deesterification with LiOH in THF produced II. I and their pharmaceutical compns. are useful for the prevention and or treatment of diabetes mellitus, syndrome X, and cardiovascular disease (no data).

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L73 ANSWER 33 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:678776 CAPLUS Full-text
DOCUMENT NUMBER: 139:214119
TITLE: Preparation of 3-phenylpropionic acid derivatives for treatment of diabetes and hyperlipemia
INVENTOR(S): Kawanishi, Masashi; Umeno, Hiroshi
PATENT ASSIGNEE(S): Asahi Kasei Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 546 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003070692	A1	20030828	WO 2003-JP1695		20030218 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2477205	A1	20030828	CA 2003-2477205		20030218 <--
AU 2003211383	A1	20030909	AU 2003-211383		20030218 <--
EP 1484316	A1	20041208	EP 2003-705266		20030218 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
CN 1649834	A	20050803	CN 2003-806586		20030218 <--
CN 1296349	C	20070124			
US 20040072690	A1	20040415	US 2003-367857		20030219 <--
US 7015345	B2	20060321			
MX 2004PA08191	A	20041126	MX 2004-PA8191		20040820 <--
HK 1077806	A1	20070601	HK 2005-109938		20051108 <--
PRIORITY APPLN. INFO.:			JP 2002-45287	A	20020221 <--
			US 2002-358328P	P	20020222 <--
			WO 2003-JP1695	W	20030218

OTHER SOURCE(S): MARPAT 139:214119
GI

/ Structure 66 in file .gra /

AB The title compds. I [wherein R1 = (un)substituted alkyl or Ph; R2 = (un)substituted alkyl with exclusions; R3 = halo, alkyl, or alkoxy; m = 0-4; R4 = alkyl; R5 = H or alkyl; n = 2-4; X = NH or O] and salts thereof are prepared I are highly effective in lowering blood sugar, lipid, and total cholesterol, and are useful for the treatment of diabetes and hyperlipemia. For example, compound II was prepared in a multi-step synthesis. II lowered

42% of blood sugar and 51% of lipids in rat in the amount of 1 mg/kg in 15 days.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L73 ANSWER 34 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:633683 CAPLUS Full-text
DOCUMENT NUMBER: 139:185673
TITLE: Preparation and compositions of polymorphic forms of bicyclic antidiabetic agents
INVENTOR(S): Srisilla, Raju; Potlapally, Rajender Kumar; Mamillapalli, Ramabhadra Sarma; Gaddam, Om Reddy
PATENT ASSIGNEE(S): Reddy's Laboratories Limited, India
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003066612	A1	20030814	WO 2003-IB408	20030207 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IN 2002MA00095	A	20050304	IN 2002-MA95	20020207 <--
AU 2003244514	A1	20030902	AU 2003-244514	20030207 <--
PRIORITY APPLN. INFO.:			IN 2002-MA95 A	20020207 <--
			WO 2003-IB408 W	20030207

AB This invention relates to novel polymorphic/pseudopolymorphic forms and compns. of arginine salt of 3-[4-[2-(3,4-dihydro-1,4-benzothiazin-4-yl)ethoxy]phenyl]-2-ethoxypropanoic acid, preferably, L-arginine salt of (2S)-3-[4-[2-(3,4-dihydro-1,4-benzothiazin-4-yl)ethoxy]phenyl]-2- ethoxypropanoic acid. The polymorphic forms of the present invention are more active, as antidiabetic and hypolipidemic agent, than the 3-[4-[2-(2,3-dihydro-1,4-benzothiazin-4-yl)ethoxy]phenyl]-2- ethoxypropanoic acid.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L73 ANSWER 35 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:570941 CAPLUS Full-text
DOCUMENT NUMBER: 139:133829
TITLE: Processes for the preparation of glutamic acid compounds and intermediates thereof and novel intermediates used in the processes
INVENTOR(S): Kawahara, Shigeru; Amino, Yusuke; Mori, Kenichi; Funakoshi, Nao; Takemoto, Tadashi
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003059865	A1	20030724	WO 2002-JP12473		20021129 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2471847	A1	20030724	CA 2002-2471847		20021129 <--
AU 2002349606	A1	20030730	AU 2002-349606		20021129 <--
EP 1466890	A1	20041013	EP 2002-783682		20021129 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
CN 1610658	A	20050427	CN 2002-826402		20021129 <--
RU 2305677	C2	20070910	RU 2004-122910		20021129 <--
JP 4196832	B2	20081217	JP 2003-559970		20021129 <--
US 20050004394	A1	20050106	US 2004-872573		20040622 <--
US 7064219	B2	20060620			
US 20060074249	A1	20060406	US 2005-283943		20051122 <--
US 7390909	B2	20080624			
US 20080091032	A1	20080417	US 2007-951395		20071206 <--
PRIORITY APPLN. INFO.:			JP 2001-396300	A	20011227 <--
			JP 2002-149069	A	20020523 <--
			JP 2002-149078	A	20020523 <--
			JP 2002-182032	A	20020621 <--
			WO 2002-JP12473	W	20021129 <--
			US 2004-872573	A3	20040622
			US 2005-283943	A3	20051122

OTHER SOURCE(S): CASREACT 139:133829; MARPAT 139:133829

AB This document discloses the following : a process for preparing industrially and efficiently glutamic acid compds. (such as monatin) useful as sweeteners or intermediates for the production of drugs or the like; a process for preparation of intermediates used therein; novel intermediates included among them; a process for preparation of optically active monatin; a process for preparation of intermediates used therein; and novel intermediates included among them. Specifically, this document discloses a process for the preparation of glutamic acid compds. (or salts thereof) including monatin, which comprises preparing a ketoglutaric acid compound serving as a precursor of the target glutamic acid compound by condensing a specific pyruvic acid compound with oxalacetic acid or pyruvic acid through cross-aldol reaction and, if necessary, subjecting the obtained condensate to decarboxylation, and replacing the carbonyl group of the ketoglutaric acid compound by an amino group.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L73 ANSWER 36 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:511336 CAPLUS Full-text
DOCUMENT NUMBER: 139:85372
TITLE: Preparation of pyrazolopyrimidines and related compounds as hPPARα and hPPARγ ligands
INVENTOR(S): Das, Saibal Kumar; Bhuniya, Debnath; Madhavan, Gurram

Ranga; Iqbal, Javed; Chakrabarti, Ranjan
PATENT ASSIGNEE(S): Reddy's Laboratories Ltd., India
SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053974	A1	20030703	WO 2002-IB5442	20021217 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IN 2001MA01029	A	20050304	IN 2001-MA1029	20011221 <--
AU 2002348829	A1	20030709	AU 2002-348829	20021217 <--
US 20050096331	A1	20050505	US 2004-499852	20040621 <--

PRIORITY APPLN. INFO.: IN 2001-MA1029 A 20011221 <--
WO 2002-IB5442 W 20021217 <--
OTHER SOURCE(S): CASREACT 139:85372; MARPAT 139:85372
GI

/ Structure 67 in file .gra /

AB Title compds. I [R1 = H, halo, OH, etc.; R2 = H, OH, halo, etc.; R3 = H, (un)substituted alkyl, cycloalkyl, etc.; Z = O, NR4; R4 = H, (un)substituted alkyl, aryl, etc.; Y = O, S, NR6, etc.; R6 = H, (un)substituted alkyl, aryl, etc.; Ar = (un)substituted aromatic, heteroarom., heterocyclic; G = O, S; X = O, NHR5, (CH2)pO, etc.; R5 = H, (un)substituted alkyl, aryl, etc.; n = 1-4; p = 0-4; A = (un)substituted pyrazolopyrimidine, imidazolopyrimidine] and their pharmaceutically acceptable salts and formulations were prepared For example, O-alkylation of 5-ethyl-1,4-dihydro-1-methyl-3-propyl-7H-pyrazolo[4,3-d]pyrimidin-7-one by chloroacetyl II, e.g., prepared from 4-aminothiophenol in 3-steps, followed by ester hydrolysis, afforded claimed pyrazolopyrimidine III in 5% yield. In hPPARα and hPPARγ Luciferase ligand binding assays, 2-examples of compds. I, e.g., pyrazolopyrimidine III, exhibited activity at 50 and 1 μM, resp. The test compds. also inhibited HMG CoA reductase (no data provided). Compds. I are claimed useful as antidiabetic, hypolipidemic, antiobesity and hypocholesterolemic agents.
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L73 ANSWER 37 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:504661 CAPLUS Full-text
DOCUMENT NUMBER: 139:68323
TITLE: Manufacture of extracts containing phenols from salted red Perilla frutescens leaves
INVENTOR(S): Natsume, Midori; Osakabe, Naoko; Kashiwazaki, Hideaki

PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan; Toyotama Perfumery Co., Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003180286	A	20030702	JP 2001-388962	20011221 <--
JP 3775584	B2	20060517		
PRIORITY APPLN. INFO.:			JP 2001-388962	20011221 <--

AB The exts. containing phenols are manufactured by extraction of components from salted red leaves of Perilla frutescens with hydrophilic solvents under acidic and heating conditions, chromatog. of the exts. with adsorptive resins, and concentration and pulverization of the exts. The exts. containing antiallergy phenols (e.g., rosmarinic acid) are useful for foods and beverages for treatment of pollinosis, atopic dermatitis, etc.

L73 ANSWER 38 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:491169 CAPLUS Full-text
DOCUMENT NUMBER: 139:69054
TITLE: Preparation of substituted phenylpropionic acid derivatives as agonists to human peroxisome proliferator-activated receptor alpha (PPAR)
INVENTOR(S): Lindstedt Alstermark, Eva-Lotte; Olsson, Anna Christina; Li, Lanna
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051822	A1	20030626	WO 2002-GB5744	20021218 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2469302	A1	20030626	CA 2002-2469302	20021218 <--
AU 2002352427	A1	20030630	AU 2002-352427	20021218 <--
EP 1458672	A1	20040922	EP 2002-788145	20021218 <--
EP 1458672	B1	20070530		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014986	A	20041214	BR 2002-14986	20021218 <--
HU 2004002022	A2	20050128	HU 2004-2022	20021218 <--
CN 1620422	A	20050525	CN 2002-828123	20021218 <--

CN 1293044	C	20070103			
CN 1620423	A	20050525	CN 2002-828155		20021218 <--
JP 2005526704	T	20050908	JP 2003-552710		20021218 <--
JP 3820249	B2	20060913			
NZ 533274	A	20051223	NZ 2002-533274		20021218 <--
TW 253444	B	20060421	TW 2002-91136518		20021218 <--
TW 255807	B	20060601	TW 2002-91136519		20021218 <--
AT 338743	T	20060915	AT 2002-804964		20021218 <--
CN 1896045	A	20070117	CN 2006-10007173		20021218 <--
ES 2271381	T3	20070416	ES 2002-804964		20021218 <--
RU 2300517	C2	20070610	RU 2004-116918		20021218 <--
AT 363466	T	20070615	AT 2002-788145		20021218 <--
ES 2286310	T3	20071201	ES 2002-788145		20021218 <--
IN 2004DN01550	A	20070302	IN 2004-DN1550		20040604 <--
ZA 2004004657	A	20050829	ZA 2004-4657		20040611 <--
ZA 2004004658	A	20060222	ZA 2004-4658		20040611 <--
MX 2004PA06003	A	20040927	MX 2004-PA6003		20040618 <--
NO 2004003164	A	20040716	NO 2004-3164		20040716 <--
US 20050113362	A1	20050526	US 2004-499378		20040907 <--
US 7256307	B2	20070814			
US 20050282822	A1	20051222	US 2004-26806		20041230 <--
HK 1068870	A1	20071026	HK 2005-101304		20050216 <--
JP 2005336209	A	20051208	JP 2005-235794		20050816 <--
JP 2006298924	A	20061102	JP 2006-123399		20060427 <--
PRIORITY APPLN. INFO.:			SE 2001-4334	A	20011219 <--
			CN 2002-828123	A3	20021218 <--
			JP 2003-552709	A3	20021218 <--
			JP 2003-552710	A3	20021218 <--
			WO 2002-GB5738	W	20021218 <--
			WO 2002-GB5744	W	20021218 <--
			GB 2002-29931	A	20021221 <--
			GB 2003-14079	A	20030618
			WO 2003-GB5602	A	20031219
			WO 2004-EP6597	A	20040617
			US 2005-499261	A2	20050304

OTHER SOURCE(S): MARPAT 139:69054
GI

/ Structure 68 in file .gra /

AB The present invention provides the S enantiomer of a compound of formula (I) (wherein R1 represents 2,4-difluorophenyl or cyclohexyl) as well as pharmaceutically acceptable salts, solvates, crystalline forms and prodrugs thereof, processes for preparing such compds., their the utility in treating clin. conditions including lipid disorders (dyslipidemias) whether or not associated with insulin resistance, methods for their therapeutic use, and pharmaceutical compns. containing them. Thus, to a solution of [4-((2S)-2,3-diethoxy-3-oxopropyl)phenoxy]acetic acid (0.108 g) 3.6 mL CH2Cl2 were added N-(cyclohexylmethyl)-N-heptylamine hydrochloride (0.090 g) and DMAP (0.098 g) followed by 1-ethyl-3-(3- dimethylaminopropyl)carbodiimide hydrochloride (0.070 g) and the reaction mixture was stirred at room temperature overnight to give, after workup and silica gel chromatog., Et (2S)-3-[4-[2-[(cyclohexylmethyl)(heptyl)amino]-2- oxoethoxy]phenyl]-2-ethoxypropanoate which (0.031 g) was saponified with LiOH in aqueous THF at room temperature overnight and acidified with aqueous 2 M HCl to give (2S)-3-[4-[2-[(cyclohexylmethyl)(heptyl)amino]-2-oxoethoxy]phenyl]-2- ethoxypropanoic acid. The compds. I had EC50 of less than 0.5 μmol/L for PPARα and preferred compds.

have EC50 of less than 0.05 μmol/L for PPARα. They were more potent with respect to PPARα than with respect to PPARγ.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L73 ANSWER 39 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:491168 CAPLUS Full-text
DOCUMENT NUMBER: 139:69049
TITLE: Preparation of substituted phenylpropionic acid derivatives as agonists to human peroxisome proliferator-activated receptor alpha (PPAR)
INVENTOR(S): Lindstedt Alstermark, Eva-Lotte; Olsson, Anna Christina; Li, Lanna
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051821	A1	20030626	WO 2002-GB5738	20021218 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2470491	A1	20030626	CA 2002-2470491	20021218 <--
AU 2002366315	A1	20030630	AU 2002-366315	20021218 <--
EP 1458673	A1	20040922	EP 2002-804964	20021218 <--
EP 1458673	B1	20060906		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014988	A	20041214	BR 2002-14988	20021218 <--
HU 2004002133	A2	20050228	HU 2004-2133	20021218 <--
CN 1620422	A	20050525	CN 2002-828123	20021218 <--
CN 1293044	C	20070103		
CN 1620423	A	20050525	CN 2002-828155	20021218 <--
JP 2005526011	T	20050902	JP 2003-552709	20021218 <--
JP 3784804	B2	20060614		
TW 253444	B	20060421	TW 2002-91136518	20021218 <--
NZ 533276	A	20060428	NZ 2002-533276	20021218 <--
TW 255807	B	20060601	TW 2002-91136519	20021218 <--
AT 338743	T	20060915	AT 2002-804964	20021218 <--
CN 1896045	A	20070117	CN 2006-10007173	20021218 <--
ES 2271381	T3	20070416	ES 2002-804964	20021218 <--
AT 363466	T	20070615	AT 2002-788145	20021218 <--
RU 2303031	C2	20070720	RU 2004-116917	20021218 <--
ES 2286310	T3	20071201	ES 2002-788145	20021218 <--
IN 2004DN01549	A	20070817	IN 2004-DN1549	20040604 <--
ZA 2004004657	A	20050829	ZA 2004-4657	20040611 <--
ZA 2004004658	A	20060222	ZA 2004-4658	20040611 <--
MX 2004PA06004	A	20040927	MX 2004-PA6004	20040618 <--

NO 2004003023	A	20040715	NO 2004-3023		20040715	<--
US 20050282822	A1	20051222	US 2004-26806		20041230	<--
HK 1068604	A1	20070302	HK 2005-100831		20050201	<--
US 20050171204	A1	20050804	US 2005-499261		20050304	<--
JP 2005336209	A	20051208	JP 2005-235794		20050816	<--
JP 2006298924	A	20061102	JP 2006-123399		20060427	<--
PRIORITY APPLN. INFO.:			SE 2001-4334	A	20011219	<--
			CN 2002-828123	A3	20021218	<--
			JP 2003-552709	A3	20021218	<--
			JP 2003-552710	A3	20021218	<--
			WO 2002-GB5738	W	20021218	<--
			WO 2002-GB5744	A	20021218	<--
			GB 2002-29931	A	20021221	<--
			GB 2003-14079	A	20030618	
			WO 2003-GB5602	A	20031219	
			WO 2004-EP6597	A	20040617	
			US 2005-499261	A2	20050304	

OTHER SOURCE(S): MARPAT 139:69049
GI

/ Structure 69 in file .gra /

AB The S enantiomer of I, n = 1 or 2, (C6H13 = hexyl) as well as their pharmaceutically acceptable salts, solvates, crystalline forms and prodrugs are synthesized using various solvents and in presence of charcoal-supported palladium catalyst. The utility of these compds. in clin. conditions such as lipid disorders (dyslipidemias) whether or not associated with insulin resistance and therapeutic and other pharmaceutical activities is also investigated. For example, (2S)-3-(4{2-[benzyl(hexyl)amino]-2-oxoethoxy}phenyl)2-ethoxypropionic acid was prepared in 58% yield via reaction of (2S)-2-ethoxy-3-(4-hydroxyphenyl)propanoate and benzyl bromoacetate.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L73 ANSWER 40 OF 600 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:454296 CAPLUS Full-text
DOCUMENT NUMBER: 139:36527
TITLE: Preparation of imidazolidinone derivatives as peroxisome proliferator activated receptor agonists
INVENTOR(S): Gibson, Tracey Ann; Johnston, Richard Duane; Mantlo, Nathan Bryan; Thompson, Richard Craig; Wang, Xiaodong; Winneroski, Leonard Larry, Jr.; Xu, Yanping
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 408 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003048130	A2	20030612	WO 2002-US36128	20021126 <--
WO 2003048130	A3	20031120		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,

PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT,
TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2468846	A1	20030612	CA 2002-2468846		20021126 <--
AU 2002356927	A1	20030617	AU 2002-356927		20021126 <--
EP 1453811	A2	20040908	EP 2002-804416		20021126 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
BR 2002014437	A	20041013	BR 2002-14437		20021126 <--
CN 1582279	A	20050216	CN 2002-823800		20021126 <--
HU 2004002486	A2	20050329	HU 2004-2486		20021126 <--
HU 2004002486	A3	20070502			
JP 2005517643	T	20050616	JP 2003-549322		20021126 <--
NZ 532909	A	20060831	NZ 2002-532909		20021126 <--
US 20050020652	A1	20050127	US 2004-496770		20040525 <--
ZA 2004004173	A	20050823	ZA 2004-4173		20040527 <--
IN 2004KN00716	A	20061110	IN 2004-KN716		20040527 <--
MX 2004PA05123	A	20050217	MX 2004-PA5123		20040528 <--
NO 2004002737	A	20040817	NO 2004-2737		20040629 <--
PRIORITY APPLN. INFO.:			US 2001-334453P	P	20011130 <--
			WO 2002-US36128	W	20021126 <--

OTHER SOURCE(S): MARPAT 139:36527
GI

/ Structure 70 in file .gra /

AB The present invention is directed to compds. represented by the following structural Formula (I) [wherein R1 = H, each (un)substituted C1-C8 alkyl, aryl-C0-4-alkyl, heteroaryl-C0-4-alkyl, C3-6 cycloalkylaryl-C0-2-alkyl, or CH2-C(O)-R17-R18 (wherein R17 = O, NH; R18 = optionally substituted benzyl); R2 = C1-6 alkyl, C1-6 alkenyl, aryl-C0-4-alkyl, heteroaryl-C0-4-alkyl, C1-4 alkylsulfonamide, C1-4 alkylamide, OH, C1-4 alkoxy, C3-6 cycloalkyl; W = O, S; X = an optionally substituted C1-5 alkylene linker wherein one carbon atom of the linker may optionally be replaced with O, NH, S, and optionally two carbons together may form a double bond; Y = C, O, S, NH, a single bond; E = C(R3)(R4)A, A, (CH2)nCO2R19; wherein A = CO2H, C1-3 alkylnitrile, carboxamide, each (un)substituted sulfonamide, acylsulfonamide, tetrazole, or isoxazole; R3 = H, C1-5 alkyl, C1-5 alkoxy; R4 = H, halo, each (un)substituted C1-5 alkyl, C1-5 alkoxy, C3-6 cycloalkyl, aryl-C0-4-alkyl, aryl-C0-2 alkoxy, or Ph; or R3 and R4 are combined to form a C3-8 cycloalkyl; R19 = H, each (un)substituted arylmethyl or C1-4 alkyl; n = 0-3; R21 = H, oxo, each (un)substituted C1-6 alkyl, aryl, C1-4 alkylaryl, or heteroaryl; R22 = H, each (un)substituted C1-6 alkyl, aryl, C1-4 alkyl-aryl, or heteroaryl]. These compds. are useful for preventing or treating diabetes mellitus or treating syndrome X or cardiovascular disease (no data). Thus, To a solution of 2-methyl-2-[2-methyl-4-[2-(3-methyl-2-oxoimidazolidin-4- yl)ethoxy]phenoxy]propionic acid Et ester (0.040 g) in DMF (2.0 mL), was added NaH (60% in mineral oil, 0.0066 g) in one portion and the mixture was stirred for 15 min at room temperature, treated with 4-tert-butylbenzyl bromide (0.030 mL), and stirred for 4 h at room temperature to give, after workup, an Et ester intermediate, which was treated with a mixture of MeOH (2 mL)/5.O N NaOH (1 mL) at room temperature overnight, concentrated, diluted with water (2 mL), cooled down to 0°, and acidified to pH 2 by adding concentrated HCl dropwise to give, after purification on a Chem elut 1005 tube, 2-[4-[2-[1-(4-tert-Butylbenzyl)-3-

methyl-2-oxoimidazolidin-4-yl]ethoxy]-2- methylphenoxy]-2-methylpropionic acid as an colorless oil (0.022 g, 42%).
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s l1 sss sam
SAMPLE SEARCH INITIATED 12:49:53 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2561 TO ITERATE

78.1% PROCESSED 2000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 48185 TO 54255
PROJECTED ANSWERS: 3861 TO 5717

L2 50 SEA SSS SAM L1

=> d scan

L2 50 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzenepropanoic acid, α,2-diethoxy-4-[2-(5-methyl-2-phenyl-4-thiazolyl)ethoxy]-, ethyl ester
MF C27 H33 N O5 S

/ Structure 84 in file .gra /

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 50 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzenepropanoic acid, α-ethoxy-4-[2-(4-ethoxy-9H-carbazol-9-yl)ethoxy]-, ethyl ester
MF C29 H33 N O5

=> s l1 sss full
FULL SEARCH INITIATED 12:51:33 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 50873 TO ITERATE

100.0% PROCESSED 50873 ITERATIONS 4362 ANSWERS
SEARCH TIME: 00.00.01

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1060 L3
4706241 PREP/RL
L4 821 L3/PREP
(L3 (L) PREP/RL)

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      22983071 PY<2003
       4502933 AY<2003
       3971676 PRY<2003
L5         587 L4 AND (PY<2003 OR AY<2003 OR PRY<2003)

=> S L5 AND HYDROGENA?
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L6          49 L5 AND HYDROGENA?

=> S L6 AND CHIRAL?
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L7           6 L6 AND CHIRAL?

=> S L6 AND (CHIRAL? OR OPTICAL?)
        139312 CHIRAL?
       1145559 OPTICAL?
L8           8 L6 AND (CHIRAL? OR OPTICAL?)

=> D L8 1-8 IBIB ABS TI HIT

L8   ANSWER 1 OF 8  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         2007:544712  CAPLUS  Full-text
DOCUMENT NUMBER:          148:449648
TITLE:                    An improved process for the preparation of phenoxazine
                          antidiabetic compounds
INVENTOR(S):              Rao, Siripragada Mahender; Reddy, Chepyala Naveen
                          Kumar; Reddy, Challa Maheedhara; Sarma, Mamillapalli
                          Ramabhandra; Reddy, Gaddam Om
PATENT ASSIGNEE(S):       Reddy's Laboratories Ltd., India
SOURCE:                   Indian Pat. Appl., 18pp.
                          CODEN: INXXBQ
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     IN 2002MA00508        A     20070511    IN 2002-MA508           20020708 <--
PRIORITY APPLN. INFO.:                       IN 2002-MA508           20020708 <--
OTHER SOURCE(S):          CASREACT 148:449648; MARPAT 148:449648
GI
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/ Structure 99 in file .gra /
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AB The invention relates to an improved process for the preparation of antidiabetic phenoxazinylethoxyphenylalkoxypropanoate arginine salts I [R1 = Me, Et, Pr, i-Pr]. The process uses inexpensive chems. and an easy resolution via chiral amine salts. Thus, condensation of 4-[2-(phenoxazin-10-yl)ethoxy]benzaldehyde with Et chloroacetate using EtONa in EtOH gave the corresponding glycidic ester, which was hydrogenated over Pd/C, O-ethylated with di-Et sulfate in xylene, and saponified with aqueous NaOH in MeOH to give acid (±)-II. Resolution of this racemate using (-)-ephedrine and salification with L-arginine in i-PrOH gave I (R1 = Et).

TI An improved process for the preparation of phenoxazine antidiabetic

compounds

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI IN 2002MA00508	A	20070511	IN 2002-MA508	20020708 <--
PRAI IN 2002-MA508		20020708 <--		

AB The invention relates to an improved process for the preparation of antidiabetic phenoxazinylethoxyphenylalkoxypropanoate arginine salts I [R1 = Me, Et, Pr, i-Pr]. The process uses inexpensive chems. and an easy resolution via chiral amine salts. Thus, condensation of 4-[2-(phenoxazin-10-yl)ethoxy]benzaldehyde with Et chloroacetate using EtONa in EtOH gave the corresponding glycidic ester, which was hydrogenated over Pd/C, O-ethylated with di-Et sulfate in xylene, and saponified with aqueous NaOH in MeOH to give acid (±)-II. Resolution of this racemate using (-)-ephedrine and salification with L-arginine in i-PrOH gave I (R1 = Et).

IT 222834-12-0P 222834-14-2P 222834-21-1P 222834-30-2P
267228-45-5P 493014-69-0P 493014-71-4P 493014-73-6P 493014-77-0P
493014-78-1P 493014-79-2P 493014-82-7P 493014-83-8P
493014-84-9P 493014-96-3P 493014-97-4P 493014-98-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(improved preparation of phenoxazine antidiabetic compds.)

L8 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:76765 CAPLUS Full-text
DOCUMENT NUMBER: 138:137318
TITLE: An improved process for the preparation of antidiabetic phenoxazine compounds, e.g., ragaglitazar L-arginine salt
INVENTOR(S): Siripragada, Mahender Rao; Chepyala, Naveen Kumar Reddy; Challa, Maheedharareddy; Mamillapalli, Ramabhadra Sarma; Gaddam, Om Reddy
PATENT ASSIGNEE(S): Reddy's Laboratories Ltd., India
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003008397	A1	20030130	WO 2002-IB2776	20020716 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IN 191728	A1	20031220	IN 2001-MA585	20010718 <--
IN 2001MA00585	A	20081128		
AU 2002313569	A1	20030303	AU 2002-313569	20020716 <--

PRIORITY APPLN. INFO.:		IN 2001-MA585	A	20010718 <--
		WO 2002-IB2776	W	20020716 <--

OTHER SOURCE(S): CASREACT 138:137318; MARPAT 138:137318
GI

/ Structure 100 in file .gra /

AB The invention relates to an improved, multi-step process for the preparation of antidiabetic compds. I [where R1 represents an alkyl group such as Me, Et, Pr, iso-Pr, Bu, tert-Bu, and the like]. I are known antidiabetic, hypolipidemic, and antihypercholesterolemic agents. Older methods of preparing I are industrially unsuitable for a variety of reasons, including exotic and moisture-sensitive reactions, tedious purifns., low yields, and long cycle times. The new method is simple, robust, scalable, and provides I with high chemical and chiral purity. The use of highly reactive, difficult-to-handle, and expensive chems. is avoided, and simple, inexpensive chems. such as di-Et sulfate and K2CO3 are used instead. Two variants of the process are claimed and illustrated, in which the resolution step occurs at different points. For instance, Darzen's condensation of 4-[2-(phenoxazin-10-yl)ethoxy]benzaldehyde with Et chloroacetate using EtONa in EtOH gave the corresponding glycidic ester, which was hydrogenated over Pd/C and saponified with aqueous NaOH in MeOH to give acid (±)-II. Two-step resolution of this racemate using (S)-phenylglycinol and then (-)-α-methylbenzylamine gave (S)-II of 99.2% purity. Combined etherification/esterification of the latter with NaH and EtI, saponification of the ester with aqueous NaOH in MeOH, and salification with L-arginine in iso-PrOH gave I (R1 = Et), i.e., the arginine salt of ragaglitazar.. The alternative sequence involves Darzen's condensation, hydrogenation, etherification/esterification, ester saponification, resolution, and salification.

TI An improved process for the preparation of antidiabetic phenoxazine compounds, e.g., ragaglitazar L-arginine salt

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003008397	A1	20030130	WO 2002-IB2776	20020716 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	IN 191728	A1	20031220	IN 2001-MA585	20010718 <--
	IN 2001MA00585	A	20081128		
	AU 2002313569	A1	20030303	AU 2002-313569	20020716 <--
PRAI	IN 2001-MA585	A	20010718 <--		
	WO 2002-IB2776	W	20020716 <--		

AB The invention relates to an improved, multi-step process for the preparation of antidiabetic compds. I [where R1 represents an alkyl group such as Me, Et, Pr, iso-Pr, Bu, tert-Bu, and the like]. I are known antidiabetic, hypolipidemic, and antihypercholesterolemic agents. Older methods of preparing I are industrially unsuitable for a variety of reasons, including exotic and moisture-sensitive reactions, tedious purifns., low yields, and long cycle times. The new method is simple, robust, scalable, and provides I with high chemical and chiral purity. The use of highly reactive, difficult-to-handle, and expensive chems. is avoided, and simple, inexpensive chems. such as di-Et sulfate and K2CO3 are used instead. Two variants of the process

are claimed and illustrated, in which the resolution step occurs at different points. For instance, Darzen's condensation of 4-[2-(phenoxazin-10-yl)ethoxy]benzaldehyde with Et chloroacetate using EtONa in EtOH gave the corresponding glycidic ester, which was hydrogenated over Pd/C and saponified with aqueous NaOH in MeOH to give acid (±)-II. Two-step resolution of this racemate using (S)-phenylglycinol and then (-)-α-methylbenzylamine gave (S)-II of 99.2% purity. Combined etherification/esterification of the latter with NaH and EtI, saponification of the ester with aqueous NaOH in MeOH, and salification with L-arginine in iso-PrOH gave I (R1 = Et), i.e., the arginine salt of ragaglitazar.. The alternative sequence involves Darzen's condensation, hydrogenation, etherification/esterification, ester saponification, resolution, and salification.

IT 222834-12-0P, Ethyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-ethoxypropanoate 222834-14-2P, Ethyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-hydroxypropanoate 222834-21-1P, 3-[4-[2-(Phenoxazin-10-yl)ethoxy]phenyl]-2-ethoxypropanoic acid 222834-23-3P, 3-[4-[2-(Phenoxazin-10-yl)ethoxy]phenyl]-2-hydroxypropanoic acid 222834-30-2P, (S)-3-[4-[2-(Phenoxazin-10-yl)ethoxy]phenyl]-2-ethoxypropanoic acid 493014-69-0P, Ethyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2,3-epoxypropanoate 493031-09-7P 493031-10-0P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; improved preparation of antidiabetic phenoxazine derivs., e.g., ragaglitazar arginine salt)

IT 222834-24-4P, 3-[4-[2-(Phenoxazin-10-yl)ethoxy]phenyl]-2-butoxypropanoic acid 267228-44-4P, Methyl (S)-3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-methoxypropanoate 267228-45-5P, (S)-3-[4-[2-(Phenoxazin-10-yl)ethoxy]phenyl]-2-methoxypropanoic acid 493014-71-4P, (S)-3-[4-[2-(Phenoxazin-10-yl)ethoxy]phenyl]-2-propoxypropanoic acid 493014-73-6P, (S)-3-[4-[2-(Phenoxazin-10-yl)ethoxy]phenyl]-2-isopropoxypropanoic acid 493014-75-8P, (S)-3-[4-[2-(Phenoxazin-10-yl)ethoxy]phenyl]-2-tert-butoxypropanoic acid 493014-77-0P, Methyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2,3-epoxypropanoate 493014-78-1P, Propyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2,3-epoxypropanoate 493014-79-2P, Isopropyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2,3-epoxypropanoate 493014-80-5P, Butyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2,3-epoxypropanoate 493014-81-6P, tert-Butyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2,3-epoxypropanoate 493014-82-7P, Methyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-hydroxypropanoate 493014-83-8P, Propyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-hydroxypropanoate 493014-84-9P, Isopropyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-hydroxypropanoate 493014-85-0P, Butyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-hydroxypropanoate 493014-86-1P, tert-Butyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-hydroxypropanoate 493014-87-2P, Propyl (S)-3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-propoxypropanoate 493014-88-3P, Isopropyl (S)-3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-isopropoxypropanoate 493014-89-4P, Butyl (S)-3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-butoxypropanoate 493014-90-7P, tert-Butyl (S)-3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-tert-butoxypropanoate 493014-91-8P, Methyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-methoxypropanoate 493014-92-9P, Propyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-propoxypropanoate 493014-93-0P, Isopropyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-isopropoxypropanoate 493014-94-1P, Butyl

3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-butoxypropanoate 493014-95-2P, tert-Butyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-tert-butoxypropanoate 493014-96-3P, 3-[4-[2-(Phenoxazin-10-yl)ethoxy]phenyl]-2-methoxypropanoic acid 493014-97-4P, 3-[4-[2-(Phenoxazin-10-yl)ethoxy]phenyl]-2-propoxypropanoic acid 493014-98-5P, 3-[4-[2-(Phenoxazin-10-yl)ethoxy]phenyl]-2-isopropoxypropanoic acid 493014-99-6P, 3-[4-[2-(Phenoxazin-10-yl)ethoxy]phenyl]-2-tert-butoxypropanoic acid 493031-11-1P, (S)-3-[4-[2-(Phenoxazin-10-yl)ethoxy]phenyl]-2-butoxypropanoic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; improved preparation of antidiabetic phenoxazine derivs., e.g., ragaglitazar arginine salt)

L8 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:229636 CAPLUS Full-text
DOCUMENT NUMBER: 123:111772
ORIGINAL REFERENCE NO.: 123:19965a
TITLE: 2,3-Diaza-1,3-dienes (Azines) as Substrates for the Staudinger Reaction. Synthesis and Reactivity of N-Imino-β-lactams
AUTHOR(S): Alcaide, Benito; Miranda, Miguel; Perez-Castells, Javier; Polanco, Concepcion; Sierra, Miguel A.
CORPORATE SOURCE: Facultad de Quimica, Universidad Complutense, Madrid, 28040, Spain
SOURCE: Journal of Organic Chemistry (1994), 59(26), 8003-10
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:111772
GI

/ Structure 101 in file .gra /

AB The reaction of aromatic and aliphatic azines with different ketene precursors, such as the acid chloride/Et3N system, alkoxychromium(0) carbenes, and free di-Ph ketene, gives N-imino-β-lactams, e.g., I (R1 = PhO, MeO, PhCH2O, phthalimidyl, MeCO2, Me3CO, R2 = 4-MeOC6H4, Ph, 2-furyl, etc., R3 = H, Me), in good to excellent yields, with good levels of cis,trans-selectivity. A wide variety of sym.-substituted azines derived from aldehydes and ketones are compatible with the Staudinger reaction. Chiral N-imino-β-lactams derived from sym. or unsym. (mixed) chiral azines are also obtained in good yields as essentially single enantiomers (de > 95%). Different reaction intermediates, including hemiaminals, oxadiazols, and hydrazides have been isolated. Free di-Ph ketene forms Diels-Alder adducts and N-acylazadienes in addition to the previously reported N-imino-β-lactams. The usual reactivity of the β-lactam ring is modified in N-imino-β-lactams by the presence of the imino group. Thus, β-hydrazono esters, N-alkylamino-β-lactams, and NH-β-lactams can be efficiently obtained by base-catalyzed 2-azetidinone ring opening, catalytic hydrogenation, and ozonolysis, resp.
TI 2,3-Diaza-1,3-dienes (Azines) as Substrates for the Staudinger Reaction. Synthesis and Reactivity of N-Imino-β-lactams
SO Journal of Organic Chemistry (1994), 59(26), 8003-10

CODEN: JOCEAH; ISSN: 0022-3263

AB The reaction of aromatic and aliphatic azines with different ketene precursors, such as the acid chloride/Et3N system, alkoxychromium(0) carbenes, and free di-Ph ketene, gives N-imino-β-lactams, e.g., I (R1 = PhO, MeO, PhCH2O, phthalimidyl, MeCO2, Me3CO, R2 = 4-MeOC6H4, Ph, 2-furyl, etc., R3 = H, Me), in good to excellent yields, with good levels of cis,trans-selectivity. A wide variety of sym.-substituted azines derived from aldehydes and ketones are compatible with the Staudinger reaction. Chiral N-imino-β-lactams derived from sym. or unsym. (mixed) chiral azines are also obtained in good yields as essentially single enantiomers (de > 95%). Different reaction intermediates, including hemiaminals, oxadiazols, and hydrazides have been isolated. Free di-Ph ketene forms Diels-Alder adducts and N-acylazadienes in addition to the previously reported N-imino-β-lactams. The usual reactivity of the β-lactam ring is modified in N-imino-β-lactams by the presence of the imino group. Thus, β-hydrazono esters, N-alkylamino-β-lactams, and NH-β-lactams can be efficiently obtained by base-catalyzed 2-azetidinone ring opening, catalytic hydrogenation, and ozonolysis, resp.

IT 145654-57-5P 165374-81-2P 165374-82-3P 165374-83-4P
165374-90-3P 165374-91-4P 165374-92-5P
165374-93-6P 165374-94-7P 165374-95-8P 165374-96-9P
165374-97-0P 165374-98-1P 165374-99-2P 165375-00-8P 165375-01-9P
165375-02-0P 165375-03-1P 165375-04-2P 165375-05-3P 165375-06-4P
165375-07-5P 165375-08-6P 165874-18-0P 865855-67-0P 865856-13-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(Staudinger reaction of azines and preparation and reactions of N-imino-β-lactams)

L8 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1993:539588 CAPLUS Full-text
DOCUMENT NUMBER:	119:139588
ORIGINAL REFERENCE NO.:	119:25059a,25062a
TITLE:	New ligands for asymmetric dihydroxylation: multiple cinchona alkaloid units attached to a central heterocyclic core
INVENTOR(S):	Hartung, Jens; Sharpless, K. Barry
PATENT ASSIGNEE(S):	Massachusetts Institute of Technology, USA
SOURCE:	PCT Int. Appl., 121 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	5
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9307142	A1	19930415	WO 1992-US8544	19921006 <--
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
US 5260461	A	19931109	US 1991-775683	19911010 <--
EP 608307	A1	19940803	EP 1992-921493	19921006 <--
EP 608307	B1	20040204		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE				
JP 07500323	T	19950112	JP 1993-507170	19921006 <--
JP 3982829	B2	20070926		
CA 2120919	C	20030701	CA 1992-2120919	19921006 <--
AT 258932	T	20040215	AT 1992-921493	19921006 <--
ES 2215989	T3	20041016	ES 1992-921493	19921006 <--
PRIORITY APPLN. INFO.:			US 1991-775683 A	19911010 <--
			US 1988-142692 B2	19880111 <--

US 1988-159064	A2	19880223	<--
US 1988-250378	A2	19880928	<--
US 1990-512934	A2	19900423	<--
WO 1991-US2778	W	19910423	<--
US 1991-699183	A2	19910513	<--
WO 1992-US8544	W	19921006	<--

GI

/ Structure 102 in file .gra /

AB Osmium-catalyzed methods of addition to an olefin are studied. In the method of asym. dihydroxylation of the present invention, an olefin, a chiral ligand, an organic solvent, an aqueous solution, a base, a ferricyanide salt and an osmium-containing compound are combined. The chiral ligand is an alkaloid or alkaloid derivative linked to an organic substituent of at least 300 daltons mol. weight through a planar aromatic spacer group. The organic substituent can be another alkaloid or alkaloid derivative With the described chiral ligands, asym. dihydroxylation of olefins with high yields and enantiomeric excesses are achieved. Thus, dihydroquinidine was treated with 1,4-dichlorophthalazine in DMF containing NaH to give 1,4-bis(9'-O-dihydroquinidyl)phthalazine (I). To a well stirred solution of I, a solution of potassium ferricyanide, K2CO3, OsO4 in toluene was added a Me3COH-H2O solution of 1-decene, the solution was stirred for 24 h at 0° followed by addition of sodium sulfite to give 83% 1,2-decanediol with 84% ee.

TI New ligands for asymmetric dihydroxylation: multiple cinchona alkaloid units attached to a central heterocyclic core

PI WO 9307142 A1 19930415

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI WO 9307142	A1	19930415	WO 1992-US8544	19921006	<--
W: CA, JP					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE					
US 5260461	A	19931109	US 1991-775683	19911010	<--
EP 608307	A1	19940803	EP 1992-921493	19921006	<--
EP 608307	B1	20040204			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE					
JP 07500323	T	19950112	JP 1993-507170	19921006	<--
JP 3982829	B2	20070926			
CA 2120919	C	20030701	CA 1992-2120919	19921006	<--
AT 258932	T	20040215	AT 1992-921493	19921006	<--
ES 2215989	T3	20041016	ES 1992-921493	19921006	<--
PRAI US 1991-775683	A	19911010	<--		
US 1988-142692	B2	19880111	<--		
US 1988-159064	A2	19880223	<--		
US 1988-250378	A2	19880928	<--		
US 1990-512934	A2	19900423	<--		
WO 1991-US2778	W	19910423	<--		
US 1991-699183	A2	19910513	<--		
WO 1992-US8544	W	19921006	<--		

AB Osmium-catalyzed methods of addition to an olefin are studied. In the method of asym. dihydroxylation of the present invention, an olefin, a chiral ligand, an organic solvent, an aqueous solution, a base, a ferricyanide salt and an osmium-containing compound are combined. The chiral ligand is an alkaloid or alkaloid derivative linked to an organic substituent of at least 300 daltons mol. weight through a planar aromatic spacer group. The organic substituent can be another alkaloid or alkaloid derivative With the described chiral

ligands, asym. dihydroxylation of olefins with high yields and enantiomeric excesses are achieved. Thus, dihydroquinidine was treated with 1,4-dichlorophthalazine in DMF containing NaH to give 1,4-bis(9'-O-dihydroquinidyl)phthalazine (I). To a well stirred solution of I, a solution of potassium ferricyanide, K2CO3, OsO4 in toluene was added a Me3COH-H2O solution of 1-decene, the solution was stirred for 24 h at 0° followed by addition of sodium sulfite to give 83% 1,2-decanediol with 84% ee.

IT 100-13-0, p-Nitrostyrene 110-57-6 300-57-2, Allylbenzene 447-53-0 558-37-2 611-15-4, o-Methylstyrene 623-70-1 637-69-4, p-Methoxystyrene 674-76-0 692-70-6 695-12-5 762-63-0 768-49-0 932-66-1, 1-Acetylcyclohexene 935-00-2 1193-18-6 1746-13-0, Allyl phenyl ether 1754-62-7 2039-89-6 2039-90-9 2157-18-8 2738-19-4 3054-95-3 4192-77-2 5820-22-4 7367-82-0 7642-04-8 13389-42-9 14663-11-7 18448-47-0, Methyl 1-cyclohexene carboxylate 20710-38-7 21040-45-9 21087-29-6 22946-43-6 27829-72-7 31552-04-2 34352-92-6 50555-04-9 63511-93-3 63511-95-5 66323-99-7 67364-02-7 71338-71-1 72551-28-1 78277-23-3 81703-93-7 105018-99-3 125187-81-7 125187-82-8 125187-83-9 125206-15-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(asym. dihydroxylation of, chiral dihydroquinidine derivative for osmium catalyzed)

IT 98-83-9, reactions 100-42-5, reactions 103-30-0, trans-Stilbene 766-90-5 771-98-2, 1-Phenylcyclohexene 827-54-3, 2-Vinylnaphthalene 872-05-9, 1-Decene 873-66-5 1463-04-3 3901-07-3, trans-Methyl 4-methoxycinnamate 6714-96-1 7433-56-9, trans-5-Decene 13269-52-8, trans-3-Hexene 13633-26-6 17343-88-3 61142-41-4, Vinylcyclooctane
RL: RCT (Reactant); RACT (Reactant or reagent)
(asym. dihydroxylation of, chiral dihydroquinidine ligand for osmium catalyzed)

IT 56-54-2, Quinidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrogenation of)

IT 2325-10-2P 16355-00-3P 25779-13-9P 31612-63-2P 32345-64-5P 34281-90-8P 35638-92-7P 40421-51-0P 40560-98-3P 49801-14-1P 52340-78-0P 53448-10-5P 57495-92-8P 77977-74-3P 78805-31-9P 79299-22-2P 83603-02-5P 84276-14-2P 84518-30-9P 87827-60-9P 87858-06-8P 88196-06-9P 89063-88-7P 98464-24-5P 99881-77-3P 108666-29-1P 108741-12-4P 110549-79-6P 113162-03-1P 113162-04-2P 113162-11-1P 115889-27-5P 121564-12-3P 122517-80-0P 124649-67-8P 125132-75-4P 130876-03-8P 130932-13-7P 130932-14-8P 132486-47-6P 135042-86-3P 135042-87-4P 135096-77-4P 136031-93-1P 138890-41-2P 139093-40-6P 139093-41-7P 139093-42-8P 139093-44-0P 139093-45-1P 139093-46-2P 139093-47-3P 139165-53-0P 139165-54-1P 139165-55-2P 139165-56-3P 139165-57-4P 139165-58-5P 139165-59-6P 139165-60-9P 139165-61-0P 139165-62-1P 139165-63-2P 139165-64-3P 139165-65-4P 139165-66-5P 139165-67-6P 139165-68-7P 139165-69-8P 139165-70-1P 143536-11-2P 149519-66-4P 149519-67-5P 149519-68-6P 149519-69-7P 149562-10-7P 149562-11-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L8 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1992:486257 CAPLUS Full-text
DOCUMENT NUMBER: 117:86257
ORIGINAL REFERENCE NO.: 117:14967a,14970a
TITLE: A lipid-lipase aggregate with ether linkage as a new type of immobilized enzyme for enantioselective hydrolysis in organic solvents
AUTHOR(S): Akita, Hiroyuki; Umezawa, Isao; Matsukura, Hiroko; Oishi, Takeshi

CORPORATE SOURCE: Sch. Pharm. Sci., Toho Univ., Funabashi, 274, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1992), 40(2), 318-24
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English

AB For the purpose of carrying out smoothly enzymic reaction of water-insol. substrates in organic solvents, a new type of immobilized enzyme, a lipid-lipase aggregate, was developed. To prepare various kinds of lipid-lipase aggregates, 27 kinds of dialkyl ether-type phospholipid analogs were newly synthesized and used for the preparation of aggregates with lipase. Thus obtained lipid-lipase aggregates catalyzed the enantioselective hydrolysis of the (±)-α-acyloxy ester 2 much more efficiently than lipase immobilized with synthetic prepolymer (ENTP-4000) in water-saturated iso-Pr ether. The reaction time became much shorter (2 to 3 days for completion as compared with 21 days) and the chemical and optical yields of the reaction products were high.

TI A lipid-lipase aggregate with ether linkage as a new type of immobilized enzyme for enantioselective hydrolysis in organic solvents

SO Chemical & Pharmaceutical Bulletin (1992), 40(2), 318-24
CODEN: CPBTAL; ISSN: 0009-2363

AB For the purpose of carrying out smoothly enzymic reaction of water-insol. substrates in organic solvents, a new type of immobilized enzyme, a lipid-lipase aggregate, was developed. To prepare various kinds of lipid-lipase aggregates, 27 kinds of dialkyl ether-type phospholipid analogs were newly synthesized and used for the preparation of aggregates with lipase. Thus obtained lipid-lipase aggregates catalyzed the enantioselective hydrolysis of the (±)-α-acyloxy ester 2 much more efficiently than lipase immobilized with synthetic prepolymer (ENTP-4000) in water-saturated iso-Pr ether. The reaction time became much shorter (2 to 3 days for completion as compared with 21 days) and the chemical and optical yields of the reaction products were high.

IT 64599-78-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenation of)

IT 125354-94-1P 125354-96-3P
RL: PREP (Preparation)
(preparation of, by lipid-lipase aggregate hydrolysis in solvents)

L8 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1990:406196 CAPLUS Full-text
DOCUMENT NUMBER: 113:6196
ORIGINAL REFERENCE NO.: 113:1203a,1206a
TITLE: Synthesis of threo-3-aryl-2,3-dihydroxypropanoic acid derivatives with high optical purity
AUTHOR(S): Matthews, Barry R.; Gountzos, Helen; Jackson, W. Roy; Watson, Keith G.
CORPORATE SOURCE: Dep. Chem., Monash Univ., Clayton, 3168, Australia
SOURCE: Tetrahedron Letters (1989), 30(38), 5157-8
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:6196
GI

/ Structure 103 in file .gra /

AB Cyanohydrins of aromatic aldehydes can be obtained in high optical purity using the Inoue dipeptide catalyst system and converted into enantiomerically and diastereochem. pure threo-3-aryl-2,3-dihydroxypropanoic acid derivs. via a route which involves a base-catalyzed equilibration of the acetonides of the cyano diols. Thus, (R)-(+)-4-MeOC6H4CH(OH)CN was hydrogenated over Ni and treated sequentially with NaHSO3, NaCN, and (MeO)2CMe2 and acid to give cis- and trans-dioxolanes I. Hydrolysis and epimerization of I with KOH in EtOH and then treatment with aqueous acid followed by HCl-MeOH gave the enantiomerically pure threo-4-MeOC6H4CH(OH)CH(OH)CO2Me (II). II was successfully converted into diltiazem.

TI Synthesis of threo-3-aryl-2,3-dihydroxypropanoic acid derivatives with high optical purity

TI Synthesis of threo-3-aryl-2,3-dihydroxypropanoic acid derivatives with high optical purity

SO Tetrahedron Letters (1989), 30(38), 5157-8
CODEN: TELEAY; ISSN: 0040-4039

AB Cyanohydrins of aromatic aldehydes can be obtained in high optical purity using the Inoue dipeptide catalyst system and converted into enantiomerically and diastereochem. pure threo-3-aryl-2,3-dihydroxypropanoic acid derivs. via a route which involves a base-catalyzed equilibration of the acetonides of the cyano diols. Thus, (R)-(+)-4-MeOC6H4CH(OH)CN was hydrogenated over Ni and treated sequentially with NaHSO3, NaCN, and (MeO)2CMe2 and acid to give cis- and trans-dioxolanes I. Hydrolysis and epimerization of I with KOH in EtOH and then treatment with aqueous acid followed by HCl-MeOH gave the enantiomerically pure threo-4-MeOC6H4CH(OH)CH(OH)CO2Me (II). II was successfully converted into diltiazem.

IT 97070-73-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrogenation and cyanation of)

IT 122517-80-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion of, to diltiazem)

L8 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1990:75971 CAPLUS Full-text
DOCUMENT NUMBER: 112:75971
ORIGINAL REFERENCE NO.: 112:12975a,12978a
TITLE: Ligand-accelerated catalytic asymmetric dihydroxylation
INVENTOR(S): Marko, Istvan E.; Sharpless, K. Barry
PATENT ASSIGNEE(S): Massachusetts Institute of Technology, USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8906225	A1	19890713	WO 1989-US86	19890110 <--
W: JP				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4871855	A	19891003	US 1988-159068	19880223 <--
US 4965364	A	19901023	US 1988-250378	19880928 <--
EP 395729	A1	19901107	EP 1989-901900	19890110 <--
EP 395729	B1	19950927		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 03503885	T	19910829	JP 1989-501814	19890110 <--
JP 3153540	B2	20010409		

	EP 658532	A1	19950621	EP 1995-200458		19890110 <--
	EP 658532	B1	19990407			
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
	AT 128449	T	19951015	AT 1989-901900		19890110 <--
	AT 178578	T	19990415	AT 1995-200458		19890110 <--
	JP 2001192383	A	20010717	JP 2000-335775		19890110 <--
	CA 1338314	C	19960507	CA 1989-587964		19890111 <--
PRIORITY APPLN. INFO.:				US 1988-142692	A	19880111 <--
				US 1988-159068	A	19880223 <--
				US 1988-250378	A	19880928 <--
				EP 1989-901900	A3	19890110 <--
				JP 1989-501814	A3	19890110 <--
				WO 1989-US86	W	19890110 <--

AB Vicinal diols are prepared by asym. dihydroxylation of olefins in the presence of a chiral ligand, an Os-containing catalyst, an amine oxide, an organic solvent, and H2O. OsO4 was injected into a solution of trans-stilbene, hydroquinidine p-chlorobenzoate, and N-methylmorpholine N-oxide in Me2CO and H2O at 0-4° with shaking, Na2S2O5 added, and the mixture worked up to give 55% (R,R)-PhCH(OH)CH(OH)Ph of 99% enantiomeric excess. Preparation of dihydroquinidine derivs. and their recovery were also given. Asym. dihydroxylations of trans-3-hexene, 1-phenylcyclohexene, β-methylstyrene, and Me (E)-4-methoxycinnamate were also given.

TI Ligand-accelerated catalytic asymmetric dihydroxylation

PI WO 8906225 A1 19890713

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 8906225	A1	19890713	WO 1989-US86	19890110 <--
	W: JP				
	RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	US 4871855	A	19891003	US 1988-159068	19880223 <--
	US 4965364	A	19901023	US 1988-250378	19880928 <--
	EP 395729	A1	19901107	EP 1989-901900	19890110 <--
	EP 395729	B1	19950927		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	JP 03503885	T	19910829	JP 1989-501814	19890110 <--
	JP 3153540	B2	20010409		
	EP 658532	A1	19950621	EP 1995-200458	19890110 <--
	EP 658532	B1	19990407		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	AT 128449	T	19951015	AT 1989-901900	19890110 <--
	AT 178578	T	19990415	AT 1995-200458	19890110 <--
	JP 2001192383	A	20010717	JP 2000-335775	19890110 <--
	CA 1338314	C	19960507	CA 1989-587964	19890111 <--
PRAI	US 1988-142692	A	19880111 <--		
	US 1988-159068	A	19880223 <--		
	US 1988-250378	A	19880928 <--		
	EP 1989-901900	A3	19890110 <--		
	JP 1989-501814	A3	19890110 <--		
	WO 1989-US86	W	19890110 <--		

AB Vicinal diols are prepared by asym. dihydroxylation of olefins in the presence of a chiral ligand, an Os-containing catalyst, an amine oxide, an organic solvent, and H2O. OsO4 was injected into a solution of trans-stilbene, hydroquinidine p-chlorobenzoate, and N-methylmorpholine N-oxide in Me2CO and H2O at 0-4° with shaking, Na2S2O5 added, and the mixture worked up to give 55% (R,R)-PhCH(OH)CH(OH)Ph of 99% enantiomeric excess. Preparation of dihydroquinidine derivs. and their recovery were also given. Asym. dihydroxylations of trans-3-hexene, 1-phenylcyclohexene, β-methylstyrene, and Me (E)-4-methoxycinnamate were also given.

ST asym dihydroxylation olefin chiral ligand

IT Hydroxylation

(osmylation, stereoselective, of olefins, chiral ligands as accelerators for)

IT 56-54-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrogenation of)

IT 40421-51-0P 52340-78-0P 53448-10-5P 125073-64-5P
125132-75-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L8 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1987:534332 CAPLUS Full-text
DOCUMENT NUMBER: 107:134332
ORIGINAL REFERENCE NO.: 107:21708h,21709a
TITLE: Preparation of 1,5-benzothiazepin-4-one derivatives as blood platelet aggregation inhibitors
INVENTOR(S): Inoue, Hirozumi; Otsuka, Hisao
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62096482	A	19870502	JP 1986-141592	19860617 <--
JP 05081597	B	19931115		

PRIORITY APPLN. INFO.: JP 1985-136569 A1 19850621 <--
GI

/ Structure 104 in file .gra /

AB The title compds. [I, II and III; R1 = alkyl, alkoxy; R2 = H, alkanoyl; R3 = alkyl; R4 = H; R5 or R6 is H and the other is Cl; R7 or R8 is alkyl, alkoxy, alkylthio, F, PhCO2O or OH and the other is H, or R7 = R8 = alkoxy], useful as blood platelet aggregation inhibitors, were prepared by treatment of I, II and III (R4 = alkyl) with acid halides of haloformic acids, e.g., COCl2, or their esters, e.g., CCl3O2CCl, and deacylation of the resulting I, II and III (R4 = COR9; R9 = halo or ester residue). COCl2 (31.91 g) in toluene was added to a solution of 20.21 g II (R1 = OMe, R2 = Ac, R3 = R4 = Me, R5 = Cl, R6 = H) in toluene and the mixture was stirred for 16 h at 30° to give II (R1 = OMe, R2 = Ac, R3 = Me, R4 = COCl, R5 = Cl, R6 = H), which was treated with 10% aqueous HCl and MeCN under reflux to give II (R1 = OMe, R2 = R4 = R6 = H, R3 = Me, R5 = Cl)(as the fumarate). In vitro, this inhibited collagen-induced blood platelet aggregation.

TI Preparation of 1,5-benzothiazepin-4-one derivatives as blood platelet aggregation inhibitors

PI JP 62096482 A 19870502 Showa

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 62096482	A	19870502	JP 1986-141592	19860617 <--
JP 05081597	B	19931115		
PRAI JP 1985-136569	A1	19850621 <--		

IT 103921-06-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, dihydrobenzothiazepine derivative from)

IT 103921-05-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenation of)

IT 100902-58-7P, (±)-cis-2-(4-Methoxyphenyl)-3-hydroxy-9-chloro-2,3-dihydro-1,5-benzothiazepine-4(5H)-one
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and resolution of, via chiral (naphthylsulfonyl)pyrrolidinecarboxylaye ester)

=> S L6 AND (Ru? or Rh? or Pd? or Os?)
1446878 RU?
783851 RH?
329541 PD?
581552 OS?
L9 18 L6 AND (RU? OR RH? OR PD? OR OS?)

=> d l9 1-5 ibib abs ti hit

L9 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:544712 CAPLUS Full-text
DOCUMENT NUMBER: 148:449648
TITLE: An improved process for the preparation of phenoxazine antidiabetic compounds
INVENTOR(S): Rao, Siripragada Mahender; Reddy, Chepyala Naveen Kumar; Reddy, Challa Maheedhara; Sarma, Mamillapalli Ramabhandra; Reddy, Gaddam Om
PATENT ASSIGNEE(S): Reddy's Laboratories Ltd., India
SOURCE: Indian Pat. Appl., 18pp.
CODEN: INXXBQ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2002MA00508	A	20070511	IN 2002-MA508	20020708 <--
PRIORITY APPLN. INFO.:			IN 2002-MA508	20020708 <--

OTHER SOURCE(S): CASREACT 148:449648; MARPAT 148:449648
GI

/ Structure 105 in file .gra /

AB The invention relates to an improved process for the preparation of antidiabetic phenoxazinylethoxyphenylalkoxypropanoate arginine salts I [R1 = Me, Et, Pr, i-Pr]. The process uses inexpensive chems. and an easy resolution via chiral amine salts. Thus, condensation of 4-[2-(phenoxazin-10-yl)ethoxy]benzaldehyde with Et chloroacetate using EtONa in EtOH gave the corresponding glycidic ester, which was hydrogenated over Pd/C, O-ethylated with di-Et sulfate in xylene, and saponified with aqueous NaOH in MeOH to give

acid (±)-II. Resolution of this racemate using (-)-ephedrine and salification with L-arginine in i-PrOH gave I (R1 = Et).

TI An improved process for the preparation of phenoxazine antidiabetic compounds

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI IN 2002MA00508	A	20070511	IN 2002-MA508	20020708 <--
PRAI IN 2002-MA508		20020708 <--		

AB The invention relates to an improved process for the preparation of antidiabetic phenoxazinylethoxyphenylalkoxypropanoate arginine salts I [R1 = Me, Et, Pr, i-Pr]. The process uses inexpensive chems. and an easy resolution via chiral amine salts. Thus, condensation of 4-[2-(phenoxazin-10-yl)ethoxy]benzaldehyde with Et chloroacetate using EtONa in EtOH gave the corresponding glycidic ester, which was hydrogenated over Pd/C, O-ethylated with di-Et sulfate in xylene, and saponified with aqueous NaOH in MeOH to give acid (±)-II. Resolution of this racemate using (-)-ephedrine and salification with L-arginine in i-PrOH gave I (R1 = Et).

IT 222834-12-0P 222834-14-2P 222834-21-1P 222834-30-2P
267228-45-5P 493014-69-0P 493014-71-4P 493014-73-6P 493014-77-0P
493014-78-1P 493014-79-2P 493014-82-7P 493014-83-8P
493014-84-9P 493014-96-3P 493014-97-4P 493014-98-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(improved preparation of phenoxazine antidiabetic compds.)

L9 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:242286 CAPLUS Full-text
DOCUMENT NUMBER: 138:271396
TITLE: Preparation of 3-aryl-2-alkoxypropanoates from 3-aryl-2-oxopropanoates via ketalization and reduction
INVENTOR(S): Siripragada, Mahender Rao; Vanadanapu, Loka Appala Purushotham; Mamillapalli, Ramabhadra Sarma; Gaddam, Om Reddy
PATENT ASSIGNEE(S): Reddy's Laboratories Ltd., India
SOURCE: PCT Int. Appl., 12 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003024915	A1	20030327	WO 2002-IB3874	20020919 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IN 193612	A1	20040724	IN 2001-MA779	20010920 <--
AU 2002339216	A1	20030401	AU 2002-339216	20020919 <--

PRIORITY APPLN. INFO.:	IN 2001-MA779	A	20010920 <--
	WO 2002-IB3874	W	20020919 <--

OTHER SOURCE(S): CASREACT 138:271396; MARPAT 138:271396

AB 4-HOC6H4CH2CH(OR1)CO2R2 (R1 = H, alkyl; R2 = alkyl), were prepared by converting 4-HOC6H4CH2COCO2H to 4-HOC6H4CH2C(OR1)2CO2R2 (variables as above) in the presence of acid followed by reduction in HOAc at 40-80 psi for 6-12 h. Thus, 4-hydroxyphenylpyruvic acid was stirred in EtOH at 10-15° for 3 h, at room temperature for 6 h, and at 50-60° for 4 h to give 4-HOC6H4CH2C(OEt)2CO2Et. The latter was hydrogenated in EtOH/HOAc over Rh/Al2O3 at 60 psi for 12 h to give 4-HOC6H4CH2CH(OEt)CO2Et.

TI Preparation of 3-aryl-2-alkoxypropanoates from 3-aryl-2-oxopropanoates via ketalization and reduction

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003024915	A1	20030327	WO 2002-IB3874	20020919 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	IN 193612	A1	20040724	IN 2001-MA779	20010920 <--
	AU 2002339216	A1	20030401	AU 2002-339216	20020919 <--
PRAI	IN 2001-MA779	A	20010920 <--		
	WO 2002-IB3874	W	20020919 <--		

AB 4-HOC6H4CH2CH(OR1)CO2R2 (R1 = H, alkyl; R2 = alkyl), were prepared by converting 4-HOC6H4CH2COCO2H to 4-HOC6H4CH2C(OR1)2CO2R2 (variables as above) in the presence of acid followed by reduction in HOAc at 40-80 psi for 6-12 h. Thus, 4-hydroxyphenylpyruvic acid was stirred in EtOH at 10-15° for 3 h, at room temperature for 6 h, and at 50-60° for 4 h to give 4-HOC6H4CH2C(OEt)2CO2Et. The latter was hydrogenated in EtOH/HOAc over Rh/Al2O3 at 60 psi for 12 h to give 4-HOC6H4CH2CH(OEt)CO2Et.

IT 1314-15-4, Platinum dioxide 7440-02-0, Nickel, uses 7440-16-6, Rhodium, uses
RL: CAT (Catalyst use); USES (Uses)
(preparation of 3-aryl-2-alkoxypropanoates from 3-aryl-2-oxopropanoates via ketalization and reduction)

IT 4375-92-2P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-aryl-2-alkoxypropanoates from 3-aryl-2-oxopropanoates via ketalization and reduction)

IT 197299-16-4P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of 3-aryl-2-alkoxypropanoates from 3-aryl-2-oxopropanoates via ketalization and reduction)

L9 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:76765 CAPLUS Full-text
DOCUMENT NUMBER: 138:137318
TITLE: An improved process for the preparation of antidiabetic phenoxazine compounds, e.g., ragaglitazar L-arginine salt
INVENTOR(S): Siripragada, Mahender Rao; Chepyala, Naveen Kumar Reddy; Challa, Maheedharareddy; Mamillapalli, Ramabhadra Sarma; Gaddam, Om Reddy

PATENT ASSIGNEE(S): Reddy's Laboratories Ltd., India
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003008397	A1	20030130	WO 2002-IB2776	20020716 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IN 191728	A1	20031220	IN 2001-MA585	20010718 <--
IN 2001MA00585	A	20081128		
AU 2002313569	A1	20030303	AU 2002-313569	20020716 <--

PRIORITY APPLN. INFO.:			
	IN 2001-MA585	A	20010718 <--
	WO 2002-IB2776	W	20020716 <--

OTHER SOURCE(S): CASREACT 138:137318; MARPAT 138:137318
GI

/ Structure 106 in file .gra /

AB The invention relates to an improved, multi-step process for the preparation of antidiabetic compds. I [where R1 represents an alkyl group such as Me, Et, Pr, iso-Pr, Bu, tert-Bu, and the like]. I are known antidiabetic, hypolipidemic, and antihypercholesterolemic agents. Older methods of preparing I are industrially unsuitable for a variety of reasons, including exotic and moisture-sensitive reactions, tedious purifns., low yields, and long cycle times. The new method is simple, robust, scalable, and provides I with high chemical and chiral purity. The use of highly reactive, difficult-to-handle, and expensive chems. is avoided, and simple, inexpensive chems. such as di-Et sulfate and K2CO3 are used instead. Two variants of the process are claimed and illustrated, in which the resolution step occurs at different points. For instance, Darzen's condensation of 4-[2-(phenoxazin-10-yl)ethoxy]benzaldehyde with Et chloroacetate using EtONa in EtOH gave the corresponding glycidic ester, which was hydrogenated over Pd/C and saponified with aqueous NaOH in MeOH to give acid (±)-II. Two-step resolution of this racemate using (S)-phenylglycinol and then (-)-α-methylbenzylamine gave (S)-II of 99.2% purity. Combined etherification/esterification of the latter with NaH and EtI, saponification of the ester with aqueous NaOH in MeOH, and salification with L-arginine in iso-PrOH gave I (R1 = Et), i.e., the arginine salt of ragaglitazar.. The alternative sequence involves Darzen's condensation, hydrogenation, etherification/esterification, ester saponification, resolution, and salification.

TI An improved process for the preparation of antidiabetic phenoxazine compounds, e.g., ragaglitazar L-arginine salt

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003008397	A1	20030130	WO 2002-IB2776	20020716 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	IN 191728	A1	20031220	IN 2001-MA585	20010718 <--
	IN 2001MA00585	A	20081128		
	AU 2002313569	A1	20030303	AU 2002-313569	20020716 <--
PRAI	IN 2001-MA585	A	20010718 <--		
	WO 2002-IB2776	W	20020716 <--		

AB The invention relates to an improved, multi-step process for the preparation of antidiabetic compds. I [where R1 represents an alkyl group such as Me, Et, Pr, iso-Pr, Bu, tert-Bu, and the like]. I are known antidiabetic, hypolipidemic, and antihypercholesterolemic agents. Older methods of preparing I are industrially unsuitable for a variety of reasons, including exotic and moisture-sensitive reactions, tedious purifns., low yields, and long cycle times. The new method is simple, robust, scalable, and provides I with high chemical and chiral purity. The use of highly reactive, difficult-to-handle, and expensive chems. is avoided, and simple, inexpensive chems. such as di-Et sulfate and K2CO3 are used instead. Two variants of the process are claimed and illustrated, in which the resolution step occurs at different points. For instance, Darzen's condensation of 4-[2-(phenoxazin-10-yl)ethoxy]benzaldehyde with Et chloroacetate using EtONa in EtOH gave the corresponding glycidic ester, which was hydrogenated over Pd/C and saponified with aqueous NaOH in MeOH to give acid (±)-II. Two-step resolution of this racemate using (S)-phenylglycinol and then (-)-α-methylbenzylamine gave (S)-II of 99.2% purity. Combined etherification/esterification of the latter with NaH and EtI, saponification of the ester with aqueous NaOH in MeOH, and salification with L-arginine in iso-PrOH gave I (R1 = Et), i.e., the arginine salt of ragaglitazar.. The alternative sequence involves Darzen's condensation, hydrogenation, etherification/esterification, ester saponification, resolution, and salification.

IT 222834-12-0P, Ethyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-ethoxypropanoate 222834-14-2P, Ethyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-hydroxypropanoate 222834-21-1P, 3-[4-[2-(Phenoxazin-10-yl)ethoxy]phenyl]-2-ethoxypropanoic acid 222834-23-3P, 3-[4-[2-(Phenoxazin-10-yl)ethoxy]phenyl]-2-hydroxypropanoic acid 222834-30-2P, (S)-3-[4-[2-(Phenoxazin-10-yl)ethoxy]phenyl]-2-ethoxypropanoic acid 493014-69-0P, Ethyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2,3-epoxypropanoate 493031-09-7P 493031-10-0P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; improved preparation of antidiabetic phenoxazine derivs., e.g., ragaglitazar arginine salt)

IT 222834-24-4P, 3-[4-[2-(Phenoxazin-10-yl)ethoxy]phenyl]-2-butoxypropanoic acid 267228-44-4P, Methyl (S)-3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-methoxypropanoate 267228-45-5P, (S)-3-[4-[2-(Phenoxazin-10-yl)ethoxy]phenyl]-2-methoxypropanoic acid 493014-71-4P, (S)-3-[4-[2-(Phenoxazin-10-yl)ethoxy]phenyl]-2-propoxypropanoic acid

493014-73-6P, (S)-3-[4-[2-(Phenoxazin-10-yl)ethoxy]phenyl]-2-isopropoxypropanoic acid 493014-75-8P, (S)-3-[4-[2-(Phenoxazin-10-yl)ethoxy]phenyl]-2-tert-butoxypropanoic acid 493014-77-0P, Methyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2,3-epoxypropanoate 493014-78-1P, Propyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2,3-epoxypropanoate 493014-79-2P, Isopropyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2,3-epoxypropanoate 493014-80-5P, Butyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2,3-epoxypropanoate 493014-81-6P, tert-Butyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2,3-epoxypropanoate 493014-82-7P, Methyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-hydroxypropanoate 493014-83-8P, Propyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-hydroxypropanoate 493014-84-9P, Isopropyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-hydroxypropanoate 493014-85-0P, Butyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-hydroxypropanoate 493014-86-1P, tert-Butyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-hydroxypropanoate 493014-87-2P, Propyl (S)-3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-propoxypropanoate 493014-88-3P, Isopropyl (S)-3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-isopropoxypropanoate 493014-89-4P, Butyl (S)-3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-butoxypropanoate 493014-90-7P, tert-Butyl (S)-3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-tert-butoxypropanoate 493014-91-8P, Methyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-methoxypropanoate 493014-92-9P, Propyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-propoxypropanoate 493014-93-0P, Isopropyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-isopropoxypropanoate 493014-94-1P, Butyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-butoxypropanoate 493014-95-2P, tert-Butyl 3-[4-[2-(phenoxazin-10-yl)ethoxy]phenyl]-2-tert-butoxypropanoate 493014-96-3P, 3-[4-[2-(Phenoxazin-10-yl)ethoxy]phenyl]-2-methoxypropanoic acid 493014-97-4P, 3-[4-[2-(Phenoxazin-10-yl)ethoxy]phenyl]-2-propoxypropanoic acid 493014-98-5P, 3-[4-[2-(Phenoxazin-10-yl)ethoxy]phenyl]-2-isopropoxypropanoic acid 493014-99-6P, 3-[4-[2-(Phenoxazin-10-yl)ethoxy]phenyl]-2-tert-butoxypropanoic acid 493031-11-1P, (S)-3-[4-[2-(Phenoxazin-10-yl)ethoxy]phenyl]-2-butoxypropanoic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; improved preparation of antidiabetic phenoxazine derivs., e.g., ragaglitazar arginine salt)

L9 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:262036 CAPLUS Full-text
DOCUMENT NUMBER: 124:289000
ORIGINAL REFERENCE NO.: 124:53583a,53586a
TITLE: Hydrogenation process and catalysts for the production of phenyllactic acids from phenylpyruvic acids
INVENTOR(S): Morita, Hikari; Mori, Hiroyuki
PATENT ASSIGNEE(S): Nitto Chemical Industry Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 8 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 696566	A1	19960214	EP 1995-112540	19950809 <--
EP 696566	B1	19980610		
R: CH, DE, LI				
JP 08053394	A	19960227	JP 1994-206102	19940809 <--
JP 3394606	B2	20030407		
US 5684186	A	19971104	US 1995-511152	19950804 <--
CN 1122325	A	19960515	CN 1995-109050	19950809 <--
CN 1083422	C	20020424		

PRIORITY APPLN. INFO.: JP 1994-206102 A 19940809 <--
OTHER SOURCE(S): CASREACT 124:289000; MARPAT 124:289000
GI

/ Structure 107 in file .gra /

AB Phenyllactic acids [I; R1, R2 = H, OH, (un)branched alkyl, (un)branched alkoxy; R3 = H, (un)branched alkyl; R1R2 = methylenedioxy], useful as intermediates in the preparation of agrochems. (no data) and pharmaceuticals (no data), are prepared in high yield by the hydrogenation of phenylpyruvic acids (II) in the presence of a catalyst containing ≥1 Group VIII metal (e.g., Pd, Pt, Ni, etc.). Thus, 3-(4-hydroxyphphenyl)pyruvic acid was hydrogenated in MeOH using a Pd/C catalyst at 25°/5 kg/cm2, producing 3-(4-hydroxyphenyl)lactic acid.

TI Hydrogenation process and catalysts for the production of phenyllactic acids from phenylpyruvic acids

TI Hydrogenation process and catalysts for the production of phenyllactic acids from phenylpyruvic acids

PI EP 696566 A1 19960214

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 696566	A1	19960214	EP 1995-112540	19950809 <--
EP 696566	B1	19980610		
R: CH, DE, LI				
JP 08053394	A	19960227	JP 1994-206102	19940809 <--
JP 3394606	B2	20030407		
US 5684186	A	19971104	US 1995-511152	19950804 <--
CN 1122325	A	19960515	CN 1995-109050	19950809 <--
CN 1083422	C	20020424		
PRAI JP 1994-206102	A	19940809 <--		

AB Phenyllactic acids [I; R1, R2 = H, OH, (un)branched alkyl, (un)branched alkoxy; R3 = H, (un)branched alkyl; R1R2 = methylenedioxy], useful as intermediates in the preparation of agrochems. (no data) and pharmaceuticals (no data), are prepared in high yield by the hydrogenation of phenylpyruvic acids (II) in the presence of a catalyst containing ≥1 Group VIII metal (e.g., Pd, Pt, Ni, etc.). Thus, 3-(4-hydroxyphenyl)pyruvic acid was hydrogenated in MeOH using a Pd/C catalyst at 25°/5 kg/cm2, producing 3-(4-hydroxyphenyl)lactic acid.

ST phenyllactic acid prepn; hydroxyphenyllactic acid prepn; phenylpyruvic hydrogenation prepn phenyllactic acid

IT Hydrogenation catalysts
(Group VIII metals for the conversion of phenylpyruvic acids into phenyllactic acids)

IT Group VIII elements
RL: CAT (Catalyst use); USES (Uses)
(hydrogenation catalysts for the production of phenyllactic acids from phenylpyruvic acids)

IT Hydrogenation

(of phenylpyruvic acids in the production of phenyllactic acids)
IT 7440-02-0, Nickel, uses 7440-05-3, Palladium, uses 7440-06-4, Platinum, uses 7440-16-6, Rhodium, uses 7440-48-4, Cobalt, uses
RL: CAT (Catalyst use); USES (Uses)
(hydrogenation process and catalysts for the production of phenyllactic acids from phenylpyruvic acids)
IT 123-08-0, 4-Hydroxybenzaldehyde 156-06-9 543-24-8, N-Acetylglycine 884-18-4 1201-77-0 4228-66-4 4607-41-4 28030-16-2 38335-22-7 39829-17-9 69882-69-5 70028-57-8 100612-75-7 115863-73-5 175897-64-0 175897-71-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrogenation process and catalysts for the production of phenyllactic acids from phenylpyruvic acids)
IT 156-39-8P, 3-(4-Hydroxyphenyl)pyruvic acid 38243-39-9P 52507-17-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(hydrogenation process and catalysts for the production of phenyllactic acids from phenylpyruvic acids)
IT 306-23-0P, 3-(4-Hydroxyphenyl)lactic acid 828-01-3P 949-14-4P 3247-74-3P 6803-09-4P 23028-17-3P 28030-15-1P 52262-43-8P 55301-58-1P 175897-65-1P 175897-66-2P 175897-67-3P 175897-68-4P 175897-69-5P 175897-70-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(hydrogenation process and catalysts for the production of phenyllactic acids from phenylpyruvic acids)

L9 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1993:539588 CAPLUS Full-text
DOCUMENT NUMBER: 119:139588
ORIGINAL REFERENCE NO.: 119:25059a,25062a
TITLE: New ligands for asymmetric dihydroxylation: multiple cinchona alkaloid units attached to a central heterocyclic core
INVENTOR(S): Hartung, Jens; Sharpless, K. Barry
PATENT ASSIGNEE(S): Massachusetts Institute of Technology, USA
SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9307142	A1	19930415	WO 1992-US8544	19921006 <--
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
US 5260461	A	19931109	US 1991-775683	19911010 <--
EP 608307	A1	19940803	EP 1992-921493	19921006 <--
EP 608307	B1	20040204		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE				
JP 07500323	T	19950112	JP 1993-507170	19921006 <--
JP 3982829	B2	20070926		
CA 2120919	C	20030701	CA 1992-2120919	19921006 <--
AT 258932	T	20040215	AT 1992-921493	19921006 <--
ES 2215989	T3	20041016	ES 1992-921493	19921006 <--
PRIORITY APPLN. INFO.:			US 1991-775683 A	19911010 <--
			US 1988-142692 B2	19880111 <--
			US 1988-159064 A2	19880223 <--

	US 1988-250378	A2	19880928 <--
	US 1990-512934	A2	19900423 <--
	WO 1991-US2778	W	19910423 <--
	US 1991-699183	A2	19910513 <--
	WO 1992-US8544	W	19921006 <--

GI

/ Structure 108 in file .gra /

AB Osmium-catalyzed methods of addition to an olefin are studied. In the method of asym. dihydroxylation of the present invention, an olefin, a chiral ligand, an organic solvent, an aqueous solution, a base, a ferricyanide salt and an osmium-containing compound are combined. The chiral ligand is an alkaloid or alkaloid derivative linked to an organic substituent of at least 300 daltons mol. weight through a planar aromatic spacer group. The organic substituent can be another alkaloid or alkaloid derivative With the described chiral ligands, asym. dihydroxylation of olefins with high yields and enantiomeric excesses are achieved. Thus, dihydroquinidine was treated with 1,4-dichlorophthalazine in DMF containing NaH to give 1,4-bis(9'-O-dihydroquinidyl)phthalazine (I). To a well stirred solution of I, a solution of potassium ferricyanide, K2CO3, OsO4 in toluene was added a Me3COH-H2O solution of 1-decene, the solution was stirred for 24 h at 0° followed by addition of sodium sulfite to give 83% 1,2-decanediol with 84% ee.

TI New ligands for asymmetric dihydroxylation: multiple cinchona alkaloid units attached to a central heterocyclic core

PI WO 9307142 A1 19930415

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9307142	A1	19930415	WO 1992-US8544	19921006 <--
	W: CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
	US 5260461	A	19931109	US 1991-775683	19911010 <--
	EP 608307	A1	19940803	EP 1992-921493	19921006 <--
	EP 608307	B1	20040204		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE				
	JP 07500323	T	19950112	JP 1993-507170	19921006 <--
	JP 3982829	B2	20070926		
	CA 2120919	C	20030701	CA 1992-2120919	19921006 <--
	AT 258932	T	20040215	AT 1992-921493	19921006 <--
	ES 2215989	T3	20041016	ES 1992-921493	19921006 <--
PRAI	US 1991-775683	A	19911010 <--		
	US 1988-142692	B2	19880111 <--		
	US 1988-159064	A2	19880223 <--		
	US 1988-250378	A2	19880928 <--		
	US 1990-512934	A2	19900423 <--		
	WO 1991-US2778	W	19910423 <--		
	US 1991-699183	A2	19910513 <--		
	WO 1992-US8544	W	19921006 <--		

AB Osmium-catalyzed methods of addition to an olefin are studied. In the method of asym. dihydroxylation of the present invention, an olefin, a chiral ligand, an organic solvent, an aqueous solution, a base, a ferricyanide salt and an osmium-containing compound are combined. The chiral ligand is an alkaloid or alkaloid derivative linked to an organic substituent of at least 300 daltons mol. weight through a planar aromatic spacer group. The organic substituent can be another alkaloid or alkaloid derivative With the described chiral ligands, asym. dihydroxylation of olefins with high yields and enantiomeric

excesses are achieved. Thus, dihydroquinidine was treated with 1,4-dichlorophthalazine in DMF containing NaH to give 1,4-bis(9'-O-dihydroquinidyl)phthalazine (I). To a well stirred solution of I, a solution of potassium ferricyanide, K2CO3, OsO4 in toluene was added a Me3COH-H2O solution of 1-decene, the solution was stirred for 24 h at 0° followed by addition of sodium sulfite to give 83% 1,2-decanediol with 84% ee.

ST asym dihydroxylation catalyst dihydroquinidine ligand; alkene dihydrozylation catalyst; cinchona alkaloid osmylation catalyst

IT Asymmetric synthesis and induction
(osmium catalyzed dihydroxylation, cinchona alkaloid derivs. as accelerator for)

IT Hydroxylation catalysts
(osmylation, stereoselective, ligand accelerated, dihydroquinidine derivs. for)

IT 100-13-0, p-Nitrostyrene 110-57-6 300-57-2, Allylbenzene 447-53-0 558-37-2 611-15-4, o-Methylstyrene 623-70-1 637-69-4, p-Methoxystyrene 674-76-0 692-70-6 695-12-5 762-63-0 768-49-0 932-66-1, 1-Acetylcyclohexene 935-00-2 1193-18-6 1746-13-0, Allyl phenyl ether 1754-62-7 2039-89-6 2039-90-9 2157-18-8 2738-19-4 3054-95-3 4192-77-2 5820-22-4 7367-82-0 7642-04-8 13389-42-9 14663-11-7 18448-47-0, Methyl 1-cyclohexene carboxylate 20710-38-7 21040-45-9 21087-29-6 22946-43-6 27829-72-7 31552-04-2 34352-92-6 50555-04-9 63511-93-3 63511-95-5 66323-99-7 67364-02-7 71338-71-1 72551-28-1 78277-23-3 81703-93-7 105018-99-3 125187-81-7 125187-82-8 125187-83-9 125206-15-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(asym. dihydroxylation of, chiral dihydroquinidine derivative for osmium catalyzed)

IT 98-83-9, reactions 100-42-5, reactions 103-30-0, trans-Stilbene 766-90-5 771-98-2, 1-Phenylcyclohexene 827-54-3, 2-Vinylnaphthalene 872-05-9, 1-Decene 873-66-5 1463-04-3 3901-07-3, trans-Methyl 4-methoxycinnamate 6714-96-1 7433-56-9, trans-5-Decene 13269-52-8, trans-3-Hexene 13633-26-6 17343-88-3 61142-41-4, Vinylcyclooctane
RL: RCT (Reactant); RACT (Reactant or reagent)
(asym. dihydroxylation of, chiral dihydroquinidine ligand for osmium catalyzed)

IT 56-54-2, Quinidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrogenation of)

IT 2325-10-2P 16355-00-3P 25779-13-9P 31612-63-2P 32345-64-5P 34281-90-8P 35638-92-7P 40421-51-0P 40560-98-3P 49801-14-1P 52340-78-0P 53448-10-5P 57495-92-8P 77977-74-3P 78805-31-9P 79299-22-2P 83603-02-5P 84276-14-2P 84518-30-9P 87827-60-9P 87858-06-8P 88196-06-9P 89063-88-7P 98464-24-5P 99881-77-3P 108666-29-1P 108741-12-4P 110549-79-6P 113162-03-1P 113162-04-2P 113162-11-1P 115889-27-5P 121564-12-3P 122517-80-0P 124649-67-8P 125132-75-4P 130876-03-8P 130932-13-7P 130932-14-8P 132486-47-6P 135042-86-3P 135042-87-4P 135096-77-4P 136031-93-1P 138890-41-2P 139093-40-6P 139093-41-7P 139093-42-8P 139093-44-0P 139093-45-1P 139093-46-2P 139093-47-3P 139165-53-0P 139165-54-1P 139165-55-2P 139165-56-3P 139165-57-4P 139165-58-5P 139165-59-6P 139165-60-9P 139165-61-0P 139165-62-1P 139165-63-2P 139165-64-3P 139165-65-4P 139165-66-5P 139165-67-6P 139165-68-7P 139165-69-8P 139165-70-1P 143536-11-2P 149519-66-4P 149519-67-5P 149519-68-6P 149519-69-7P 149562-10-7P 149562-11-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT 72989-10-7P 120385-14-0P 139093-52-0P 146333-99-5P 146334-00-1P 146334-01-2P 146334-02-3P 146334-03-4P 146334-04-5P 146334-05-6P 146334-06-7P 146334-07-8P 146334-08-9P 146334-09-0P 146334-10-3P

149519-70-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as ligand for osmium catalyzed asym. dihydroxylation)

IT 113162-02-0P 113216-88-9P 130876-04-9P 130876-05-0P 130876-06-1P
130876-07-2P 130876-08-3P 135042-88-5P 135042-89-6P 135096-78-5P
138890-32-1P 138890-33-2P 138890-34-3P 138890-35-4P 138890-36-5P
138890-37-6P 138890-38-7P 138890-39-8P 138890-40-1P 138923-13-4P
139012-31-0P 140853-10-7P 140924-50-1P 146333-98-4P 148215-08-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as ligand for osmium tetroxide catalyzed dihydroxylation of olefins)

=> d l9 ibib abs 6-10

L9 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1993:169109 CAPLUS Full-text
DOCUMENT NUMBER: 118:169109
ORIGINAL REFERENCE NO.: 118:29012h,29013a
TITLE: Preparation of (tetrazolylbiphenylmethyl)benzazepinones and related compounds as growth hormone release promoters
INVENTOR(S): Fisher, Michael H.; Wyvratt, Matthew J.; Schoen, William R.; Devita, Robert J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 346 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9216524	A1	19921001	WO 1992-US2271	19920319 <--
W: BB, BG, BR, LK, MG, MN, MW, PL, RO, RU, SD				
US 5206235	A	19930427	US 1992-839742	19920228 <--
EP 513974	A1	19921119	EP 1992-302143	19920312 <--
EP 513974	B1	19960904		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
AT 142206	T	19960915	AT 1992-302143	19920312 <--
IL 101206	A	19970218	IL 1992-101206	19920312 <--
CA 2063185	A1	19920921	CA 1992-2063185	19920317 <--
AU 9213012	A	19920924	AU 1992-13012	19920319 <--
AU 653992	B2	19941020		
CN 1066070	A	19921111	CN 1992-102954	19920319 <--
CN 1033584	C	19961218		
ZA 9202009	A	19921125	ZA 1992-2009	19920319 <--
JP 06172316	A	19940621	JP 1992-112069	19920319 <--
JP 08000814	B	19960110		
HU 66796	A2	19941228	HU 1992-915	19920319 <--
RO 117326	B1	20020130	RO 1993-1245	19920319 <--
US 5310737	A	19940510	US 1993-12190	19930202 <--
PRIORITY APPLN. INFO.:			US 1991-673695 A	19910320 <--
			US 1992-839742 A	19920228 <--
			WO 1992-US2271 W	19920319 <--

OTHER SOURCE(S): MARPAT 118:169109
GI

/ Structure 109 in file .gra /

AB Title compds. [I; L = (substituted) phenylene; n, w = 0, 1; p = 0-3; q = 0-4; X = CO, O, S, SO, SO2, CH(OH), CH:CH, imino; R1, R2, R7, R8 = H, halo, (perfluoro)alkyl, perfluoroalkoxy, cyano, NO2, (substituted) Ph, acyl(alkyl), etc.; R4, R5 = H, (substituted) Ph, alkyl, alkenyl, alkynyl, alkanoyloxy, alkoxycarbonyl, carboxy, CHO, amino; R4R5 = (CH2)rB(CH2)s; B = CH2, O, imino, S, SO, SO2; r, s = 1-3; R6 = H, alkyl, Ph, phenylalkyl; R9 = H, (substituted) tetrazolyl, acylalkyl, aminoalkyl, carbamoylalkyl, tetrazolylalkyl, tetrazolylphenyl, tetrazolylphenoxy, etc.; A = (CH2)xCR10R11(CH2)y; x, y = 0-3; R10, R11 = H, CF3, (substituted) alkyl, Ph, etc.; R10R11 = (CH2)t; t = 2-6; R10, R11 may be joined to R4 and/or R5], were prepared for promotion of release of growth hormone (no data). Thus, 3-benzyloxycarbonylamino-3-methyl-N-[2,3,4,5-tetrahydro-2-oxo-1H-1- benzazepin-3R-yl]butanamide (preparation from 3-azido-2,3,4,5-tetrahydro-1H-1-benzazepin-2-one given) was stirred 15 min with NaH in DMF; N-triphenylmethyl-5-[2-(4'-bromobiphen-4-yl)]tetrazole (preparation starting from 5-phenyl-2-trityltetrazole and 4-IC6H4Me given) in DMF was added and the mixture was stirred 90 min to give 95% coupling product, which was hydrogenated in MeOH over Pd(OH)2/C for 14 h to give 89% 3-amino-3-methyl-N-[2,3,4,5-tetrahydro-2-oxo-1-[[2'- (1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1H-1-benzazepin-3R- yl]butanamide trifluoroacetate.

L9 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1990:75971 CAPLUS Full-text
DOCUMENT NUMBER: 112:75971
ORIGINAL REFERENCE NO.: 112:12975a,12978a
TITLE: Ligand-accelerated catalytic asymmetric dihydroxylation
INVENTOR(S): Marko, Istvan E.; Sharpless, K. Barry
PATENT ASSIGNEE(S): Massachusetts Institute of Technology, USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 8906225	A1	19890713	WO 1989-US86		19890110 <--
W: JP					
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE					
US 4871855	A	19891003	US 1988-159068		19880223 <--
US 4965364	A	19901023	US 1988-250378		19880928 <--
EP 395729	A1	19901107	EP 1989-901900		19890110 <--
EP 395729	B1	19950927			
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
JP 03503885	T	19910829	JP 1989-501814		19890110 <--
JP 3153540	B2	20010409			
EP 658532	A1	19950621	EP 1995-200458		19890110 <--
EP 658532	B1	19990407			
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
AT 128449	T	19951015	AT 1989-901900		19890110 <--
AT 178578	T	19990415	AT 1995-200458		19890110 <--
JP 2001192383	A	20010717	JP 2000-335775		19890110 <--
CA 1338314	C	19960507	CA 1989-587964		19890111 <--
PRIORITY APPLN. INFO.:			US 1988-142692	A	19880111 <--

US 1988-159068	A	19880223	<--
US 1988-250378	A	19880928	<--
EP 1989-901900	A3	19890110	<--
JP 1989-501814	A3	19890110	<--
WO 1989-US86	W	19890110	<--

AB Vicinal diols are prepared by asym. dihydroxylation of olefins in the presence of a chiral ligand, an Os-containing catalyst, an amine oxide, an organic solvent, and H2O. OsO4 was injected into a solution of trans-stilbene, hydroquinidine p-chlorobenzoate, and N-methylmorpholine N-oxide in Me2CO and H2O at 0-4° with shaking, Na2S2O5 added, and the mixture worked up to give 55% (R,R)-PhCH(OH)CH(OH)Ph of 99% enantiomeric excess. Preparation of dihydroquinidine derivs. and their recovery were also given. Asym. dihydroxylations of trans-3-hexene, 1-phenylcyclohexene, β-methylstyrene, and Me (E)-4-methoxycinnamate were also given.

L9 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1986:129936 CAPLUS Full-text
DOCUMENT NUMBER: 104:129936
ORIGINAL REFERENCE NO.: 104:20565a,20568a
TITLE: 1,5-Benzothiazepine derivatives
INVENTOR(S): Takeda, Mikio; Ohishi, Tokuro; Nakajima, Hiromichi; Nagao, Taku
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd. , Japan
SOURCE: Eur. Pat. Appl., 69 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 158339	A2	19851016	EP 1985-104341	19850410	<--
EP 158339	A3	19860611			
EP 158339	B1	19890118			
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
US 4585768	A	19860429	US 1985-715116	19850322	<--
AU 8540392	A	19851017	AU 1985-40392	19850326	<--
AU 572978	B2	19880519			
JP 60226866	A	19851112	JP 1985-73356	19850405	<--
JP 03074659	B	19911127			
DK 8501577	A	19851011	DK 1985-1577	19850409	<--
DK 172257	B1	19980209			
HU 37411	A2	19851228	HU 1985-1303	19850409	<--
HU 191785	B	19870428			
CA 1218991	A1	19870310	CA 1985-478613	19850409	<--
SU 1632372	A3	19910228	SU 1985-3880298	19850409	<--
AT 40126	T	19890215	AT 1985-104341	19850410	<--
CN 85103524	A	19861105	CN 1985-103524	19850502	<--
CN 1017706	B	19920805			
SU 1358784	A3	19871207	SU 1986-4027451	19860513	<--

PRIORITY APPLN. INFO.:	GB 1984-9258	A	19840410 <--
	EP 1985-104341	A	19850410 <--

OTHER SOURCE(S): CASREACT 104:129936; MARPAT 104:129936
GI

/ Structure 110 in file .gra /

AB (Aminoethyl)benzothiazepinones I (R1, R3 = alkyl; R2 = H, alkanoyl, PhCH2; 1 of R4, R5 = Cl, the other H; R6 = H) were prepared Thus, 5,2-Cl(O2N)C6H3SH and Me trans-3-(4-methoxyphenyl)oxiranecarboxylate were stirred in PhMe containing Zn(OAc)2 to give (±)-threo-5,2-ClC6H3SCH(C6H4OMe-4)CH(OH)CO2Me. This was saponified and the acid resolved by crystallization of its L-lysine salt. The (-)-threo isomer was hydrogenated over Pd/C to give the amine which was cyclized by refluxing in xylene to give (-)-cis-I (R2 = R3 = Me, R2 = R5 = H, R4 = Cl, R6 = PhCH2) which was treated successively with PhCH2O2CCl and HBr/HOAc to give (-)-cis-I (R1 = R3 = Me, R2 = R5 = R6 = N, R4 = Cl), isolated as its hydrochloride (II). Blood plasma from rats given 10 mg II/kg orally showed ≥50% inhibition of collagen-induced platelet aggregation.

L9 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1976:58822 CAPLUS Full-text
DOCUMENT NUMBER: 84:58822
ORIGINAL REFERENCE NO.: 84:9659a,9662a
TITLE: Synthesis of guaiacylglycerol-β-guaiacyl ether
AUTHOR(S): Nakatsubo, Fumiaki; Sato, Kimihiko; Higuchi, Takayoshi
CORPORATE SOURCE: Wood Res. Inst., Kyoto Univ., Uji, Japan
SOURCE: Holzforschung (1975), 29(5), 165-8
CODEN: HOLZAZ; ISSN: 0018-3830
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Guaiacylglycerol-β-guaiacyl ether (I), the model compound of arylglycerol-β-aryl ether structure in lignin was synthesized in high yield through five reaction steps from vanillin. The key step of this synthetic method was the condensation reaction between o-MeOC6H4OCH2CO2Et and 3,4-(MeO)(BzO)C6H3CHO (II). At this step, lithium diisopropyl amide was used as the base, and 3,4-(MeO)(BzO)C6H3CH(OH)CH(CO2Et)OC6H4OMe-o (III) was obtained in 95% yield as an oily substance consisted of two isomers, from which only erythro isomer was obtained as crystal in 51% yield. The residual oily substance was converted to its carbamate (IV) and crystallized in 70% yield. The crystalline III and IV were then converted to I by the LiAlH4 reduction and subsequent hydrogenation with Pd-C. The overall yield of I from II was about 72%.

L9 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1975:443121 CAPLUS Full-text
DOCUMENT NUMBER: 83:43121
ORIGINAL REFERENCE NO.: 83:6811a,6814a
TITLE: Polyphenolic acids of Lithospermum ruderale (Boraginaceae). I. Isolation and structure determination of lithospermic acid
AUTHOR(S): Kelley, Charles J.; Mahajan, J. R.; Brooks, Lucille C.; Neubert, Leonard A.; Breneman, W. R.; Carmack, Marvin
CORPORATE SOURCE: Dep. Chem., Indiana Univ., Bloomington, IN, USA
SOURCE: Journal of Organic Chemistry (1975), 40(12), 1804-15
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB A structure is proposed for lithospermic acid (I), C27H22O12, the major polyphenolic acid of Lithospermum ruderale and several other plant species of the families, Boraginaceae and Labiatae. Chromatog. on Sephadex of aqueous

exts. of the plant yields the di-K salt of I, together with salts of lesser constituents which include (R)-3-(3,4-dihydroxyphenyl)lactic acid, 2-(3,4-dihydroxyphenyl)-3-carboxy-4-(2-carboxy-trans-vinyl)-7- hydroxycoumaran, and rosmarinic acid. Structures were deduced from spectral studies of the salts, the free acids, and also the methylated derivs., produced by the action of CH2N2 on the free acids or Me2SO4 on the salts.

=> d l9 11-15 ibib abs ti hit

L9 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1966:51892 CAPLUS Full-text
DOCUMENT NUMBER: 64:51892
ORIGINAL REFERENCE NO.: 64:9672d-h,9673a-c
TITLE: New synthesis of 2-hydroxy-2-benzyl-3-coumaranones
AUTHOR(S): Chopin, Jean; Durual, Pierre; Chadenson, Michele
CORPORATE SOURCE: Fac. Sci., Lyon
SOURCE: Bulletin de la Societe Chimique de France (1965), (12), 3572-7
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 64:51892

AB A series of 2-hydroxy-2-benzyl-3-coumaranones was prepared by the debenzylation of the appropriate α-diketones obtained by the isomerization of 2'-benzyloxychalcones or of the corresponding 2'-benzyloxy-α-methoxychalcones. The existence of an equilibrium between the cyclic and open forms was demonstrated by their N. M.R. spectra and was in good agreement with the results of the alkaline rearrangement of the 3-hydroxyflavanones. 2,4-(HO)2C6H3COCH2OMe (I) (5.5 g.) with Me2SO4 yielded 4.1 g. 2,4-HO(MeO)C6H3COCH2OMe (II), m. 65-6° (EtOH). II (1.9 g.) with PhCH2Cl in HCONMe2 in the presence of NaI and K2CO3 gave 1.8 g. 2,4-phCH2O(MeO)C6H3COCH2OMe (III), m. 66° (EtOH). I (2 g.) with PhCH2Cl gave similarly 2.6 g. 2,4-(PhCH2O)2C6H3COCH2OMe (IV), m. 104° (EtOH). II (1 g.) and 1 g. BzH in 20 cc. EtOH treated overnight with 2 g. 50% ag. NaOH and acidified yielded 785 mg. 2,4-PhCH2O(MeO)C6H3COC(OMe):CHPh (V), m. 95° (EtOH). V (1 g.) in 100 cc. MeOH and 20 cc. H2O refluxed 6 hrs. with 10 cc. concentrated HCl gave 550 mg. yellow 2,4-PhCH2O(MeO)C6H3COCOCH2Ph, m. 86° (EtOH). IV (1 g.) with 1 g. BzH gave 1.1 g. yellowish white 2,4-(PhCH2O)2-C6H3COC(OMe):CHPh (VI); m. 120°. III (1 g.) and 1 g. p-MeOC6H4CHO yielded 815 mg. yellowish white 4-MeO analog of VI, m. 92-3°. o-PhCH2OC6H4COCOCH2Ph (1 g.) in 20 cc. AcOH heated 1.5 hrs. on a water bath with 10 cc. concentrated HCl yielded 585 mg. beige 2-hydroxy-2-benzyl-3-coumaranone (VII), m. 104° (C6H6-hexane). o-PhCH2OC6H4COCH(OH)CHClPh gave similarly 78% VII. o-PhCH2OC6H4COCOCH2C6H4OMe-p (1 g.) gave similarly 490 mg. beige 4'-MeO derivative (VIII) of VII, m. 120° (C6H6-hexane). 3-Hydroxy-4'-methoxyflavanone (IX) (1 g.) heated 5 min. on the water bath with 100 cc. 2N alc. KOH and poured into 200 cc. 2N HCl gave 403 mg. 4'-methoxyflavonol (X), m. 228° (EtOH); the filtrate from the X yielded 385 mg. oily o-HOC6H4C(OH)(CO2H)CH2C6H4OMe-p (XI) which gave an intense blue color with alc. FeCl3. The XI methylated with Me2SO4 and K2CO3 in MeOH gave o-MeOC6H4C(OH)(CO2Me)CH2C6H4OMe-p, m. 133° (EtOH), which saponified with alc. KOH yielded o-MeOC6H4C(OH)(CO2H)CH6C6H4OMe-p, m. 159° (EtOH), and 190 mg. VIII, m. 120°. VIII dehydrated with concentrated H2SO4 gave 4'-methoxyaurone, m. 138-9°. IX heated 15 min. on the water bath with alc. KOH gave 646 mg. XI and 296 mg. X. 4,2-MeO(PhCH2O)C6H3COCOCH2Ph (XII) (1 g.) with HCl-AcOH gave 435 mg. 6-MeO derivative (XIII) of VII, m. 120° (C6H6-hexane). XII (1 g.) in 20 cc. EtOH hydrogenated over 100 mg. 10% Pd-C, and the product

chromatographed on Al2O3 yielded 450 mg. XIII. 4,2-MeO(PhCH2O)C6H3COCH(OH)CH-ClPh with HCl-AcOH gave 55% XIII. V gave similarly 57% XIII. XIII (100 mg.) and 2 cc. concentrated H2SO4 heated 10 min. on the water bath gave 76 mg. 6-methoxyaurone, m. 145° (EtOH). XIII (100 mg.) in 5 cc. EtOH and 3 cc. 2N KOH heated 3 min. on the water bath and acidified with 2N HCl yielded oily 2,4-HO(MeO)C6H3C(OH)(CO2H)CH2Ph (it gave an intense blue color with alc. FeCl3) which heated 3 min. on the water bath gave 79 mg. 6-methoxy-3-benzal-2-coumaranone, m. 129° (MeOH). 4,-2-MeO(PhCH2O)C6H3COCOCH2C6H4OMe-p (1 g.) with HClAcOH yielded 470 mg. beige 4',6-dimethoxy derivative (XIV) of VII, m. 111° (C6H6-hexane). 4,2-MeO(PhCH2O)C6H3COC(OMe):CHC6H4OMe-p (500 mg.) gave similarly 225 mg. XIV. XIV treated with concentrated H2SO4 gave 6,4'-dimethoxyaurone, m. 134°. 2,4-(PhCH2O)2C6H3COC(OMe):CHPh (500 mg.) with HClAcOH gave 179 mg. 6-PhCH2 derivative of VII, m. 186-7° (C6H6), which dehydrated with concentrated H2SO4 yielded 6-hydroxyaurone, m. 262-5°. 2'-Hydroxy-3,4-dimethoxychalcone (8 g.) with Ac2O and AcONa gave 8 g. acetate, m. 90°, which treated in 100 cc. CS2 and 10 cc. CH2Cl2 with 1.25 cc. Br in 10 cc. CS2 and kept 1 hr. yielded 11 g. dibromide (XV), m. 162-3° (CHCl3-hexane). XV (11 g.) refluxed 15 min. with 80 cc. Me2CO and 20 cc. H2O and heated 5 min. with 10 g. Na2CO3 in 70 cc. H2O gave 1.8 g. 3',4'-dimethoxyflavanol (XVI), m. 156-8° (MeOH). 3-Hydroxy-3',4'-dimethoxyflavanone (XVII) heated 5 min. on the water bath with 2N alc. KOH gave 235 mg. 3-hydroxy-3',4'-dimethoxyflavone, m. 196-7°, 275 mg. o-HOC6H4C(OH)(CO2H)CH2C6H4(OMe)2-3,4 (XVIII) (it gave an intense blue color with alc. FeCl3), and 365 mg. 3',4'-dimethoxy derivative of VII. XVIII with Me2SO4 yielded o-MeOC6H4C(OH)(CO2Me)CH2C6H3(OMe)2-3,4, m. 129° (EtOH), which saponified with alc. KOH gave o-MeOC6H4C(OH)(CO2H)CH2C6H3(OMe)2-3,4, m. 179° (EtOH). XVII gave similarly during 15 min. 45% XVIII and 45% XVI.

TI New synthesis of 2-hydroxy-2-benzyl-3-coumaranones

SO Bulletin de la Societe Chimique de France (1965), (12), 3572-7

L9 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1965:438715 CAPLUS Full-text
DOCUMENT NUMBER: 63:38715
ORIGINAL REFERENCE NO.: 63:6873c-g
TITLE: Synthesis of prephenic acid diethyl acetal and its hydrolysis to phenylpyruvic acid and prephenic acid
AUTHOR(S): Plieninger, Hans; Arnold, Lothar; Fischer, Rolf; Hoffmann, Werner
CORPORATE SOURCE: Univ. Heidelberg, Germany
SOURCE: Chemische Berichte (1965), 98(6), 1774-81
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German

GI For diagram(s), see printed CA Issue.

AB I and the di-Et acetal (II) of III were prepared The time at which the maximum yield of III can be obtained by the acid hydrolysis of II was calculated from kinetic data and the calcn. confirmed by the experiment; III was formed together with larger amts. of PhCH2COCO2H (IV). Di-Et 2-cyclohexen-4-one-1-carboxylate-1-pyruvate di-Et acetal (35.6 g.), b0.1 155°, n25D 1.4087, in 350 cc. tert-BuOH refluxed 5 hrs. with stirring with 5 cc. AcOH and 11 g. SeO2, treated with an addnl. 11 g. SeO2, and again refluxed 5 hrs., and the product shaken in Et2O 6 hrs. with 10 g. deactivated Raney Ni yielded 25 g. I, b0.1 150°, n20D 1.4840. I (35.6 mg.), 5.0 cc. EtOH, and 4.0 cc. 0.1N NaOH heated 0.5 hr. at 50°, treated with 5 cc. N HCl, and heated 15 min. at 50°, and the mixture cooled and diluted with H2O to 100 cc. gave a solution containing 18 mg. p-HOC6H4CH2COCO2H. I (1.0 g.), 100 mg. Na, and 5 cc. EtOH heated 3 hrs. at 50° gave 0.70 g. p-HOC6H4CH24C(OEt)2CO2Et (IV). I (7.1 g.) in 10 cc. EtOH added dropwise with stirring during 15 min. at 5° to 0.40 g. NaBH4 in 40 cc. EtOH and kept 15 min. at 20° yielded 5.3 g. oily di-Et

ester (V) of II, n25D 1.4665, and 1.1 g. IV. V (3.6 g.) in 30 cc. EtOH treated 2 days at room temperature with 1.6 g. NaOH in 20 cc. H2O and evaporated to 15 cc., buffered to pH 8 with N HCl, and diluted with H2O to 25 cc. gave a 0.4M solution; a 0.1-cc. portion and 4 cc. N HCl heated 15 min. at 50° and the mixture adjusted to pH 14 with N NaOH gave a 0.3M II solution; a 6.25-cc. portion stirred with 4.25 g. Ba(OAc)2, centrifuged to remove some solid, diluted with about 200 cc. EtOH, and kept several hrs. yielded 1.3 g. Ba salt; a 0.5-g. portion in 10 cc. H2O hydrogenated over PdBaSO4, filtered, and treated 20 hrs. with 2,4-(O2N)2C6H3NHNH2 in 2N HCl, and the precipitate chromatographed on paper showed the presence of the 2,4-dinitrophenylhydrazones of cis- and trans-tetrahydroprephenic acid (relative to OH and CO2H groups). II (0.3M aqueous solution) (10 cc.) adjusted with N HCl to pH 1.8, kept 10 min. at 20°, neutralized with N NaOH, and treated with 1.0 g. Ba(OAc)2 in 5 cc, H2O gave 0.5 g. Ba salt of a 6:50 mole ratio mixture of III and IV.

TI Synthesis of prephenic acid diethyl acetal and its hydrolysis to phenylpyruvic acid and prephenic acid

SO Chemische Berichte (1965), 98(6), 1774-81
CODEN: CHBEAM; ISSN: 0009-2940

AB I and the di-Et acetal (II) of III were prepared The time at which the maximum yield of III can be obtained by the acid hydrolysis of II was calculated from kinetic data and the calcn. confirmed by the experiment; III was formed together with larger amts. of PhCH2COCO2H (IV). Di-Et 2-cyclohexen-4-one-1-carboxylate-1-pyruvate di-Et acetal (35.6 g.), b0.1 155°, n25D 1.4087, in 350 cc. tert-BuOH refluxed 5 hrs. with stirring with 5 cc. AcOH and 11 g. SeO2, treated with an addnl. 11 g. SeO2, and again refluxed 5 hrs., and the product shaken in Et2O 6 hrs. with 10 g. deactivated Raney Ni yielded 25 g. I, b0.1 150°, n20D 1.4840. I (35.6 mg.), 5.0 cc. EtOH, and 4.0 cc. 0.1N NaOH heated 0.5 hr. at 50°, treated with 5 cc. N HCl, and heated 15 min. at 50°, and the mixture cooled and diluted with H2O to 100 cc. gave a solution containing 18 mg. p-HOC6H4CH2COCO2H. I (1.0 g.), 100 mg. Na, and 5 cc. EtOH heated 3 hrs. at 50° gave 0.70 g. p-HOC6H4CH24C(OEt)2CO2Et (IV). I (7.1 g.) in 10 cc. EtOH added dropwise with stirring during 15 min. at 5° to 0.40 g. NaBH4 in 40 cc. EtOH and kept 15 min. at 20° yielded 5.3 g. oily di-Et ester (V) of II, n25D 1.4665, and 1.1 g. IV. V (3.6 g.) in 30 cc. EtOH treated 2 days at room temperature with 1.6 g. NaOH in 20 cc. H2O and evaporated to 15 cc., buffered to pH 8 with N HCl, and diluted with H2O to 25 cc. gave a 0.4M solution; a 0.1-cc. portion and 4 cc. N HCl heated 15 min. at 50° and the mixture adjusted to pH 14 with N NaOH gave a 0.3M II solution; a 6.25-cc. portion stirred with 4.25 g. Ba(OAc)2, centrifuged to remove some solid, diluted with about 200 cc. EtOH, and kept several hrs. yielded 1.3 g. Ba salt; a 0.5-g. portion in 10 cc. H2O hydrogenated over PdBaSO4, filtered, and treated 20 hrs. with 2,4-(O2N)2C6H3NHNH2 in 2N HCl, and the precipitate chromatographed on paper showed the presence of the 2,4-dinitrophenylhydrazones of cis- and trans-tetrahydroprephenic acid (relative to OH and CO2H groups). II (0.3M aqueous solution) (10 cc.) adjusted with N HCl to pH 1.8, kept 10 min. at 20°, neutralized with N NaOH, and treated with 1.0 g. Ba(OAc)2 in 5 cc, H2O gave 0.5 g. Ba salt of a 6:50 mole ratio mixture of III and IV.

IT 126-49-8P, 2,5-Cyclohexadiene-1-pyruvic acid, 1-carboxy-4-hydroxy-
156-06-9P, Pyruvic acid, phenyl- 156-39-8P, Pyruvic acid, (p-hydroxyphenyl)- 2931-07-9P, 2,5-Cyclohexadiene-1-propionic acid, 1-caxboxy-α,α-diethoxy-4-hydroxy-, diethyl ester 2931-07-9P, 2,5-Cyclohexadiene-1-pyruvic acid, 1-carboxy-4-hydroxy-, diethyl ester, di-Et acetal 2931-35-3P, 2,5-Cyclohexadiene-1-pyruvic acid, 1-carboxy-4-hydroxy-, diethyl acetal 2931-35-3P, 2,5-Cyclohexadiene-1-propionic acid, 1-caxboxy-α,α-diethoxy-4-hydroxy- 2931-38-6P, 2-Cyclohexene-1-propionic acid, 1-carboxy-α,α-diethoxy-4-oxo-,

diethyl ester 2931-38-6P, 2-Cyclohexene-1-pyruvic acid, 1-carboxy-4-oxo-, diethyl ester, di-Et acetal 2931-39-7P, 2,5-Cyclohexadiene-1-propionic acid, 1-carboxy-α,α-diethoxy-4-oxo-, diethyl ester 4375-92-2P, Pyruvic acid, (p-hydroxyphenyl)-, ethyl ester, di-Et acetal 4387-06-8P, 1,4-Methanonaphthalene-5,8,9-trione, 1-bromo-1,4,4a,8a-tetrahydro-, 9-(dimethyl acetal) 4387-08-0P, 1,4-Methanonaphthalene-5,8-dione, 9,9-diethoxy-1,4,4a,8a-tetrahydro- 4423-91-0P, 5-Norbornene-2,3-dicarboxylic anhydride, 7-oxo- 825632-90-4P, 2,5-Cyclohexadiene-1-pyruvic acid, 1-carboxy-4-oxo-, diethyl ester, di-Et acetal
RL: PREP (Preparation)
(preparation of)

L9 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1963:435348 CAPLUS Full-text
DOCUMENT NUMBER: 59:35348
ORIGINAL REFERENCE NO.: 59:6301g-h,6302a-d
TITLE: Preparation of the threo- and erythro-forms of DL-guaiacylglycerol and of DL-veratrylglycerol
AUTHOR(S): Adler, Erich; Gustafsson, Bo
CORPORATE SOURCE: Chalmers Tek. Hogskola, Goteborg, Swed.
SOURCE: Acta Chemica Scandinavica (1963), 17, 27-36
CODEN: ACHSE7; ISSN: 0904-213X
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 59:35348

AB cf. CA 48, 5147g. Hydrogenation of 3,4-dimethoxyphenylpropiolic acid in EtOH with Lindlar catalyst 50 min. gave 70% cis-methylferulic acid (I), m. 104°, which with CH_2N_2 yielded 90% Me ester, prisms, m. 92-3°. Reduction of 30 g. Me trans-methylferulate (II) in 200 cc. dioxane with 5.7 g. $LiAlH_4$ in 500 cc. absolute Et_2O, addition of H_2O and dilute H_2SO_4, extraction with $CHCl_3$, and distillation of the residue of the $CHCl_3$ extract gave 70% methylconiferyl alc., b5 110-20°, needles, m. 79-80°; Ac derivative (III) b12 190-5°. Treating 80 mg. III in 3 cc. Et_2O-C_5H_5N (25:1) 16 hrs. with 0.1 g. OsO_4 in 2 cc. Et_2O and hydrolyzing the osmic ester in 2 cc. EtOH with 0.65 g. Na_2SO_3 in 3 cc. H_2O 1 hr. at 100°, evaporating the filtered solution in vacuo, and extracting the residue with $CHCl_3$ gave 85% DL-threoveratrylglycerol (IV), m. 109-10°. Treating II similarly with OsO_4 and acetylating the hydrolyzed Os ester gave 60% DL-threo-α,β-diacetoxymethylhydroferulic acid (V), prisms, m. 148-9°. Esterification of V with CH_2N_2 followed by reduction yielded IV. Refluxing 15 g. Me α-bromo-β-acetoxymethyl-hydroferulate in 65 cc. AcOH and 65 cc. Ac_2O 45 min. with 7 g. AgOAc, treating the filtered solution with H_2O, evaporating the solution in vacuo, extracting the residue with $CHCl_3$, evaporating the washed ($NaHCO_3$) solution, and crystallizing the residue from Et_2O-C_6H_{14} gave 41% Me threo-α,β-diacetoxymethylhydroferulate (VI), m. 102-3°. Concentration of the mother liquor gave 40% erythro isomer (VII), prisms, m. 71-3°. VI was also obtained in 10% yield when 4.44 g. II was oxidized with $KMnO_4$ at -50° according to Riiber (CA 9, 2244). Reduction of VII with $LiAlH_4$ gave DL-erythroveratrylglycerol (VIII), plates, m. 92-3°, λmaximum 278 mμ (log ε 3.52). The infrared spectra of IV and VIII differed distinctly. When Me erythro-diacetoxyacetylhydroferulate was reduced with $LiAlH_4$ (cf. loc. cit.) and the acid step was avoided by neutralizing the reaction mixture with AcOH, 55% DL-erythro-guaiacylglycerol (IX), m. 83-4° was obtained; IX tetraacetate m. 86-8°. IX and CH_2N_2 gave 90% VIII. Treating 0.31 g. IX with 0.1N H_2SO_4 neutralizing the mixture with $BaCO_8$, evaporating the filtered solution in vacuo, and treating the residue with moist EtOAc gave 0.18 g. unchanged IX and, from the mother liquor, 0.05 g. threo-DL-guaiacylglycerol (X);

tetraacetate m. 113-14°. Benzyl-coniferyl alc. benzoate (0.515 g.) (Freudenberg and Achtzehn, CA 50, 1661h) was treated in 12 cc. Et2O-C5H5N with 0.35 g. OsO4 and the precipitate formed was boiled 1 hr. with 2.3 g. Na2SO3 in 10 cc. H2O, giving threo-(O-benzylguaiacyl)glycerol, m. 101°, which when treated in EtOH with prehydrogenated PdCl2-BaSO4, yielded X as a sirup; tetraacetate, 70%, m. 113-14°. X and CH2N2 gave 70% IV. Careful fractionation of the mother liquor of the Me erythro-α,β-diacetoxyacetylhydroferulate gave 10% threo isomer, prisms, m. 81-2°, which with LiAlH4 gave 55% X. Treating 0.074 g. trans-methylisoeugenol (XI) with OsO4 gave 75% DL-threo-methylisoeugenol glycol, m. 90°, which was also obtained in 15% yield when 1.93 g. XI was treated at -50° with 1.96 g. KMnO4. Acetylation of 3.3 g. XI in 3 cc. AcOH with 6.6 g. Pb(OAc)4 and reduction of the α,β-diacetoxyveratrylpropane formed with LiAlH4 gave 2 g. of a product, m. 80-100°, which on fractional crystallization yielded crythro-methylisoeugenol glycol, m. 123°, and the threo isomer, m. 88°.

TI Preparation of the threo- and erythro-forms of DL-guaiacylglycerol and of DL-veratrylglycerol

SO Acta Chemica Scandinavica (1963), 17, 27-36
CODEN: ACHSE7; ISSN: 0904-213X

AB cf. CA 48, 5147g. Hydrogenation of 3,4-dimethoxyphenylpropiolic acid in EtOH with Lindlar catalyst 50 min. gave 70% cis-methylferulic acid (I), m. 104°, which with CH2N2 yielded 90% Me ester, prisms, m. 92-3°. Reduction of 30 g. Me trans-methylferulate (II) in 200 cc. dioxane with 5.7 g. LiAlH4 in 500 cc. absolute Et2O, addition of H2O and dilute H2SO4, extraction with CHCl8, and distillation of the residue of the CHCl3 extract gave 70% methylconiferyl alc., b5 110-20°, needles, m. 79-80°; Ac derivative (III) b12 190-5°. Treating 80 mg. III in 3 cc. Et2O-C5H5N (25:1) 16 hrs. with 0.1 g. OsO4 in 2 cc. Et2O and hydrolyzing the osmic ester in 2 cc. EtOH with 0.65 g. Na2SO3 in 3 cc. H2O 1 hr. at 100°, evaporating the filtered solution in vacuo, and extracting the residue with CHCl3 gave 85% DL-threoveratrylglycerol (IV), m. 109-10°. Treating II similarly with OsO4 and acetylating the hydrolyzed Os ester gave 60% DL-threo-α,β-diacetoxymethylhydroferulic acid (V), prisms, m. 148-9°. Esterification of V with CH2N2 followed by reduction yielded IV. Refluxing 15 g. Me α-bromo-β-acetoxymethyl-hydroferulate in 65 cc. AcOH and 65 cc. Ac2O 45 min. with 7 g. AgOAc, treating the filtered solution with H2O, evaporating the solution in vacuo, extracting the residue with CHCl3, evaporating the washed (NaHCO3) solution, and crystallizing the residue from Et2O-C6H14 gave 41% Me threo-α,β-diacetoxymethylhydroferulate (VI), m. 102-3°. Concentration of the mother liquor gave 40% erythro isomer (VII), prisms, m. 71-3°. VI was also obtained in 10% yield when 4.44 g. II was oxidized with KMnO4 at -50° according to Riiber (CA 9, 2244). Reduction of VII with LiAlH4 gave DL-erythroveratrylglycerol (VIII), plates, m. 92-3°, λmaximum 278 mμ (log ε 3.52). The infrared spectra of IV and VIII differed distinctly. When Me erythro-diacetoxyacetylhydroferulate was reduced with LiAlH4 (cf. loc. cit.) and the acid step was avoided by neutralizing the reaction mixture with AcOH, 55% DL-erythro-guaiacylglycerol (IX), m. 83-4° was obtained; IX tetraacetate m. 86-8°. IX and CH2N2 gave 90% VIII. Treating 0.31 g. IX with 0.1N H2SO4 neutralizing the mixture with BaCO8, evaporating the filtered solution in vacuo, and treating the residue with moist EtOAc gave 0.18 g. unchanged IX and, from the mother liquor, 0.05 g. threo-DL-guaiacylglycerol (X); tetraacetate m. 113-14°. Benzyl-coniferyl alc. benzoate (0.515 g.) (Freudenberg and Achtzehn, CA 50, 1661h) was treated in 12 cc. Et2O-C5H5N with 0.35 g. OsO4 and the precipitate formed was boiled 1 hr. with 2.3 g. Na2SO3 in 10 cc. H2O, giving threo-(O-benzylguaiacyl)glycerol, m. 101°, which when treated in EtOH with prehydrogenated PdCl2-BaSO4, yielded X as a sirup; tetraacetate, 70%, m. 113-14°. X and CH2N2 gave 70% IV. Careful fractionation of the mother liquor of the Me erythro-α,β-diacetoxyacetylhydroferulate gave 10% threo isomer, prisms, m. 81-2°, which with LiAlH4 gave 55% X. Treating

0.074 g. trans-methylisoeugenol (XI) with OsO_4 gave 75% DL-threo-methylisoeugenol glycol, m. 90°, which was also obtained in 15% yield when 1.93 g. XI was treated at -50° with 1.96 g. $KMnO_4$. Acetylation of 3.3 g. XI in 3 cc. AcOH with 6.6 g. $Pb(OAc)_4$ and reduction of the α,β-diacetoxyveratrylpropane formed with $LiAlH_4$ gave 2 g. of a product, m. 80-100°, which on fractional crystallization yielded crythro-methylisoeugenol glycol, m. 123°, and the threo isomer, m. 88°.

IT 4756-11-0P, 1,2,3-Propanetriol, 1-(3,4-dimethoxyphenyl)-, DL-erythro-
14737-88-3P, Cinnamic acid, 3,4-dimethoxy-, cis-
18523-76-7P, 2-Propen-1-ol, 3-(3,4-dimethoxyphenyl)-
20133-19-1P, 1,2-Propanediol, 1-(3,4-dimethoxyphenyl)-, DL-erythro-
20133-19-1P, 1,2-Propanediol, 1-(3,4-dimethoxyphenyl)-, DL-threo-
27391-16-8P, 1,2,3-Propanetriol, 1-(4-hydroxy-3-methoxyphenyl)-, DL-threo-
30461-78-0P, Cinnamic acid, 3,4-dimethoxy-, methyl ester, cis-
38916-91-5P, 1,2,3-Propanetriol, 1-(4-hydroxy-3-methoxyphenyl)-, DL-erythro-
65401-84-5P, 2-Propen-1-ol, 3-(3,4-dimethoxyphenyl)-, acetate
69731-37-9P, 1,2,3-Propanetriol, 1-(4-hydroxy-3-methoxyphenyl)-, tetraacetate, DL-erythro-
69731-38-0P, 1,2,3-Propanetriol, 1-(4-hydroxy-3-methoxyphenyl)-, tetraacetate, DL-threo-
69731-40-4P, 1,2,3-Propanetriol, 1-(3,4-dimethoxyphenyl)-, DL-threo-
92582-54-2P, Hydrocinnamic acid, α,β-dihydroxy-3,4-dimethoxy-, methyl ester, diacetate, DL-erythro-
92582-54-2P, Hydrocinnamic acid, α,β-dihydroxy-3,4-dimethoxy-, diacetate, DL-threo-
93160-12-4P, Hydrocinnamic acid, α,β-dihydroxy-3,4-dimethoxy-, methyl ester, diacetate, DL-threo-
95560-55-7P, 2-Propen-1-ol, 3-[4-(benzyloxy)-3-methoxyphenyl]-, benzoate
876369-20-9P, 1,2,3-Propanetriol, 1-[4-(benzyloxy)-3-methoxyphenyl]-, threo-
882025-72-1P, Hydrocinnamic acid, α,β,4-trihydroxy-3-methoxy-, methyl ester, triacetate, erythro-
882025-73-2P, Hydrocinnamic acid, α,β,4-trihydroxy-3-methoxy-, methyl ester, threo-
RL: PREP (Preparation)
(preparation of)

L9 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1958:35300 CAPLUS Full-text
DOCUMENT NUMBER: 52:35300
ORIGINAL REFERENCE NO.: 52:6362c-i,6363a-h
TITLE: Clarifying the constitution of xanthocillin-a new antibiotic
AUTHOR(S): Hagedorn, I.; Tonjes, H.
CORPORATE SOURCE: Tech. Hochschule, Dresden, Germany
SOURCE: Pharmazie (1957), 12, 567-80
CODEN: PHARAT; ISSN: 0031-7144
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB cf. C.A. 50, 17161e. Xanthocillin-X (I), $C_{18}H_{12}O_2N_2$, occurs in yellow crystals, decompose 220°. Di-Me ether of I, m. 181° (decomposition), is prepared by treating with CH_2N_2 in Et_2O. Di-Ac derivative, decompose above 200°, is formed by heating I with Ac_2O and anhydrous AcONa to 80° and precipitating with H_2O. Di-Bz derivative of I, decompose 200°, is formed by acetylation with BzCl in NaOH without heating. 1,4-Bis(p-hydroxyphenyl)-2,3-butanedione (II), m. 181-2°, may be prepared either by heating I with 1:1 AcOH-HCl at 100° 15 min. (yield 8%) or by storing I in 5:3 EtOH-H_2SO_4 15 hrs. at room temperature, treating with H_2O, and extracting with Et_2O (yield 20%); the osazone of II, m. 228-9°. 2,3-Bis(p-hydroxybenzyl)quinoxaline, m. 234-5° (decomposition), is prepared by boiling II and o-$C_6H_4(NH_2)_2$ in alc. for a

short time and precipitating with H_2O. 2,3-Bis(p-methoxybenzyl)quinoxaline is prepared from the last compound by treatment with Me_2SO_4 in NaOH solution (mono-Me ether forms 1st., m. 144-6°; more heat and stronger solution of NaOH gives the di-Me ether, m. 89-90°). 1,4-Bis(p-methoxyphenyl)-2,3-butanedione (III), m. 135-6°, is prepared analogously, as in the case of II, but using I di-Me ether in place of I; osazone of III, m. 185-6°; dioxime, m. 201-3°. 2,3-Bis(p-methoxybenzyl)quinoxaline, m. 90-1, is prepared by treating III with o-$C_6H_4(NH_2)_2$. Oxidation of III with CrO_3 gave p-$MeOC_6H_4CO_2H$, m. 182-3°. Oxidation with H_2O_2 furnished p-$MeOC_6H_4CH_2CO_2H$, m. 85°. When III was heated in AcOH with Zn dust and H_2O, the α-hydroxyketone (IV), m. 77-7.5°, was formed. 1,4-Bis(p-methoxyphenyl)-2,3-butanediol (V), m. 160.5-161.50, was formed when $LiBH_4$ in absolute alc. and III in absolute Et_2O were mixed and heated 60 min. at 100° (protected from H_2O) and the resulting complex destroyed with 2N NaOH (redistn. with $NaBH_4$ led to same product). $(AcO)_4Pb$ was added to V at 40-5°, after 30 min. the mixture filtered, the filtrate concentrated, and semicarbazide, HCl, and AcONa added to give p-methoxyphenylacetaldehyde semicarbazone, m. 180-1.5°. 1,4-Bis(p-methoxyphenyl)butane (VI), m. 77-8°, was prepared by refluxing 25 hrs. III with Zn-Hg, HCl, PhMe, and H_2O, with occasional addition of HCl. Treatment of I with Na-Hg furnished 2 end products, one Et_2O-insol. 1,4-bis(p-methoxyphenyl)-2-butanone (VII), m. 87-7.5, and the other Et_2O-soluble 1,4-bis(p-methoxyphenyl)-1,3-butadiene (VIII), m. 229-31°. VII is also prepared by methylation of 1,4-bis(p-hydroxyphenyl)-2-butanone (IX), m. 185.5-6.5°, with Me_2SO_4. Di-Ac derivative of IX, m. 86.5-8°, was prepared by heating IX with Ac_2O and AcONa. Di-Bz derivative of IX, m. 153-4°, was prepared by treatment with BzCl; 2,4-dinitrophenylhydrazone was prepared by heating VII with dinitrophenylhydrazine and H_3PO_4, yellow needles, m. 144-5°. A synthesis of VII in 4 steps is given: p-$MeOC_6H_4CH_2CN$ is condensed with $PhCH_2COCH_2CN$ to form p-methoxyphenylacetoacetonitrile, this converted to p-methoxyphenylacetone, and the latter condensed with anisaldehyde, forming 1,4-bis(p-methoxyphenyl)-3-buten-2-one, which is then hydrogenated in Me_2CO in the presence of Pd black giving VIII, m. 86-7°. Bis(p-methoxybenzyl)glycolic acid (X), m. 166.5-67, was prepared from III by suspending it in KOH solution, warming to 60-70° with agitation, and acidifying; the Me ester of X m. 114-15°. 1,3-Bis(p-methoxyphenyl)-2-propanone (XI), m. 85-5.5°, was made by treating AcOH solution of X with $(AcO)_4Pb$, warming to sep. CO_2, and adding H_2O to sep. the product; the oxime m. 102.5-103°. Reduction of XI by the Clemmensen procedure furnished the reduced product, m. 44-5°. The osazone of III was prepared by condensation of p-$MeOC_6H_4CH_2CO_2Et$ in the presence of a fine suspension of Na in PhMe and Et_2O and treatment with phenylhydrazine. Catalytic hydrogenation of VIII was carried out in semimicro apparatus using Pt from PtO, furnishing 1,4-bis(p-methoxyphenyl)butane, m. 77-8°. The presence of two isonitrile groups in I was proven quantitatively by warming an alc. solution with $HgCl_2$ and titrating the reduced HgCl gravimetrically; in another type of determination, $(CO_2H)_2$ was treated with I and the evolved CO measured. I dimethyl ether imino ether (XII) was prepared in yellow rhombs, m. 121-2°; the di-imino ether was formed at the same time in colorless needles, m. 193-4°. 1,4-Bis(p-methoxyphenyl)-2,3-bis(formamido)-1,3-butadiene (XIII), m. 235-6°, was prepared from I di-Me ether by boiling with glacial AcOH and adding H_2O. 1,4-Bis(p-hydroxyphenyl)2,3-bis(formamido)- 1,3-butadiene (XIV), pale yellow needles, decomposing above 200°, was prepared by warming VIII in glacial AcOH. 1,4-Bis(p-methoxyphenyl)-2,3-bis(methylformamido)-1,3-butadiene (XV), m. 165-6, was prepared by treating XIV with Me_2SO_4 and NaOH. 1,4-Bis(p-hydroxyphenyl)-2,3-bis(dimethylhydroxyacetamido) - 1,3-butadiene (XVI), m. 271-2° (decomposition), was prepared by treating I in Me_2CO solution with 2N H_2SO_4. 1,4-Bis(p-methoxyphenyl)-2,3- bis[(dimethylhydroxyacetyl)methylamino]-1,3-butadiene, m. 240° (decomposition), was prepared by dissolving XVI in NaOH and treating with Me_2SO_4 at 100° 15 min. Imidazole-4,5-dicarboxylic acid (XVII), decompose above 300° was prepared by refluxing I, I dimethyl ether, XIII, or

XIV with H_2O_2. The following salts of XVII were prepared (m.p. given): diethylamine (m. 180°), imidazole (m. 244°), acid K salt (m. 280°), acid Na salt, nitrate (118°), and picrate (211°). From the mother liquor remaining from the preparation of XVII, 12-15% (of theory) anisic acid was isolated, m. 184°. By hydrogenation of I with Raney Ni, 2,3-bis(p-hydroxybenzyl)pyrazine (XVIII), m. 203-4°, was obtained (diacetate, m. 91-2°, dibenzoate, m. 122-3°). 2,3-Bis(p-methoxybenzyl)pyrazine (XIX), m. 57-8°, was prepared by treating XVIII in MeOH and Et_2O with CH_2N_2. Pyrazine-2,3-dicarboxylate was formed when XVIII was heated 2 hrs. on an H_2O bath with 3% $KMnO_4$, acidified with AcOH, vacuum-dried, heated 2 hrs. with glacial AcOH in a "bombtube," and distilled after alkalinization; picrate, m. 156-7°, Rf 0.58. 2,3-Bis(p-methoxybenzoyl)pyrazine (XX), m. 164-5° was prepared from XIX by treatment with Beckmann's mixture, m. 164-5°; the bis-(2,4-dinitrophenylhydrazone), dark red crystals, m. 299-300° (decomposition); dioxime, m. 224-9°. 3,6-Bis(p-methoxyphenyl)-1,2-diazino[4,5;2,3]pyrazine, yellow plates, m. 293.5-4.5, was prepared from XX by treatment with hydrazine sulfate and NaOH. XV in $CHCl_3$ solution was treated with BzO_2H and the rate of consumption determined XV (1 mole) consumed 2.5 moles of the acid; however, the reaction velocity after the consumption of 2 moles acid was considerably greater, indicating the presence of double bond in I. 4,5-Bis(p-methoxybenzoyl)imidazole (XXI), m. 173-4°, was prepared by oxidizing I di-Me ether with CrO_3; at the same time, 2 strongly acidic substances were obtained, one being anisic acid; the bis(2,4-dinitrophenylhydrazone) of XXI, dark red crystals, m. 278-9°. 3,6 - Bis(p - methoxyphenyl)1,2 - diazino[4,5;4,5]imidazole (XXII), m. 146-7°, was obtained from XXI by refluxing 30 min. with hydrazine hydrate. I was not synthesized, but it was felt that the structure of I was fully established, by the results of these various studies, as $[HOC_6H_4CH{:}C(NC)]_2$. 23 references.

TI Clarifying the constitution of xanthocillin-a new antibiotic

SO Pharmazie (1957), 12, 567-80
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IT 89-01-0P, 2,3-Pyrazinedicarboxylic acid 100-09-4P, p-Anisic acid 104-01-8P, Acetic acid, (p-methoxyphenyl)- 122-84-9P, 2-Propanone, (p-methoxyphenyl)- 4741-73-5P, Propane, 1,3-bis(p-methoxyphenyl)- 5701-83-7P, Butane, 1,4-bis(p-methoxyphenyl)- 29903-09-1P, 2-Propanone, 1,3-bis(p-methoxyphenyl)- 38565-14-9P, Formamide, N,N'-[bis(p-hydroxybenzylidene)ethylene]bis- 43212-67-5P, 1,3-Butadiene, 1,4-bis(p-methoxyphenyl)- 51622-85-6P, Acetaldehyde, (p-methoxyphenyl)-, semicarbazone 65816-19-5P, Pyrazine, picrate 74026-69-0P, 2-Butanone, 3-hydroxy-1,4-bis(p-methoxyphenyl)- 101110-57-0P, 2,3-Butanedione, 1,4-bis(p-hydroxyphenyl)- 101585-09-5P, 2-Propanone, 1,3-bis(p-methoxyphenyl)-, oxime 101744-01-8P, Lactic acid, 2-p-methoxybenzyl-3-(p-methoxyphenyl)- 101869-37-8P, Imidazole, 4,5-di-p-anisoyl- 102162-84-5P, Lactic acid, 2-p-methoxybenzyl-3-(p-methoxyphenyl)-, methyl ester 102316-70-1P, Pyrazine, 2,3-bis(p-methoxybenzyl)- 102590-83-0P, p-Cresol, α-(2-p-methoxybenzyl-3-quinoxalinyl)- 102704-38-1P, p-Cresol, α,α'-2,3-quinoxalinediyldi- 102748-31-2P, 2-Butanone, 1,4-bis(p-methoxyphenyl)-, (2,4-dinitrophenyl)hydrazone 102749-91-7P, Quinoxaline, 2,3-bis(p-methoxybenzyl)- 103271-07-4P, Imidazole, 4,5-di-p-anisoyl-, bis[(2,4-dinitrophenyl)hydrazone] 103986-01-2P, Acetoacetonitrile, 4-(p-methoxyphenyl)- 107627-09-8P, 2-Butanone, 1,4-bis(p-methoxyphenyl)- 108370-05-4P, 1H-Imidazo[4,5-d]pyridazine, 4,7-bis(p-methoxyphenyl)- 109398-70-1P, 2,3-Butanediol, 1,4-bis(p-methoxyphenyl)- 110435-88-6P, 3-Buten-2-one, 1,4-bis(p-methoxyphenyl)- 111240-82-5P, Pyrazino[2,3-d]pyridazine, 5,8-bis(p-methoxyphenyl)- 112271-44-0P, Formamide, N,N'-[bis(p-methoxybenzylidene)ethylene]bis- 113090-73-6P, Formimidic acid, N-(β-isocyano-p-methoxy-α-p-methoxybenzylidenecinnamyl)-, methyl ester 114696-86-5P, 2,3-Butanedione, 1,4-bis(p-hydroxyphenyl)-, bis(phenylhydrazone) 118871-24-2P, Formimidic acid, N,N'-[bis(p-methoxybenzylidene)ethylene]di-, dimethyl ester 118871-26-4P, Formamide, N,N'-[bis(p-methoxybenzylidene)ethylene]bis[N-methyl- 122360-58-1P, Lactamide, N,N'-[bis(p-methoxybenzylidene)-ethylene]bis[N,2-dimethyl- 124131-77-7P, Lactamide, N,N'-[bis(p-hydroxybenzylidene)-ethylene]bis[2-methyl- 131252-64-7P, 2-Butanone, 1,4-bis(p-hydroxyphenyl)- 856638-96-5P, Imidazole, compound with 4,5-imidazoledicarboxylic acid
RL: PREP (Preparation)
(preparation of)

L9 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1957:5337 CAPLUS Full-text
DOCUMENT NUMBER: 51:5337
ORIGINAL REFERENCE NO.: 51:1084e-i,1085a-d
TITLE: Synthesis and reactions of guaiacylglycerol
AUTHOR(S): Stumpf, Walter; Rumpf, Gunther
CORPORATE SOURCE: Univ. Heidelberg, Germany
SOURCE: Annalen der Chemie, Justus Liebigs (1956), 599, 51-60
CODEN: 9X224Y

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OTHER SOURCE(S): CASREACT 51:5337

AB 3,4-MeO(PhCH2O)C6H3CHO (138 g.) in 230 cc. AcOMe, after standing overnight with 17.1 g. Na wire and 2 cc. MeOH was triturated carefully with 230 cc. AcOMe, kept another 48 hrs. at room temperature, refluxed 1 hr. with 230 cc. absolute Et2O, and shaken with 740 cc. H2SO4. The organic phase washed with aqueous NaHCO3 and H2O, dried and evaporated gave 95.5% crude and 83% pure 3,4-MeO(PhCH2O)C6H3CH:CHCO2Me (I), m. 98-9° (from MeOH or PrOH). To 7.16 g. I in 24 cc. CHCl2 at 0°-5° were added dropwise (over a 1.5-hr. period) 3.84 g. Br; the mixture after 1 hr. at 0° was evaporated giving the crude di-Br derivative (II) of I (not weighed or analyzed), 22.9 g. of which were added to 15 g. dry AcOK in 150 cc. AcOH and 50 cc. Ac2O, heated 9 hrs. on a steam bath, then boiled 8 hrs., filtered, and concentrated in vacuo to incipient crystallization, treated with H2O and extracted with ET2O; the washed and dried extract evaporated in vacuo gave 19 g. sirup, a small sample of which, triturated with MeOH, gave seed crystals of (III), C22H24O8, m. sharply 112.5-13.5° (after 2 crystns. from MeOH). The main portion of the sirup, inoculated with III, crystallized gradually giving 8.25 g. of what was probably a mixture of isomeric forms of 3,4-MeO(PhCH2O)C6H3CH(OAc)CH(OAc)CO2Me (IV), m. poorly 90-100° (even after repeated crystallization from MeOH). In another experiment in which 169 g. crude II was heated 15 hrs. at 100° with 110 g. AcOK, 800 cc. AcOH, and 400 cc. Ac2O, a red sirup was formed, which, with III, gave 52.45 g. IV, leaflets, m. poorly 89-98°, the mother liquors from which gave I. A series of fully described attempts were made to fractionate IV into its component (racemic) isomers, but although 3 fractions were obtained, m., resp., 85.5-87°, 86-91°, and 88-91°, none of these was homogeneous. IV (m. 89-98°)(12.5 g.) in 50 cc. dry AcOMe was hydrogenated with 0.75 g. 2% pd-BaSO4. After 1.5 hrs. 745 cc. H had been taken up. The filtered, evaporated solution gave 3,4-MeO(HO) C6H3CH(OAc) CH(OAc) CO2Me (V), viscous, uncrystallizable pale yellow sirup. V (8.34 g.) in 100 cc. absolute Et2O was added dropwise to 5.6 g. LiAlH4 in 200 cc. Et2O, and after 5 hrs. at room temperature was refluxed 2 hrs., cooled to 0° in a stream of CO2 and treated dropwise with H2O, shaken with H2O saturated with CO2, the Et2O layer separated and the aqueous phase extracted continuously for 7 days with peroxide-free Et2O in a Perforator, using fresh Et2O after 28 hrs. (when a sirup separated from the Et2O-phase). The various combined Et2O exts. evaporated in vacuo gave 2.7 g. crude resinous guaiacylglycerol (VI), which was boiled briefly with 200 cc. H2O, filtered and reextd. twice with Et2O. The aqueous phase (in which VI is very soluble) was evaporated to dryness in vacuo under N, giving 1.98 g. (36.3%) purified VI, C10H14O5, yellow sirup (after drying 14 hrs. at 34° in vacuo and 2 days at 20° over P2O5). VI is difficultly soluble in Et2O and C6H6 and could not be crystallized IV (m. 87-93°) (21.2 g.) in 750 cc. absolute Et2O was stirred into a mixture of 10 g. LiAlH4 and 200 cc. Et2O, and treated as in the case of V. The resultant aqueous solution was filtered and extracted 40 hrs. with Et2O; the Et2O layer yielded 2.15 g. PhCH2 derivative (VII) of VI, m. 68-74° (from C6H6), m. 99-100.5° (from MeOH by addition of Et2O and petr. ether to incipient cloudiness, or from AcOEt). Even this purified VII may be a mixture of racemic isomers. VI (1.81 g.) and Na2S2O5 in 85 cc. H2O was heated and shaken 19 hrs. at 135° in a sealed tube, then freed from SO2 and extracted 40 hrs. with Et2O giving 0.15 g. impure 4,3-HO(MeO)C6H3CH(SO3H)CH(OH)CH2OH, 81% of which was soluble in cold H2O forming a pale pink Ba salt (VIII) (containing 32.68% C and 21.3% Ba; calculated 34.71 and 19.85%, resp.). Oxidations with NaIO4 were carried out with various phenolic compds. or their derivs., and results are given in terms of moles NaIO4 consumed per mole of compound within a specific time period. No NaIO4 was consumed by veratrole within 17.5 hrs. The consumption of NaIO4 by PhOH, vanillin, and p-cresol was very slight (0.14-0.33 mole within 21.5-24 hrs.). V, VI, guaiacol, cresol, guaiacylethylcarbinol, VIII, 1,4-C6H4(OH)2, catechol, and pyrogallol all consumed appreciable amts. of NaIO4 within

relatively short periods. On oxidation all guaiacyl compds. gave red solns., the color being ascribed to quinoid oxidation products. Oxidation data are discussed at length.

TI Synthesis and reactions of guaiacylglycerol

SO Annalen der Chemie, Justus Liebigs (1956), 599, 51-60
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AB 3,4-MeO(PhCH2O)C6H3CHO (138 g.) in 230 cc. AcOMe, after standing overnight with 17.1 g. Na wire and 2 cc. MeOH was triturated carefully with 230 cc. AcOMe, kept another 48 hrs. at room temperature, refluxed 1 hr. with 230 cc. absolute Et2O, and shaken with 740 cc. H2SO4. The organic phase washed with aqueous NaHCO3 and H2O, dried and evaporated gave 95.5% crude and 83% pure 3,4-MeO(PhCH2O)C6H3CH:CHCO2Me (I), m. 98-9° (from MeOH or PrOH). To 7.16 g. I in 24 cc. CHCl2 at 0°-5° were added dropwise (over a 1.5-hr. period) 3.84 g. Br; the mixture after 1 hr. at 0° was evaporated giving the crude di-Br derivative (II) of I (not weighed or analyzed), 22.9 g. of which were added to 15 g. dry AcOK in 150 cc. AcOH and 50 cc. Ac2O, heated 9 hrs. on a steam bath, then boiled 8 hrs., filtered, and concentrated in vacuo to incipient crystallization, treated with H2O and extracted with ET2O; the washed and dried extract evaporated in vacuo gave 19 g. sirup, a small sample of which, triturated with MeOH, gave seed crystals of (III), C22H24O8, m. sharply 112.5-13.5° (after 2 crystns. from MeOH). The main portion of the sirup, inoculated with III, crystallized gradually giving 8.25 g. of what was probably a mixture of isomeric forms of 3,4-MeO(PhCH2O)C6H3CH(OAc)CH(OAc)CO2Me (IV), m. poorly 90-100° (even after repeated crystallization from MeOH). In another experiment in which 169 g. crude II was heated 15 hrs. at 100° with 110 g. AcOK, 800 cc. AcOH, and 400 cc. Ac2O, a red sirup was formed, which, with III, gave 52.45 g. IV, leaflets, m. poorly 89-98°, the mother liquors from which gave I. A series of fully described attempts were made to fractionate IV into its component (racemic) isomers, but although 3 fractions were obtained, m., resp., 85.5-87°, 86-91°, and 88-91°, none of these was homogeneous. IV (m. 89-98°)(12.5 g.) in 50 cc. dry AcOMe was hydrogenated with 0.75 g. 2% pd-BaSO4. After 1.5 hrs. 745 cc. H had been taken up. The filtered, evaporated solution gave 3,4-MeO(HO) C6H3CH(OAc) CH(OAc) CO2Me (V), viscous, uncrystallizable pale yellow sirup. V (8.34 g.) in 100 cc. absolute Et2O was added dropwise to 5.6 g. LiAlH4 in 200 cc. Et2O, and after 5 hrs. at room temperature was refluxed 2 hrs., cooled to 0° in a stream of CO2 and treated dropwise with H2O, shaken with H2O saturated with CO2, the Et2O layer separated and the aqueous phase extracted continuously for 7 days with peroxide-free Et2O in a Perforator, using fresh Et2O after 28 hrs. (when a sirup separated from the Et2O-phase). The various combined Et2O exts. evaporated in vacuo gave 2.7 g. crude resinous guaiacylglycerol (VI), which was boiled briefly with 200 cc. H2O, filtered and reextd. twice with Et2O. The aqueous phase (in which VI is very soluble) was evaporated to dryness in vacuo under N, giving 1.98 g. (36.3%) purified VI, C10H14O5, yellow sirup (after drying 14 hrs. at 34° in vacuo and 2 days at 20° over P2O5). VI is difficultly soluble in Et2O and C6H6 and could not be crystallized IV (m. 87-93°) (21.2 g.) in 750 cc. absolute Et2O was stirred into a mixture of 10 g. LiAlH4 and 200 cc. Et2O, and treated as in the case of V. The resultant aqueous solution was filtered and extracted 40 hrs. with Et2O; the Et2O layer yielded 2.15 g. PhCH2 derivative (VII) of VI, m. 68-74° (from C6H6), m. 99-100.5° (from MeOH by addition of Et2O and petr. ether to incipient cloudiness, or from AcOEt). Even this purified VII may be a mixture of racemic isomers. VI (1.81 g.) and Na2S2O5 in 85 cc. H2O was heated and shaken 19 hrs. at 135° in a sealed tube, then freed from SO2 and extracted 40 hrs. with Et2O giving 0.15 g. impure 4,3-HO(MeO)C6H3CH(SO3H)CH(OH)CH2OH, 81% of which was soluble in cold H2O forming a pale pink Ba salt (VIII) (containing 32.68% C and 21.3% Ba; calculated 34.71 and 19.85%, resp.). Oxidations with NaIO4 were carried out with various phenolic compds. or their derivs., and results are given in terms of moles NaIO4 consumed per mole of compound within a specific time period. No NaIO4 was consumed by veratrole within 17.5 hrs. The consumption of NaIO4 by

PhOH, vanillin, and p-cresol was very slight (0.14-0.33 mole within 21.5-24 hrs.). V, VI, guaiacol, cresol, guaiacylethylcarbinol, VIII, 1,4-C6H4(OH)2, catechol, and pyrogallol all consumed appreciable amts. of NaIO4 within relatively short periods. On oxidation all guaiacyl compds. gave red solns., the color being ascribed to quinoid oxidation products. Oxidation data are discussed at length.

IT 10548-93-3P, α-Toluenesulfonic acid, α-1,2-dihydroxyethyl-4-hydroxy-3-methoxy- 66266-31-7P, α-Toluenesulfonic acid, α-1,2-dihydroxyethyl-4-hydroxy-3-methoxy-, barium salt 93878-20-7P, Cinnamic acid, 4-(benzyloxy)-3-methoxy-, methyl ester 101721-98-6P, Hydrocinnamic acid, 4-(benzyloxy)-α,β-dibromo-3-methoxy-, methyl ester 109476-22-4P, Glyceric acid, 3-(4-hydroxy-3-methoxyphenyl)-, methyl ester, 2,3-diacetate 856945-37-4P, Glyceric acid, 3-[4-(benzyloxy)-3-methoxyphenyl]-, diacetates 856945-42-1P, Glyceric acid, 3-[4-(benzyloxy)-3-methoxyphenyl]-, Me esters
RL: PREP (Preparation)
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ORIGINAL REFERENCE NO.: 49:15798a-i,15799a-c
TITLE: Constituents of Cortex piscidiae erythrinae. II. Synthesis of O-methylpiscidic acid
AUTHOR(S): Buckle, A. L. J.; McGookin, Alexander; Robertson, Alexander
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AB cf. C.A. 42, 4981f. Piscidic acid was shown previouslyto be (+)-p-HOC6H4CH2C(OH)(CO2H)CH(OH)CO2H (loc. cit.) and now has been confirmed by the synthesis of p-methoxybenzyltartaric acid, identical with natural O-Me piscidic acid. Several routes for the synthesis of tartaric acids of this type were examined Et α-phenylacetoacetate, b0.8 110° (2,4-dinitrophenylhydrazone, m. 94-5°), prepared by the method of Attwood, et al. (C.A. 17, 3183), with Pb(OAc)4 in HOAc, gave Et α-acetoxy-α-phenylacetoacetate, b0.2 128-30°. Similarly, from Et γ-phenylacetoacetate there resulted Et α-acetoxy-γ-phenylacetoacetate (I), b0.5 143-5°. I was obtained also from phenylacetyl bromide, Et diazoacetate, and HOAc. A mixture of I, HCN, and NaOH after 12 h. was diluted with EtOH, saturated with HCl, refluxed 4 h. and filtered to remove NH4Cl. The residue after evaporation of the filtrate gave Et benzyltartrate as a mixture which was separated manually into equal amts. of racemate A, m. 174-5° (diamide, 204-6°), and racemate B, m. 194-5° (diamide, m. 185-6°). Reduction of Et phenyloxaloacetate with moist Al amalgam gave Et β-phenylmalate, b0.04 131°, which after hydrolysis with KOH and purification from EtOAc gave β-phenylmalic acid, m. 150-60°. Fractional crystallization from EtOAc gave the racemic isomeride A, m. 172°, and from EtOAc-light petroleum (b.p. 60-80°) the racemic isomeride B, m. 162°. Dehydration with Ac2O of a mixture of the isomerides gave phenylmaleic anhydride, m. 120°, which on treatment with alkali gave phenylmaleic acid (II), m. 90-2°. II with pyridine and OsO4 in Et2O gave phenyltartaric acid, m. 173-4°. Oxidation of citraconic acid with NaClO3 and OsO4 gave C-

methyltartaric acid, m. 144-5° (m. 146°, given by Schmidt and Perkow, C.A. 45, 2412h); Me ester, m. 99-100°; diamide, m. 152-3°. The condensation of NaOEt and Et oxalate with Et β-p-methoxyphenylpropionate, gave Et α-ethoxalyl-β-p-methoxyphenylpropionate. After reduction with moist amalgam, there was isolated Et β-hydroxy-α-p-methoxybenzylsuccinate, b0.1. 75-7°. Hydrolysis with KOH gave a mixture of acids, m. about 130°. Fractional crystallization from EtOAc-light petroleum (b.p. 60-80°) gave racemate A, m. 136-7°, and racemate B, m. 125-6°. A mixture of these racemates with Ac2O gave p-methoxybenzylidenesuccinic anhydride (III), m. 160°, which on boiling with H2O gave p-methoxybenzylidenesuccinic acid (IV), m. and mixed m.p. 194-5° (decomposition). IV was obtained also from the condensation of anisaldehyde, Et succinate, and NaOEt. IV with Ac2O gave III, which by the boiling MeOH-H2SO4 method gave Me p-methoxybenzylidenesuccinate (V), b0.5 165°. Hydrogenation with PdCl2 catalyst of IV gave p-methoxybenzylsuccinic acid (VI) m. 98-101°, and of V gave Me p-methoxybenzylsuccinate, b1 156°, m. 35-7°. Distillation of VI at 180°/0.5 mm. gave the anhydride, m. 91-2°. From p-methoxybenzyl alc. with PCl3 in Et2O there was obtained p-methoxybenzyl chloride as an unstable oil, b25 125-7°, which on condensation with Et sodiomalonate gave Et p-methoxybenzylmalonate (VII), b0.5 145°. There was isolated from BrCH2CO2Et and VII Et α-ethoxycarbonyl-α-p-methoxybenzylsuccinate, b0.2 166-9° which on heating with EtOH-KOH gave α-carboxy-α-p-methoxybenzylsuccinic acid, m. 157-9° (decomposition). When this was heated at 160°/25 mm. for 15 min., there was obtained VI. A stirred mixture of N-bromosuccinimide, p-methoxybenzylsuccinic anhydride, benzoyl peroxide, and CCl4 or CS2 as solvent, after refluxing for 12 h., evaporating the filtered mixture and extracting with EtOAc gave III. III was heated until molten, then rapidly poured on to a cold surface, the solid pulverized and refluxed with CS2, collected and washed with more solvent and the process repeated 22 times. Evaporation of the combined CS2 exts. left an orange semisolid which was extracted with Et2O. The residue left on evaporation of Et2O was extracted with light petroleum (b.p. 40-60°) and on cooling, deposited p-methoxybenzylmaleic anhydride (VIII), m. 64-5°, which on recrystn. from CHCl3-light petroleum (b.p. 60-80°), m. 65-6°. VIII reverted to III on melting. Hydrolysis of VIII with H2O gave p-methoxybenzylmaleic acid (IX), m. 120° (sintered at 117°). Addition of pyridine and OsO4 to IX in Et2O and the mixture kept in a closed vessel for 3 days resulted in a brown precipitate, which after collection was treated with aqueous KOH, the solution extracted with Et2O, acidified, evaporated, the residue extracted with Et2O in a Soxhlet apparatus 9 h. and the extract evaporated to obtain p-methoxybenzyltartaric acid (X), m. 205-7° (decomposition); brucine salt, [α]23.5D -14.39° ± 0.6° (c 2.96, 50% EtOH). Resolution of X with brucine gave p-O-methylpiscidic acid, [α]23D 44.01° ± 5.0° (c 1.262, H2O), m. 169-70° (mixed m.p. with X, 173-6°); cinchonine salt, [α]17D 139.6° (c 6.1, EtOH); brucine salt, [α]24D -13.03° (c 2.131, 50% EtOH); Me ester, [α]18D 78.16° (c 1.54, EtOH). The following derivs. of piscidic acid were cited: Me ester, [α]23D 41.52° (c 1.325, H2O); Et ester, [α]17.5D 59.70° (c 1.551, EtOH); di-Me ester, [α]19D 23.71° (c 6.367, EtOH); Me p-O-benzylpiscidate, [α]19D 48.73° (c 1.786, EtOH); cinchonine salt, [α]21D 146.2° (c 0.424, EtOH); (+)-N-methylphenylisopropylamine salt, m. 179°, [α]24D 12.73° (c 2.09, H2O). Reduction of p-methoxyphenylpyruvic acid in aqueous NaOH with 2% Na-Hg gave p-methoxyphenyllactic acid, m. 88°; Me ester (XI), b0.1 135°. Methylation of XI with Ag2O in MeI gave the Me ether of Me p-methoxyphenyllactate, b0.5 120°.

TI Constituents of Cortex piscidiae erythrinae. II. Synthesis of O-methylpiscidic acid

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IT 824-94-2P, Anisole, p-(chloromethyl)- 886-30-6P, Succinic anhydride, p-methoxybenzyl- 889-10-1P, Succinic acid, p-methoxybenzylidene- 956-41-2P, Succinic acid, p-methoxybenzyl- 5413-05-8P, Acetoacetic acid, 2-phenyl-, ethyl ester 6335-37-1P, Malonic acid, p-methoxybenzyl-, diethyl ester 10436-75-6P, p-Toluidine, N-isopropyl-, piscidate 15542-71-9P, 1,2,2-Propanetricarboxylic acid, 3-(p-methoxyphenyl)-, triethyl ester 15853-34-6P, Tartaric acid, methyl- 28030-15-1P, Lactic acid, 3-(p-methoxyphenyl)- 42151-36-0P, Succinic acid, p-methoxybenzylidene-, dimethyl ester 46727-01-9P, Succinic anhydride, p-methoxybenzylidene- 55301-58-1P, Lactic acid, 3-(p-methoxyphenyl)-, methyl ester 76595-36-3P, Acetoacetic acid, 2-hydroxy-4-phenyl-, ethyl ester, acetate 184242-36-2P, Oxalacetic acid, p-methoxybenzyl-, diethyl ester 474317-22-1P, Malic acid, 3-phenyl-, di-Et ester 792942-87-1P, Succinic acid, p-methoxybenzyl-, dimethyl ester 845886-49-9P, Tartaric acid, methyl-, dimethyl ester 855644-88-1P, Maleic anhydride, p-methoxybenzyl- 857232-37-2P, 1,2,2-Propanetricarboxylic acid, 3-(p-methoxyphenyl)- 857559-71-8P, Acetoacetic acid, 2-hydroxy-2-phenyl-, ethyl ester, acetate 858215-84-6P, Hydrocinnamic acid, p,α-dimethoxy-, methyl ester 860373-79-1P, Malic acid, 3-p-methoxybenzyl-, di-Et ester 861067-75-6P, Acetoacetic acid, 2-phenyl-, ethyl ester, 2,4-dinitrophenylhydrazone 874506-60-2P, Tartramide, 2-methyl- 874506-62-4P, Tartaric acid, [p-(benzyloxy)benzyl]-, dimethyl ester 883310-90-5P, Maleic acid, p-methoxybenzyl- 907575-67-1P, Cinchonine, compound with piscidic acid 907575-84-2P, Cinchonine, compound with p-O-methylpiscidic acid
RL: PREP (Preparation)
(preparation of)

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ORIGINAL REFERENCE NO.: 49:3885i,3886a-i,3887a-e
TITLE: Synthetic experiments connected with lignin
AUTHOR(S): Freudenberg, Karl; Muller, Heinz G.
CORPORATE SOURCE: Univ. Heidelberg, Germany
SOURCE: Annalen der Chemie, Justus Liebigs (1953), 584, 40-53
CODEN: 9X224Y
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 49:19917

AB The following model substances are in part related to the dimers obtained by F. in expts. with coniferyl alc. (C.A. 47, 12296g), which are considered "secondary building stones" in lignin formation. Veratraldehyde condensed with $CH_2(CO_2H)_2$ gave quant. yields of 3,4-(MeO)2C6H3CH:CHCO2H, whose Et ester (obtained in 94% yield) with Br in $CHCl_3$ in artificial light yielded the dibromide, m. 110°; this was refluxed 7-8 hrs. with 3 moles KOH in alc., cooled, filtered, neutralized gradually (at about 0°) with concentrated HCl, refiltered, and concentrated in vacuo. Any salts that had been filtered, combined with those separating on concentration, were dissolved in H_2O and acidified with 20% H_2SO_4, giving 20-30% 3,4-(MeO)2C6H3C.tplbond.CCO 2H (I), m. 156° (Fulton and Robinson, J. Chemical Society 1903, 1463). Carefully purified 4,3-Me(MeO)C6H3OH (13.8 g.) and 50 cc. MeOH containing 2.3 g. Na, evaporated in vacuo, heated 5 hrs. at 100° with 22 g. I Me ester, 50 cc. PhMe, and 13.8 g. creosol, allowed to stand 12 hrs. at room temperature, extracted with Et_2O, shaken repeatedly with aqueous H_2SO_4, and the excess creosol extracted from the Et_2O with aqueous NaOH, followed by washing, drying, evaporation, and fractionation, gave 17 g. Me β-(3-methoxy-4-methylphenoxy)-3,4-dimethoxycinnamate (II), prisms, m. 107-8°. At -70 to -80°, 5 g. crude II in 100 cc. dry Et_2O with 0.3 g. $LiAlH_4$ in 26 cc. Et_2O gave a precipitate which, when decomposed with H_2SO_4, yielded a mixture of creosol, dimethoxycinnamyl alc. (III), and α-(2-methoxy-4-methylphenoxy)-3,4-dimethoxycinnamyl alcohol (IV). III and IV could not be separated by distillation, or by adsorption in C_6H_6 on Al_2O_3 but the separation was effected on a paper chromatogram with C_6H_6 (Rf of III and IV being 0 and 0.9, resp.). IV was noncryst., but gave a red, crystalline p-PhN2C6H4CO derivative, m. 118-19°; and a crystalline phenyl-urethan, m. 134-5°. The phenylurethan of III m. 107-8°. PhOCH2CO2Me (22 g.) and 14 g. BzH reacted vigorously with 3 g. Na wire and 40 cc. dry Et_2O. After 12 hrs. 8.2 g. glacial AcOH, 60 cc. H_2O, and 20 cc. Et_2O were added successively, giving 38% PhCH(OH)CH(OPh)CO2Na (V), the Et_2O and alc. washings from which, when concentrated and esterified, yielded 48% PhCH:C(OPh)CO2Me (VI), b11 210°, m. 60-1°. The free acid from V, oil (not characterized) gave the Me ester (VII), m. 61° (from petr. ether); Ac derivative of VII, m. 69-70°; S-benzylthiuronium salt (corresponding to V), m. 188°. VI in Et_2O, under N at -70° with $LiAlH_4$, gradually warmed to -20° with aqueous H_2SO_4 gave PhCH:C(OPh)CH2OH, viscous oil; phenyl urethan, m. 104°. VII, similarly reduced (at -20°) gave PhCH(OH)CH(OPh)CH2OH, b1 197°, m. 74-5°. Using Giacosa's technique [J. prakt. Chemical 19, 396(1879)] but with longer initial heating, creosol, $ClCH_2CO_2H$, and NaOH gave 67% 4,2-Me(MeO)C6H3OCH2CO2H, m. 115°; Me ester (VIII), b11 167°; amide, m. 134-5°. Veratraldehyde (15.8 g.), 20 g. VIII, and 2.2 g. powdered Na under Et_2O, first cooled, then heated several hrs. on a steam bath and acidified with AcOH, gave 3,4-(MeO)2C6H3CH:CRCO2Me (IX) [R in this and other compds. = 4,2-Me(MeO)C6H3O], which, reduced with $LiAlH_4$ at -70° yielded the alc., $C_{19}H_{22}O_5$ (isolated by treating the intermediate salt, under Et_2O, with Dry Ice), oil, setting to a resin; 3,5-dinitrobenzoale, yellow needles, m. 158-9° (from BuOH). When 15.8 g. veratraldehyde, 20 g. VIII, 2.2 g. Na, and 50 cc. Et_2O were kept at about 0° and then acidified with aqueous AcOH, the product was a mixture, b0.01 225°, of IX and 3,4-(MeO)2C6H3(OH)CHRCO2Me, m. 137° (from aqueous MeOH). To 8 g. Na (powdered under 100 cc. absolute PhMe) were added successively 25 g. abs EtOH and 50 g. vanillin, and the resulting Na derivative was filtered, triturated with and suspended in PhMe, well-cooled, and treated with freshly distilled $ClCH_2OMe$; this kept at least 6 hrs. at room temperature, washed with 2% NaOH, and fractionated gave 41 g. methoxymethylvanillin (X), b1.5 145-7°, m. 39-40°. Freshly prepared X (9.8 g.) fused with 10.5 g. VIII, the product cooled, treated with 1.15 g. Na wire and 40 cc. Et_2O, allowed to stand overnight, 3.1 g. AcOH in 40 cc. H_2O added, and the mixture extracted with Et_2O gave 9 g. 4,3-(MeOCH2O)(MeO)C6H3CH(OH)CHRCO2Me, b0.05 175-7°. With 30 g. 14-day-old X (or with fresh X containing small amts. of vanillin), the reaction was sluggish and required heating for completion, giving as the principal product 3,4-

MeO(MeOCH2O)C6H3CH: CRCO2Me (XI), m. 112-13° (from aqueous MeOH). With a drop of H2SO4, AcOH, and Ac2O, 2 g. XI at 0° gave, after 1.5 hrs., 1.3 g. 3,4-MeO(AcO)C6H3CH:CRCO2Me (XII), m. 80° (from aqueous EtOH); when cooling was omitted, but the reaction continued for 8 hrs., the yield of XII was 87%. XII reduced with LiAlH4 under N at -20°, followed by a fully described extensive purification, including chromatographic fractionation on powdered cellulose, gave 3,4-MeO(HO)C6H3CH:CRCH2OH (XIII), b0.0001 140° (bath temperature), prisms, m. 90-1° (from CH2Cl2-petr. ether). Hydrogenated in MeOH with 5% Pd - BaSO4, XII gave the dihydro derivative, C21H24C7, b0.01 197°, which, reduced with LiAlH4, yielded the dihydro derivative of XIII, C18H22O5, b0.01 150°. Vanillin (10 g.), 6.25 g. CH2ClCO2H, 8.5 g. KOH, and 30 cc. H2O heated 4 hrs. at 100° and acidified with aqueous HCl gave quantitatively 2,4-MeO(OHC)C6H3OCH2CO2H, m. 188-9° [Elkan, Ber. 19, 3045(1886)], 8 g. of which with 10 g. CH2(CO2H)2 in 50 cc. pyridine containing small amts. of piperidine heated 2 hrs. at 100° yielded quantitatively 3,4-MeO(HO2CCH2O)C6H3CH:CHCO2H, m. 234° (also formed in 73% yield from ferulic acid, CH2ClCO2H and NaOH); di-Me ester (XIV), m. 104-5°. Veratraldehyde, (3 g.), 5 g. XIV, 0.41 g. Na powder, 20 cc. Et2O, and several drops absolute MeOH, heated several hrs. and acidified with aqueous AcOH, gave 2 g. Me α-[2-methoxy-4-(β-carbomethoxyvinyl)phenoxy]-3,4-dimethoxycinnamate, b0.01 260°, m. 129°. XIV and X refluxed with Na in Et2O gave, after acidification and fractionation of the Et2O extract, 31% Me O-methoxymethyl-α-[2-methoxy-4-(β-carbomethoxyvinyl)phenoxy]ferulate (XV), b0.01 275°, m. 100-1° (from MeOH). By replacing the MeOCH2 group in XV by Ac, the O-Ac analog (XVI), C24H24O9, b0.0001 180° (bath temperature), m. 117-18°, was formed. XVI (18 g.) in Et2O reduced by stepwise addition of LiAlH4 at room temperature, followed by adding moist Et2O, Na2S2O4, and Dry Ice to the aqueous phase, and fractionation in high vacuum of the Et2O extract, gave about 100 mg. resinous. 3,4-MeO[3,4-MeO(HO)C6H3CH:C(CH2OH)O] C6H3CH:CHCH2OH (XVII). The tetrahydro derivative of XVI, sirup, b0.001 160° (bath temperature); the tetrahydro derivative of XVII, colorless sirup, b0.001 150° (bath temperature). Inasmuch as both acetone-lignin and the dehydrogenation polymers of coniferyl alc. yielded 1.5-2% HCHO when distilled with H2SO4, a similar treatment was applied to a number of the synthetic compds. listed above. None of these gave more than faint traces of HCHO, with the single exception of PhCH(OH)CH(OPh)CH2OH, which yielded 1.3% HCHO. From this and previous studies (C.A. 42, 882a). F. and M. have indicated what types of structure, in O-containing derivs. of PhPr, are capable of giving rise to HCHO. 19 references.

TI Synthetic experiments connected with lignin

SO Annalen der Chemie, Justus Liebigs (1953), 584, 40-53
CODEN: 9X224Y

AB The following model substances are in part related to the dimers obtained by F. in expts. with coniferyl alc. (C.A. 47, 12296g), which are considered "secondary building stones" in lignin formation. Veratraldehyde condensed with CH2(CO2H)2 gave quant. yields of 3,4-(MeO)2C6H3CH:CHCO2H, whose Et ester (obtained in 94% yield) with Br in CHCl3 in artificial light yielded the dibromide, m. 110°; this was refluxed 7-8 hrs. with 3 moles KOH in alc., cooled, filtered, neutralized gradually (at about 0°) with concentrated HCl, refiltered, and concentrated in vacuo. Any salts that had been filtered, combined with those separating on concentration, were dissolved in H2O and acidified with 20% H2SO4, giving 20-30% 3,4-(MeO)2C6H3C.tplbond.CCO 2H (I), m. 156° (Fulton and Robinson, J. Chemical Society 1903, 1463). Carefully purified 4,3-Me(MeO)C6H3OH (13.8 g.) and 50 cc. MeOH containing 2.3 g. Na, evaporated in vacuo, heated 5 hrs. at 100° with 22 g. I Me ester, 50 cc. PhMe, and 13.8 g. creosol, allowed to stand 12 hrs. at room temperature, extracted with Et2O, shaken repeatedly with aqueous H2SO4, and the excess creosol extracted from the Et2O with aqueous NaOH, followed by washing, drying, evaporation, and fractionation, gave 17 g. Me β-(3-methoxy-4-methylphenoxy)-3,4-dimethoxycinnamate (II), prisms, m. 107-8°. At -70 to -80°, 5 g. crude II

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acidified with aqueous AcOH, gave 2 g. Me α-[2-methoxy-4-(β-carbomethoxyvinyl)phenoxy]-3,4-dimethoxycinnamate, b0.01 260°, m. 129°. XIV and X refluxed with Na in Et2O gave, after acidification and fractionation of the Et2O extract, 31% Me O-methoxymethyl-α-[2-methoxy-4-(β-carbomethoxyvinyl)phenoxy]ferulate (XV), b0.01 275°, m. 100-1° (from MeOH). By replacing the MeOCH2 group in XV by Ac, the O-Ac analog (XVI), C24H24O9, b0.0001 180° (bath temperature), m. 117-18°, was formed. XVI (18 g.) in Et2O reduced by stepwise addition of LiAlH4 at room temperature, followed by adding moist Et2O, Na2S2O4, and Dry Ice to the aqueous phase, and fractionation in high vacuum of the Et2O extract, gave about 100 mg. resinous. 3,4-MeO[3,4-MeO(HO)C6H3CH:C(CH2OH)O] C6H3CH:CHCH2OH (XVII). The tetrahydro derivative of XVI, sirup, b0.001 160° (bath temperature); the tetrahydro derivative of XVII, colorless sirup, b0.001 150° (bath temperature). Inasmuch as both acetone-lignin and the dehydrogenation polymers of coniferyl alc. yielded 1.5-2% HCHO when distilled with H2SO4, a similar treatment was applied to a number of the synthetic compds. listed above. None of these gave more than faint traces of HCHO, with the single exception of PhCH(OH)CH(OPh)CH2OH, which yielded 1.3% HCHO. From this and previous studies (C.A. 42, 882a). F. and M. have indicated what types of structure, in O-containing derivs. of PhPr, are capable of giving rise to HCHO. 19 references.

IT 1660-19-1P, Acetic acid, (4-formyl-2-methoxyphenoxy)- 2316-26-9P, Cinnamic acid, 3,4-dimethoxy- 5533-00-6P, Veratraldehyde, α4-methoxy- 6270-23-1P, Acetic acid, (2-methoxy-p-tolyloxy)- 18523-76-7P, 2-Propen-1-ol, 3-(3,4-dimethoxyphenyl)- 20583-78-2P, Cinnamic acid, 3,4-dimethoxy-, ethyl ester 22511-06-4P, Propiolic acid, (3,4-dimethoxyphenyl)- 41247-45-4P, 2-Propen-1-ol, 3-(4-hydroxy-3-methoxyphenyl)-2-(2-methoxy-p-tolyloxy)- 53505-68-3P, 1-Propanol, 3-(4-hydroxy-3-methoxyphenyl)-2-[4-(3-hydroxypropyl)-2-methoxyphenoxy]- 62497-24-9P, Propiolic acid, (3,4-dimethoxyphenyl)-, methyl ester 70110-65-5P, 1,3-Propanediol, 2-phenoxy-1-phenyl- 84159-61-5P, Acetic acid, (2-methoxy-p-tolyloxy)-, methyl ester 99873-50-4P, Hydrocinnamic acid, α,β-dibromo-3,4-dimethoxy-, ethyl ester 100519-48-0P, Cinnamic acid, 4-(carboxymethoxy)-3-methoxy- 102448-71-5P, Ferulic acid, α-(2-methoxy-p-tolyloxy)-, methyl ester, acetate 102448-71-5P, Hydroferulic acid, α-(2-methoxy-p-tolyloxy)-, methyl ester, acetate 102596-16-7P, Cinnamic acid, 3-methoxy-4-(methoxymethoxy)-α-(2-methoxy-p-tolyloxy)-, methyl ester 102749-35-9P, Cinnamic acid, 4-hydroxy-α,4'-oxybis[3-methoxy-, dimethyl ester, acetate 102749-35-9P, Ferulic acid, α-[4-(2-carboxyvinyl)-2-methoxyphenoxy]-, dimethyl ester, acetate 198897-12-0P, Cinnamic acid, 4-(carboxymethoxy)-3-methoxy-, dimethyl ester 854884-24-5P, Cinnamic acid, α-phenoxy-, methyl ester 854888-06-5P, Cinnamic acid, 3,3',4-trimethoxy-α,4'-oxydi-, dimethyl ester 856179-22-1P, Cinnamic acid, 4-(methoxymethoxy)-α,4'-oxybis[3-methoxy-, dimethyl ester 856818-05-8P, 1-Propanol, 3-(4-hydroxy-3-methoxyphenyl)-2-(2-methoxy-p-tolyloxy)- 857231-66-4P, 2-Propen-1-ol, 2-phenoxy-3-phenyl-, carbanilate 857234-56-1P, 2-Propen-1-ol, 3-(4-hydroxy-3-methoxyphenyl)-2-[4-(3-hydroxypropenyl)-2-methoxyphenoxy]- 857946-32-8P, Acetamide, 2-(2-methoxy-p-tolyloxy)- 858207-72-4P, Hydracrylic acid, 3-(3,4-dimethoxyphenyl)-2-(2-methoxy-p-tolyloxy)-, methyl ester 858207-82-6P, Hydracrylic acid, 3-[3-methoxy-4-(methoxymethoxy)phenyl]-2-(2-methoxy-p-tolyloxy)-, methyl ester 858217-33-1P, Hydrocinnamic acid, 4-hydroxy-α,4'-oxybis[3-methoxy-, dimethyl ester, acetate 859056-37-4P, 2-Propen-1-ol, 2-phenoxy-3-phenyl- 859056-69-2P, 2-Propen-1-ol, 3-(3,4-dimethoxyphenyl)-2-(2-methoxy-p-tolyloxy)-, 3,5-dinitrobenzoate 859056-72-7P, 2-Propen-1-ol,

3-(3,4-dimethoxyphenyl)-, carbanilate 859060-89-2P, Pseudourea, 2-benzyl-2-thio-, compound with 2-phenoxy-3-phenylhydracrylic acid 860257-90-5P, Hydroferulic acid, α-[4-(2-carboxyethyl)-3-methoxyphenoxy]-, dimethyl ester, acetate 873410-58-3P, Benzoic acid, p-phenylazo-, 3,4-dimethoxy-γ-(2-methoxy-p-tolyloxy)cinnamyl ester 874009-71-9P, 2-Propen-1-ol, 3-(3,4-dimethoxyphenyl)-2-(2-methoxy-p-tolyloxy)-
RL: PREP (Preparation)
(preparation of)

L9 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1953:72704 CAPLUS Full-text
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ORIGINAL REFERENCE NO.: 47:12323b-i,12324a-h
TITLE: Aliphatic nitro compounds. IV. Various addition and condensation reactions of ethyl nitroacetate
AUTHOR(S): Dornow, Alfred; Frese, Albert
CORPORATE SOURCE: Tech. Hochschule, Hannover, Germany
SOURCE: Annalen der Chemie, Justus Liebigs (1952), 578, 122-36
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DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 47:72704
GI For diagram(s), see printed CA Issue.

AB O2NCH2CO2Et (I) (prepared by Steinkopf's method, C.A. 18, 377) added α,β-unsatd. ketones at room temperature, with Et2NH (II) or PhCH2NH3OH (III) as catalysts. In ligroine, I and PhCH:CHAc with II gave 92% [AcCH2CHPhC(NO2)(CO2Et)]NH2Et2 (IV), m. 114°. Similarly I, II, and PhCH2:CHNO2 gave the NH2Et2 salt of Et 2-aci-2,4-dinitro-3-phenylbutyrate (V), m. 98° (and traces of polynitrostyrene). V (96% was also formed from equivalent amts. of Ph(PhNH)CHCH2NO2, I, and II, in ligroine and Et2O; in the absence of Et2O, polynitrostyrene is a by-product. I (3.7 g.), 2-cc. of 40% III, 4 cc. dioxane, and 4 g. PhCH:CHCO2Et, kept 3 days at 60°, then at 0°, and the mixture acidified with N HCl and extracted with Et2O gave 66% EtO2CCH(NO2)CHPhCH2CO2Et, b1 176-8°. PhCH:CHAc and I with III gave, after 14 days, a brown smear from which only 30 mg. of the PhCh2NMe2 analog of V, m. 175°, could be isolated by Et2O extraction The residual Et2O extract treated with II gave 54% V. I, II, and (PhN:)2 yielded 82% of the adduct C20H28O4N4, m. 88°. In ligroine or petr. ether, I with a variety of Schiff bases in the presence of II yielded unstable adducts [R1HNCHRC(NO2)CO2Et]NH2Et2 (VI), in which R and R1 are usually aryl groups, given in the following table. Schiff base used, Yield of, VI %, Decomposition, °C., Formula of VI; PhN:CHPh, 99, 106, (VIa) C21H29O4N3; p-MeC6H4N:CHPh, 94, 86, (VIb) C22H31O4N3; p-EtOC6H4N:CHPh, 96, 106, (VIc) C23H33O5N3; m-O2NC6H4N:CHPh, 93, 109, (VId) C21H28O6N4; p-O2NC6H4N:CHPh, 95, 120, (VIe) C21H28O6N4; MeN:CHPh, 97, 78, (VIf) C16H27O4N3; o-O2NC6H4CH:NPh, 95, 105, (VIg) C21H27O6N4; m-O2NC6H4CH:NPh, 96, 113, (VIh) C21H28O6N4; p-O2NC6H4CH:NPh, 86, 105, (VIi) C21H28O6N4; m-O2NC6H4CH:NC6H4Me-p, Too unstable for isolation; o-HOC6H4CH:NPh, " " " "; 2,1-HOC10H6CH:NPh, " " " "; p-HOC6H4CH:NPh, " " " "; p-MeOC6H4CH:NPh, " " " "; o-ClC6H4CH:NPh, 98, 108, (VIj) C21H28O4N3Cl; p-ClC6H4CH:NPh, 99, 84, (VIk) C21H28O4N3Cl; N-(2-Pyridylmethylene)-p-phenetidine, 95, 86, (VIl) C22H32O5N4; N-(3-Pyridylmethylene)-p-phenetidine, 82, 103, (VIm) C22H32O5N4; N-furfurylidene-p-phenetidine, 85, 112, (VIn) C21H31O6N3; Attempts to precipitate the VI in CHCl3 with petr. ether, or solution in alc. (or Et2O) caused decomposition to the corresponding Et2NH salts (VII) of RCH[CH(NO2)CO2Et]2 (R = aryl). Thus VIa, VIb, VIc, VId, and VIe yielded resp. 94-98, 95, 92, 89, and 84% VIIa, C19H29O8N3, m. 129° (decomposition). VIg gave 82% (VIIb) C19H28O10N4, m. 126°. VIh gave 90% VIIc C19H28O10N4, m. 121°.

VIi gave 82% VIId, C19H28O10N4, m. 125°. From m-O2NC6H4CH:NC6H4Me-p was formed 95% VIIc, m. 121°; from o-HOC6H4CH:NPh, 90% VIIe, C19H29O9N3, m. 128°; from p-HOC6H4CH:NPh, 64%, VIIf, C19H29O9N3, m. 119°; from p-MeOC6H4CH:NPh, 72% VIIg, C20H31O9N3, m. 95-7°. The product from 2-HOC10H6CH:NPh could not be isolated. VIj gave 97% VIIh, C19H28O8N3Cl, m. 118°; VIk, 67% VIIj; C19H28O8N3Cl, m. 125°; VIl, 84% VIIk, C18H28O8N4, m. 139°; VIm, 55% VIIl, C18H28O8N4, m. 148°; and VIn, 88% VIIm, C17H27O9N3, m. 125°. When compds. of type VI in alc. were heated, preferably with an excess II, the following corresponding isoxazoline oxides (VIII) [all m. (decomposition)] were formed (cf. preceding abstract): from VIa, 76-80% C17H22O5N2, m. 181°; from VIf, 78% C14H16O5N2, m. 181°; from VIg, 38% C17H21O7N3, (VIIIa), m. 189°; from VIh, 46% of the m-isomer of VIIIa, m. 181°; from VIi, 32% of the p-isomer of VIIIa, m. 187°; from VIj, 68% C17H21O5N2Cl, m. 196°; from VIk, 70% of the p-isomer, m. 168°. Although the corresponding VI and VII from 2-HOC10H6CH:NPh could not be isolated, D. and F. claim the formation of 73% VIII from this Schiff base but give the isoxazoline oxide formula as "C23H29O5N3" m. 162° (decomposition). o-HOC6H4CH:NPh gave the isoxazoline oxide, C17H22O6N2 (incorrectly given as "C17H22O5N2"), m. 198° (decomposition). p-MeOC6H4CH:NPh gave C18H24O6N2, m. 195° (decomposition). VIIc refluxed 15 min. in absolute alc. with a large excess of II gave 42% O←N:C(CONEt2).CH(C6H4NO2).CH(CONEt2).O, m. 203° (from EtOH). Aldehydes or Schiff bases react with Et2NH2+ salts of I, giving, in the case of BzH, o-HOC6H4CH:NPh, or N-(2-pyridylmethylene)-p-phenetidine, compds. of type VII. However PhCH:NPh or its m-NO2 derivative (IX), gave directly the corresponding VIII. With the MeNH3+ salt (X) of I, a compound of type VII, C16H22O10N4, m. 130°, was obtained from IX. In all other cases X gave the following compds. of type VIII: from BzH or PhCH:NPh, 78-86% C14H16O5N2, m. 181-2° (decomposition); from p-HOC6H4CH:NPh, 62% C14H16O6N2, m. 197° (decomposition); from p-MeOC6H4CH:NPh, 57% C15H18O6N2, m. 180° (decomposition). Hydrobenzamide (3 g.) treated in ligroine at 0° with 2.6 g. I and the crystalline deposit promptly separated and washed with Et2O gave 0.7 g. NH4 salt of I, m. 124°. If the salt is not separated, it forms an oil, resolidifying in 24 hrs. and giving 2.5 g. of the di-NH4 salt of di-Et 2,4-dinitro-3-phenylglutarate, C15H24O8N4, m. 95-6°. I (2 moles), 1.5 moles II, and 1,1'-benzylidenedipiperidine (XI) in Et2O-alc. (1:1) at room temperature gave 93% VIIa, m. 129°. Similarly the o-HO analog of XI gave VIIe. p-Cl3CCH:NC6H4Me in alc.-Et2O with I and II gave 93% [CCl3CH(OH)C(NO2)(CO2Et)](NH2Et2), m. 126° (decomposition). Cyclohexanone (5 g.), 6 g. I, and 3 cc. of 25% PhCH2NMe3OBu (XII) in BuOH gave 3.9 g. CH2.(CH2)4.C[CH(NO2)CO2Et]2, b0.8 120°. BzMe and I with XII gave 70% EtO2C.CH(NO2)CMePhCH(NO2)CO2Et, b1 150° O←N:C(CONEt2).CH(C6H4OH-p).CH(CO2Et).O in Et2O at 0° with HCl gas gave 83% O.N: C(CO2H).C(C6H4OH-p):CCO2Et(XIII),m. 155° (from C6H6). Hydrogenated in the presence Pd-BaSO4 or PtO2 and MeOH, XIII gave 83% HON:C(CONEt2) CH(C6H4OH) CH(OH) CO2Et, yellow, m. 157° (decomposition); the Ph analog, m. 140° (decomposition).

TI Aliphatic nitro compounds. IV. Various addition and condensation reactions of ethyl nitroacetate

SO Annalen der Chemie, Justus Liebigs (1952), 578, 122-36
CODEN: 9X224Y

AB O2NCH2CO2Et (I) (prepared by Steinkopf's method, C.A. 18, 377) added α,β-unsatd. ketones at room temperature, with Et2NH (II) or PhCH2NH3OH (III) as catalysts. In ligroine, I and PhCH:CHAc with II gave 92% [AcCH2CHPhC(NO2)(CO2Et)]NH2Et2 (IV), m. 114°. Similarly I, II, and PhCH2:CHNO2 gave the NH2Et2 salt of Et 2-aci-2,4-dinitro-3-phenylbutyrate (V), m. 98° (and traces of polynitrostyrene). V (96% was also formed from equivalent amts. of Ph(PhNH)CHCH2NO2, I, and II, in ligroine and Et2O; in the absence of Et2O, polynitrostyrene is a by-product. I (3.7 g.), 2-cc. of 40% III, 4 cc. dioxane, and 4 g. PhCH:CHCO2Et, kept 3 days at 60°, then at 0°, and the mixture acidified with N HCl and extracted with Et2O gave 66% EtO2CCH(NO2)CHPhCH2CO2Et, b1 176-8°. PhCH:CHAc and I with III gave, after 14

days, a brown smear from which only 30 mg. of the PhCh2NMe2 analog of V, m. 175°, could be isolated by Et2O extraction The residual Et2O extract treated with II gave 54% V. I, II, and (PhN:)2 yielded 82% of the adduct C20H28O4N4, m. 88°. In ligroine or petr. ether, I with a variety of Schiff bases in the presence of II yielded unstable adducts [R1HNCHRC(NO2)CO2Et]NH2Et2 (VI), in which R and R1 are usually aryl groups, given in the following table. Schiff base used, Yield of, VI %, Decomposition, °C., Formula of VI; PhN:CHPh, 99, 106, (VIa) C21H29O4N3; p-MeC6H4N:CHPh, 94, 86, (VIb) C22H31O4N3; p-EtOC6H4N:CHPh, 96, 106, (VIc) C23H33O5N3; m-O2NC6H4N:CHPh, 93, 109, (VId) C21H28O6N4; p-O2NC6H4N:CHPh, 95, 120, (VIe) C21H28O6N4; MeN:CHPh, 97, 78, (VIf) C16H27O4N3; o-O2NC6H4CH:NPh, 95, 105, (VIg) C21H27O6N4; m-O2NC6H4CH:NPh, 96, 113, (VIh) C21H28O6N4; p-O2NC6H4CH:NPh, 86, 105, (VIi) C21H28O6N4; m-O2NC6H4CH:NC6H4Me-p, Too unstable for isolation; o-HOC6H4CH:NPh, " " " "; 2,1-HOC10H6CH:NPh, " " " "; p-HOC6H4CH:NPh, " " " "; p-MeOC6H4CH:NPh, " " " "; o-ClC6H4CH:NPh, 98, 108, (VIj) C21H28O4N3Cl; p-ClC6H4CH:NPh, 99, 84, (VIk) C21H28O4N3Cl; N-(2-Pyridylmethylene)-p-phenetidine, 95, 86, (VIl) C22H32O5N4; N-(3-Pyridylmethylene)-p-phenetidine, 82, 103, (VIm) C22H32O5N4; N-furfurylidene-p-phenetidine, 85, 112, (VIn) C21H31O6N3; Attempts to precipitate the VI in CHCl3 with petr. ether, or solution in alc. (or Et2O) caused decomposition to the corresponding Et2NH salts (VII) of RCH[CH(NO2)CO2Et]2 (R = aryl). Thus VIa, VIb, VIc, VId, and VIe yielded resp. 94-98, 95, 92, 89, and 84% VIIa, C19H29O8N3, m. 129° (decomposition). VIg gave 82% (VIIb) C19H28O10N4, m. 126°. VIh gave 90% VIIc C19H28O10N4, m. 121°. VIi gave 82% VIId, C19H28O10N4, m. 125°. From m-O2NC6H4CH:NC6H4Me-p was formed 95% VIIc, m. 121°; from o-HOC6H4CH:NPh, 90% VIIe, C19H29O9N3, m. 128°; from p-HOC6H4CH:NPh, 64%, VIIf, C19H29O9N3, m. 119°; from p-MeOC6H4CH:NPh, 72% VIIg, C20H31O9N3, m. 95-7°. The product from 2-HOC10H6CH:NPh could not be isolated. VIj gave 97% VIIh, C19H28O8N3Cl, m. 118°; VIk, 67% VIIj; C19H28O8N3Cl, m. 125°; VIl, 84% VIIk, C18H28O8N4, m. 139°; VIm, 55% VIIl, C18H28O8N4, m. 148°; and VIn, 88% VIIm, C17H27O9N3, m. 125°. When compds. of type VI in alc. were heated, preferably with an excess II, the following corresponding isoxazoline oxides (VIII) [all m. (decomposition)] were formed (cf. preceding abstract): from VIa, 76-80% C17H22O5N2, m. 181°; from VIf, 78% C14H16O5N2, m. 181°; from VIg, 38% C17H21O7N3, (VIIIa), m. 189°; from VIh, 46% of the m-isomer of VIIIa, m. 181°; from VIi, 32% of the p-isomer of VIIIa, m. 187°; from VIj, 68% C17H21O5N2Cl, m. 196°; from VIk, 70% of the p-isomer, m. 168°. Although the corresponding VI and VII from 2-HOC10H6CH:NPh could not be isolated, D. and F. claim the formation of 73% VIII from this Schiff base but give the isoxazoline oxide formula as "C23H29O5N3" m. 162° (decomposition). o-HOC6H4CH:NPh gave the isoxazoline oxide, C17H22O6N2 (incorrectly given as "C17H22O5N2"), m. 198° (decomposition). p-MeOC6H4CH:NPh gave C18H24O6N2, m. 195° (decomposition). VIIc refluxed 15 min. in absolute alc. with a large excess of II gave 42% O←N:C(CONEt2).CH(C6H4NO2).CH(CONEt2).O, m. 203° (from EtOH). Aldehydes or Schiff bases react with Et2NH2+ salts of I, giving, in the case of BzH, o-HOC6H4CH:NPh, or N-(2-pyridylmethylene)-p-phenetidine, compds. of type VII. However PhCH:NPh or its m-NO2 derivative (IX), gave directly the corresponding VIII. With the MeNH3+ salt (X) of I, a compound of type VII, C16H22O10N4, m. 130°, was obtained from IX. In all other cases X gave the following compds. of type VIII: from BzH or PhCH:NPh, 78-86% C14H16O5N2, m. 181-2° (decomposition); from p-HOC6H4CH:NPh, 62% C14H16O6N2, m. 197° (decomposition); from p-MeOC6H4CH:NPh, 57% C15H18O6N2, m. 180° (decomposition). Hydrobenzamide (3 g.) treated in ligroine at 0° with 2.6 g. I and the crystalline deposit promptly separated and washed with Et2O gave 0.7 g. NH4 salt of I, m. 124°. If the salt is not separated, it forms an oil, resolidifying in 24 hrs. and giving 2.5 g. of the di-NH4 salt of di-Et 2,4-dinitro-3-phenylglutarate, C15H24O8N4, m. 95-6°. I (2 moles), 1.5 moles II, and 1,1'-benzylidenedipiperidine (XI) in Et2O-alc. (1:1) at room temperature gave 93% VIIa, m. 129°. Similarly the o-HO analog of XI gave VIIe. p-Cl3CCH:NC6H4Me in alc.-Et2O with I and II gave 93% [CCl3CH(OH)C(NO2)(CO2Et)](NH2Et2), m. 126° (decomposition). Cyclohexanone (5

g.), 6 g. I, and 3 cc. of 25% PhCH2NMe3OBu (XII) in BuOH gave 3.9 g. CH2.(CH2)4.C[CH(NO2)CO2Et]2, b0.8 120°. BzMe and I with XII gave 70% EtO2C.CH(NO2)CMePhCH(NO2)CO2Et, b1 150° O←N:C(CONEt2).CH(C6H4OH-p).CH(CO2Et).O in Et2O at 0° with HCl gas gave 83% O.N: C(CO2H).C(C6H4OH-p):CCO2Et(XIII),m. 155° (from C6H6). Hydrogenated in the presence Pd-BaSO4 or PtO2 and MeOH, XIII gave 83% HON:C(CONEt2) CH(C6H4OH) CH(OH) CO2Et, yellow, m. 157° (decomposition); the Ph analog, m. 140° (decomposition).

IT 521942-14-3P, 1,1-Cyclohexanediacetic acid, α,α'-dinitro-, diethyl ester 854704-42-0P, Glutaramic acid, N,N-diethyl-2-hydroxy-3-(p-hydroxyphenyl)-4-oxo-, ethyl ester, oxime 854705-67-2P, Glutaric acid, 2-nitro-3-phenyl-, diethyl ester 855601-37-5P, 2-Isoxazoline-3,5-dicarboxamide, N,N,N',N'-tetraethyl-4-(m-nitro-phenyl)-, 2-oxide 855601-50-2P, 2-Isoxazoline-5-carboxylic acid, 4-(p-hydroxyphenyl)-3-methyl-carbamoyl-, ethyl ester, 2-oxide 855747-58-9P, 2-Isoxazoline-5-carboxylic acid, 3-diethylcarbamoyl-4-[p-nitrophenyl]-, ethyl ester, 2-oxide 855747-59-0P, 2-Isoxazoline-5-carboxylic acid, 3-diethylcarbamoyl-4-[o-nitrophenyl]-, ethyl ester, 2-oxide 855747-60-3P, 2-Isoxazoline-5-carboxylic acid, 3-diethylcarbamoyl-4-[m-nitrophenyl]-, ethyl ester, 2-oxide 855747-62-5P, 2-Isoxazoline-5-carboxylic acid, 3-diethylcarbamoyl-4-(o-hydroxyphenyl)-, ethyl ester, 2-oxide 857557-42-7P, Acetic acid, aci-nitro-, ethyl ester, NH4 derivative 858250-71-2P, Glutaric acid, 3-methyl-2,4-dinitro-3-phenyl-, diethyl ester 859305-07-0P, Glutaramic acid, N,N-diethyl-2-hydroxy-4-oxo-3-phenyl-, ethyl ester, oxime 860372-21-0P, 2-Isoxazoline-5-carboxylic acid, 3-diethylcarbamoyl-4-(2-hydroxy-1-naphthyl)-, ethyl ester, 2-oxide 872788-69-7P, 2-Isoxazoline-5-carboxylic acid, 3-methylcarbamoyl-4-phenyl-, ethyl ester, 2-oxide 872788-69-7P, 2-Isoxazoline-5-carboxylic acid, 3-methylcarbamoyl-4-phenyl-, ethyl ester, 2-oxide 872788-71-1P, 2-Isoxazoline-5-carboxylic acid, 4-(p-methoxyphenyl)-3-methyl-carbamoyl-, ethyl ester, 2-oxide 872788-73-3P, 2-Isoxazoline-5-carboxylic acid, 3-diethylcarbamoyl-4-phenyl-, ethyl ester, 2-oxide 872788-75-5P, 2-Isoxazoline-5-carboxylic acid, 3-diethylcarbamoyl-4-(p-methoxyphenyl)-, ethyl ester, 2-oxide 872788-77-7P, 2-Isoxazoline-5-carboxylic acid, 4-[p-chlorophenyl]-3-diethylcarbamoyl-, ethyl ester, 2-oxide 874533-61-6P, 2-Isoxazoline-5-carboxylic acid, 4-[o-chlorophenyl]-3-diethylcarbamoyl-, ethyl ester, 2-oxide
RL: PREP (Preparation)
(preparation of)

L12 STRUCTURE UPLOADED

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78.1% PROCESSED 2000 ITERATIONS 21 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
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FULL FILE PROJECTIONS: ONLINE **COMPLETE**
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L13 21 SEA SSS SAM L12

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RN   1089316-65-3  REGISTRY
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CN   Benzenepropanoic acid, 4-hydroxy-3-methoxy-α-(2-methoxy-4-
     propylphenoxy)-β-oxo-α-(phenylmethyl)-, ethyl ester  (CA INDEX
     NAME)
MF   C29 H32 O7
SR   CA


/ Structure 112 in file .gra /


**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**



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FULL SCREEN SEARCH COMPLETED -    50873 TO ITERATE

100.0% PROCESSED    50873 ITERATIONS                              499 ANSWERS
SEARCH TIME: 00.00.01

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=> d scan

L14  499 ANSWERS   REGISTRY  COPYRIGHT 2009 ACS on STN
IN   Butanedioic acid, 2-[3-(3,4-dihydroxyphenyl)-2-hydroxy-1-oxopropoxy]-3-[[3-
     (3,4-dihydroxyphenyl)-1-oxo-2-propen-1-yl]oxy]-
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        147845 ASYM
             6 ASYMS
        147848 ASYM
                 (ASYM OR ASYMS)
        184557 ASYMMETRI?
                 (ASYMMETRI? OR ASYM)
        187623 HYDROGENATI?
          4068 ASYMMETRI? HYDROGENATI?
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                  (ASYMMETRIC? OR ASYM)
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        129212 'CHIRAL'
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             2 'CATALY'
             0 'CHIRAL? CATALY?'
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L19          0 L16 AND 'CHIRAL? CATALY?'

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UNMATCHED LEFT PARENTHESIS 'AND (RU?'
The number of right parentheses in a query must be equal to the
number of left parentheses.

=> s l16 and (Ru? or Rh? or Pd? or Ir?)
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        783851 RH?
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L20         26 L16 AND (RU? OR RH? OR PD? OR IR?)

=> d l20 ibib abs 1-5

L20  ANSWER 1 OF 26  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2003:855905  CAPLUS  Full-text
DOCUMENT NUMBER:         139:350938
TITLE:                   Preparation of N-cinnamoyl-DOPA esters and related
                         compounds as T lymphocyte inhibitors
INVENTOR(S):             Won, Jongwha; Lee, Keunhyeung; Park, Seehyoung; Kim,
                         Sung-Joo; Yun, Su-Young; Kang, Mi-Ae; Hur, Yun-Gyoung;
                         Youn, Jeehee; Yun, Yungdae; Park, Doohong; Oh, Jaetaek
PATENT ASSIGNEE(S):      Mogam Biotechnology Research Institute, S. Korea
SOURCE:                  PCT Int. Appl., 109 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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     WO 2003089405        A1    20031030    WO 2003-KR751          20030414 <--
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
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UG, UZ, VC, VN, YU, ZA, ZM, ZW
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KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
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EP 1499585	A1	20050126	EP 2003-715837		20030414 <--
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JP 2005522523	T	20050728	JP 2003-586126		20030414 <--
PRIORITY APPLN. INFO.:			KR 2002-20481	A	20020415 <--
			WO 2003-KR751	W	20030414

OTHER SOURCE(S): MARPAT 139:350938
GI

/ Structure 118 in file .gra /

AB Title compds. I [R1-R10 = H, OH, halogen, alkoxy, CHO, CO2H, NH2, CF3, NO2, ≥ 1 of R1-R5 and R6-R10 = OH; X1 = O, S, NH, NMe, NEt, NHNH; X2 = CH2, CO, CS, CONH; X3 = bond, (un)substituted CH:CH, CH:CHCH:CH, CH2, CH2CH2; Y1 = H, CH2, CO, CS, alkyl, amino, 3-methyl-1,2,4-oxadiazol-5-yl, 3-benzyl-1,2,4-oxadiazol-5-yl; Y = absent, (un)substituted NH2, OH, SH; B = H, alkyl] were prepared as inhibitors of the activation of T lymphocytes by the src homol. region 2(SH2) domain of T lymphocyte (lck), useful for the treatment, prevention and/or diagnosis of graft rejection, autoimmune diseases, inflammatory diseases, etc. Thus, D-DOPA was converted to its Me ester and treated with caffeic acid to give the amide II which inhibited the binding of the lck SH2 domain with its cognate peptide < 10μM.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:570940 CAPLUS Full-text
DOCUMENT NUMBER: 139:133345
TITLE: Preparation of Phenyl(alkyl)carboxylic acid derivatives and analogs and their serum glucose and/or serum lipid lowering activity
INVENTOR(S): Giannessi, Fabio; Tassoni, Emanuela; Dell'Uomo, Natalina; Brunetti, Tiziana; Tinti, Maria Ornella; Arduini, Arduino; Pessotto, Pompeo
PATENT ASSIGNEE(S): Sigma-Tau Industrie Farmaceutiche Riunite S.p.A., Italy
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003059864	A2	20030724	WO 2003-IT7	20030113 <--
WO 2003059864	A3	20040129		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

IT 2002RM0016	A1	20030715	IT 2002-RM16	20020115 <--
CA 2472209	A1	20030724	CA 2003-2472209	20030113 <--
AU 2003209676	A1	20030730	AU 2003-209676	20030113 <--
EP 1465858	A2	20041013	EP 2003-729544	20030113 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003006880	A	20041221	BR 2003-6880	20030113 <--
CN 1617854	A	20050518	CN 2003-802295	20030113 <--
JP 2005514452	T	20050519	JP 2003-559969	20030113 <--
MX 2004PA06802	A	20041011	MX 2004-PA6802	20040713 <--
US 20050032787	A1	20050210	US 2004-501135	20040713 <--
PRIORITY APPLN. INFO.:			IT 2002-RM16 A	20020115 <--
			WO 2003-IT7 W	20030113

OTHER SOURCE(S): MARPAT 139:133345
GI

/ Structure 119 in file .gra /

AB Title compds. I [A = CH; alkanylidene with 2-4 C atoms, etc.; Ar = mono/bicyclic (hetero)aryl; f, h = 0-1; m = 0-3; n = 0-1 and if n = 0, R1 = absent and COY is directly bound to benzene; Q, Z = NH, O, S, NHCO, etc.; Y = OH, alkoxy, amino] are prepared For instance, 3-hydroxybenzaldehyde is condensed with dimethylmalonate (HOAc, piperidine, 5 h) and the product reduced (MeOH, H2-10% Pd/C @ 50 psi, 18 h) to give II. II is capable of increasing glucose consumption in 3T3 - L1 cells to a similar extent to that achieved by rosiglitazone. I are serum glucose and serum lipid lowering agents and are useful for the prophylaxis and treatment of diabetes, particularly type 2, and its complications, Syndrome X, the various forms of insulin resistance, and hyperlipidemias, and present reduced side effects, and, particularly, reduced or no liver toxicity.
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 3 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:511336 CAPLUS Full-text
DOCUMENT NUMBER: 139:85372
TITLE: Preparation of pyrazolopyrimidines and related compounds as hPPARα and hPPARγ ligands
INVENTOR(S): Das, Saibal Kumar; Bhuniya, Debnath; Madhavan, Gurram Ranga; Iqbal, Javed; Chakrabarti, Ranjan
PATENT ASSIGNEE(S): Reddy's Laboratories Ltd., India
SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2003053974 A1 20030703 WO 2002-IB5442 20021217 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
IN 2001MA01029 A 20050304 IN 2001-MA1029 20011221 <--
AU 2002348829 A1 20030709 AU 2002-348829 20021217 <--
US 20050096331 A1 20050505 US 2004-499852 20040621 <--
PRIORITY APPLN. INFO.: IN 2001-MA1029 A 20011221 <--
WO 2002-IB5442 W 20021217 <--
OTHER SOURCE(S): CASREACT 139:85372; MARPAT 139:85372
GI

/ Structure 120 in file .gra /

AB Title compds. I [R1 = H, halo, OH, etc.; R2 = H, OH, halo, etc.; R3 = H, (un)substituted alkyl, cycloalkyl, etc.; Z = O, NR4; R4 = H, (un)substituted alkyl, aryl, etc.; Y = O, S, NR6, etc.; R6 = H, (un)substituted alkyl, aryl, etc.; Ar = (un)substituted aromatic, heteroarom., heterocyclic; G = O, S; X = O, NHR5, (CH2)pO, etc.; R5 = H, (un)substituted alkyl, aryl, etc.; n = 1-4; p = 0-4; A = (un)substituted pyrazolopyrimidine, imidazolopyrimidine] and their pharmaceutically acceptable salts and formulations were prepared For example, O-alkylation of 5-ethyl-1,4-dihydro-1-methyl-3-propyl-7H-pyrazolo[4,3-d]pyrimidin-7-one by chloroacetyl II, e.g., prepared from 4-aminothiophenol in 3-steps, followed by ester hydrolysis, afforded claimed pyrazolopyrimidine III in 5% yield. In hPPARα and hPPARγ Luciferase ligand binding assays, 2-examples of compds. I, e.g., pyrazolopyrimidine III, exhibited activity at 50 and 1 μM, resp. The test compds. also inhibited HMG CoA reductase (no data provided). Compds. I are claimed useful as antidiabetic, hypolipidemic, antiobesity and hypocholesterolemic agents.
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:319859 CAPLUS Full-text
DOCUMENT NUMBER: 138:337836
TITLE: Long-chain, unsaturated, aromatic dicarboxylic acid derivatives, their preparation, and therapeutic use for treatment of conditions mediated by peroxisome proliferator-activated receptors (PPAR).
INVENTOR(S): Sauerberg, Per; Bury, Paul Stanley; Jeppesen, Lone; Mogensen, John Patrick
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 2003033453	A1	20030424	WO 2002-DK692		20021015	<--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW						
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG						
CA 2462514	A1	20030424	CA 2002-2462514		20021015	<--
AU 2002336916	A1	20030428	AU 2002-336916		20021015	<--
EP 1438283	A1	20040721	EP 2002-772084		20021015	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK						
BR 2002013253	A	20041026	BR 2002-13253		20021015	<--
HU 2004001837	A2	20041228	HU 2004-1837		20021015	<--
CN 1571766	A	20050126	CN 2002-820547		20021015	<--
JP 2005505616	T	20050224	JP 2003-536195		20021015	<--
US 20030109579	A1	20030612	US 2002-272613		20021016	<--
US 7220877	B2	20070522				
IN 2004CN00771	A	20060113	IN 2004-CN771		20040415	<--
PRIORITY APPLN. INFO.:			DK 2001-1524	A	20011017	<--
			US 2001-330346P	P	20011018	<--
			WO 2002-DK692	W	20021015	<--

OTHER SOURCE(S): MARPAT 138:337836
GI

/ Structure 121 in file .gra /

AB A novel class of dicarboxylic acid derivs., I, is disclosed [wherein: A = (un)substituted C1-3 alkylene, or A'O or A'S where A' is (un)substituted C1-3 alkylene; B = (un)substituted C1-3 alkylene, or OB' or SB' where B' is (un)substituted C1-3 alkylene; D, E = H, C1-6 alkyl, C3-6 cycloalkyl; L, M = O or S; T, U = C3-9 divalent, (un)substituted, unsatd. carbon chain; X, Y = (un)substituted arylene or heteroarylene; Z = (un)substituted arylene, heteroarylene, or divalent polycyclic ring system]. Also disclosed is the use of I in pharmaceutical compns., pharmaceutical compns. comprising I, and methods of treatment employing I and the compns. The present compds. may be useful (no data) in the treatment and/or prevention of conditions mediated by peroxisome proliferator-activated receptors (PPAR). For example, 1,4-diiodobenzene was coupled with excess 2-penten-4-yn-1-ol in (iso-Pr)2NH in the presence of CuI and Pd(PPh3)4 at 60°, to give 55% (E,E)-5-[4-(5-hydroxypent-3-en-1-ynyl)phenyl]pent-2-en-4-yn-1-ol. Mitsunobu reaction of this diol with (S)-2-ethoxy-3-(4-hydroxyphenyl)propionic acid Et ester using azodicarboxylic acid dipiperidide and PBu3 in THF gave 27% invention compound II. A total of 29 synthetic examples illustrate a variety of I, mostly sym. diacids and diesters, and mostly stereoisomeric, with all stereoisomers having (E) and (S) stereochem. at double bonds and chiral centers. Claims list a wide variety of sym. and asym. I, all named without stereochem. Claimed applications include treatment of type I and II diabetes, dyslipidemia, syndrome X and its conditions, cardiovascular diseases including atherosclerosis, and hypercholesterolemia.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:242286 CAPLUS Full-text
DOCUMENT NUMBER: 138:271396
TITLE: Preparation of 3-aryl-2-alkoxypropanoates from 3-aryl-2-oxopropanoates via ketalization and reduction
INVENTOR(S): Siripragada, Mahender Rao; Vanadanapu, Loka Appala Purushotham; Mamillapalli, Ramabhadra Sarma; Gaddam, Om Reddy
PATENT ASSIGNEE(S): Reddy's Laboratories Ltd., India
SOURCE: PCT Int. Appl., 12 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003024915	A1	20030327	WO 2002-IB3874		20020919 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
IN 193612	A1	20040724	IN 2001-MA779		20010920 <--
AU 2002339216	A1	20030401	AU 2002-339216		20020919 <--
PRIORITY APPLN. INFO.:			IN 2001-MA779	A	20010920 <--
			WO 2002-IB3874	W	20020919 <--

OTHER SOURCE(S): CASREACT 138:271396; MARPAT 138:271396
AB 4-HOC6H4CH2CH(OR1)CO2R2 (R1 = H, alkyl; R2 = alkyl), were prepared by converting 4-HOC6H4CH2COCO2H to 4-HOC6H4CH2C(OR1)2CO2R2 (variables as above) in the presence of acid followed by reduction in HOAc at 40-80 psi for 6-12 h. Thus, 4-hydroxyphenylpyruvic acid was stirred in EtOH at 10-15° for 3 h, at room temperature for 6 h, and at 50-60° for 4 h to give 4-HOC6H4CH2C(OEt)2CO2Et. The latter was hydrogenated in EtOH/HOAc over Rh/Al2O3 at 60 psi for 12 h to give 4-HOC6H4CH2CH(OEt)CO2Et.
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l20 ibib abs ti hit 6-10

L20 ANSWER 6 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:964313 CAPLUS Full-text
DOCUMENT NUMBER: 138:55745
TITLE: Preparation of substituted 3-phenyl-2-alkoxypropanoic acids and analogs as modulators of peroxisome proliferator activated receptors for treatment of diabetes and related conditions
INVENTOR(S): Brooks, Dawn Alisa; Warshawsky, Alan M.; Montrose-Rafezadeh, Chahrzad; Reifel-Miller, Anne; Prieto, Lourdes; Rojo, Isabel; Martin, Jose Alfredo;

Gonzales Garcia, Maria Rosario; Torrado, Alicia;
Ferritto Crespo, Rafael; Lamas-Peteira, Carlos;
Martin-Ortega Finger, Maria; Ardecky, Robert J.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Ligand Pharmaceuticals Incorporated
SOURCE: PCT Int. Appl., 458 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 2002100813	A2	20021219	WO 2002-US16950		20020530	<--
WO 2002100813	A3	20031127				
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW						
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG						
CA 2449256	A1	20021219	CA 2002-2449256		20020530	<--
AU 2002312147	A1	20021223	AU 2002-312147		20020530	<--
EE 200400001	A	20040216	EE 2004-1		20020530	<--
EP 1392637	A2	20040303	EP 2002-739503		20020530	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR						
BR 2002010190	A	20040406	BR 2002-10190		20020530	<--
CN 1543451	A	20041103	CN 2002-811530		20020530	<--
HU 2004000280	A2	20050128	HU 2004-280		20020530	<--
HU 2004000280	A3	20060130				
JP 2005509590	T	20050414	JP 2003-503584		20020530	<--
NZ 529351	A	20060127	NZ 2002-529351		20020530	<--
IN 2003KN01456	A	20060414	IN 2003-KN1456		20031110	<--
ZA 2003008863	A	20050214	ZA 2003-8863		20031113	<--
US 20050020684	A1	20050127	US 2003-479262		20031201	<--
US 7192982	B2	20070320				
MX 2003PA11201	A	20040226	MX 2003-PA11201		20031204	<--
US 20070276138	A1	20071129	US 2006-637223		20061211	<--
PRIORITY APPLN. INFO.:			US 2001-297144P	P	20010607	<--
			WO 2002-US16950	W	20020530	<--
			US 2003-479262	A1	20031201	

OTHER SOURCE(S): MARPAT 138:55745
GI

/ Structure 122 in file .gra /

AB Title compds. I [wherein Ar = (un)substituted aryl; Q = covalent bond, CH2, CH2CH2, CH2CH2CH2, or CH2CH2CH2CH2; W = (un)substituted (hetero)alkylene from 2-10 atoms in length in which 1 or more methylene groups have been replaced with CH=CH, C.tplbond.C, O, CO, NR7, NR7CO, C(=NOH), S, SO, SO2, or CHNR7R8; ring A is optionally substituted with up to 4 substituents in addition to R1; R1 = (CH2)nCH(OR2)(CH2)mE, CH=C(OR2)(CH2)mE, (CH2)nCHY(CH2)mE, or

CH=CY(CH2)mE; E = CO2R3, alkylnitrile, carboxamide, or (un)substituted sulfonamide, acylsulfonamide, or tetrazole; R2 = H, haloalkyl, COR4, CO2R4, CONR5R6, CSR4, CSOR4, CSNR5R6, or (un)substituted aliphatic group, aralkyl, or aryl; Y = O, CH2, CH2CH2, or CH=CH bonded ortho to R1 on ring A; R3-R8 = independently H or (un)substituted aliphatic group or aryl; m and n = independently 0-2; or pharmaceutically acceptable salts, hydrates, stereoisomers, or solvates thereof] were prepared by solution phase and solid phase synthetic methods as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, (S)-2-methoxy-3-hydroxyphenylpropanoic acid Et ester was treated with Ph triflimide to give the 4-trifluoromethanesulfonyloxyphenyl derivative (97%). Substitution with propargyl alc. in the presence of PdCl2(PPh3)2 and TEA in DMF afforded the 4-(3-hydroxyprop-1-ynyl)phenyl intermediate (32%), which was coupled with 4-phenylphenol using the Mitsunobu procedure to give II. Binding and cotransfection studies showed that many of the compds. of the invention are selective PPARγ agonists or PPARα/PPARγ co-agonists (no data). Thus, I are useful for the treatment of hyperglycemia, dyslipidemia, Type I or II diabetes, hypertriglyceridemia, syndrome X, insulin resistance, heart failure, diabetic dyslipidemia, hyperlipidemia, hypercholesteremia, hypertension, obesity, anorexia bulimia, polycystic ovarian syndrome, anorexia nervosa, cardiovascular disease or other diseases where insulin resistance is a component (no data).

TI Preparation of substituted 3-phenyl-2-alkoxypropanoic acids and analogs as modulators of peroxisome proliferator activated receptors for treatment of diabetes and related conditions

PI WO 2002100813 A2 20021219

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002100813	A2	20021219	WO 2002-US16950	20020530 <--
	WO 2002100813	A3	20031127		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2449256	A1	20021219	CA 2002-2449256	20020530 <--
	AU 2002312147	A1	20021223	AU 2002-312147	20020530 <--
	EE 200400001	A	20040216	EE 2004-1	20020530 <--
	EP 1392637	A2	20040303	EP 2002-739503	20020530 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2002010190	A	20040406	BR 2002-10190	20020530 <--
	CN 1543451	A	20041103	CN 2002-811530	20020530 <--
	HU 2004000280	A2	20050128	HU 2004-280	20020530 <--
	HU 2004000280	A3	20060130		
	JP 2005509590	T	20050414	JP 2003-503584	20020530 <--
	NZ 529351	A	20060127	NZ 2002-529351	20020530 <--
	IN 2003KN01456	A	20060414	IN 2003-KN1456	20031110 <--
	ZA 2003008863	A	20050214	ZA 2003-8863	20031113 <--
	US 20050020684	A1	20050127	US 2003-479262	20031201 <--
	US 7192982	B2	20070320		
	MX 2003PA11201	A	20040226	MX 2003-PA11201	20031204 <--
	US 20070276138	A1	20071129	US 2006-637223	20061211 <--
PRAI	US 2001-297144P	P	20010607 <--		
	WO 2002-US16950	W	20020530 <--		

US 2003-479262 A1 20031201

AB Title compds. I [wherein Ar = (un)substituted aryl; Q = covalent bond, CH2, CH2CH2, CH2CH2CH2, or CH2CH2CH2CH2; W = (un)substituted (hetero)alkylene from 2-10 atoms in length in which 1 or more methylene groups have been replaced with CH=CH, C.tplbond.C, O, CO, NR7, NR7CO, C(=NOH), S, SO, SO2, or CHNR7R8; ring A is optionally substituted with up to 4 substituents in addition to R1; R1 = (CH2)nCH(OR2)(CH2)mE, CH=C(OR2)(CH2)mE, (CH2)nCHY(CH2)mE, or CH=CY(CH2)mE; E = CO2R3, alkylnitrile, carboxamide, or (un)substituted sulfonamide, acylsulfonamide, or tetrazole; R2 = H, haloalkyl, COR4, CO2R4, CONR5R6, CSR4, CSOR4, CSNR5R6, or (un)substituted aliphatic group, aralkyl, or aryl; Y = O, CH2, CH2CH2, or CH=CH bonded ortho to R1 on ring A; R3-R8 = independently H or (un)substituted aliphatic group or aryl; m and n = independently 0-2; or pharmaceutically acceptable salts, hydrates, stereoisomers, or solvates thereof] were prepared by solution phase and solid phase synthetic methods as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, (S)-2-methoxy-3-hydroxyphenylpropanoic acid Et ester was treated with Ph triflimide to give the 4-trifluoromethanesulfonyloxyphenyl derivative (97%). Substitution with propargyl alc. in the presence of PdCl2(PPh3)2 and TEA in DMF afforded the 4-(3-hydroxyprop-1-ynyl)phenyl intermediate (32%), which was coupled with 4-phenylphenol using the Mitsunobu procedure to give II. Binding and cotransfection studies showed that many of the compds. of the invention are selective PPARγ agonists or PPARα/PPARγ co-agonists (no data). Thus, I are useful for the treatment of hyperglycemia, dyslipidemia, Type I or II diabetes, hypertriglyceridemia, syndrome X, insulin resistance, heart failure, diabetic dyslipidemia, hyperlipidemia, hypercholesteremia, hypertension, obesity, anorexia bulimia, polycystic ovarian syndrome, anorexia nervosa, cardiovascular disease or other diseases where insulin resistance is a component (no data).

IT 348-27-6P, 2-Fluoro-4-hydroxybenzaldehyde 405-05-0P, 3-Fluoro-4-hydroxybenzaldehyde 627-18-9P, 3-Bromopropan-1-ol 1073-05-8P, [1,3,2]Dioxathiane 2,2-dioxide 2973-78-6P, 3-Bromo-4-hydroxybenzaldehyde 3351-60-8P, 4-(2-Bromoethoxy)biphenyl 16251-33-5P, 1-Bromo-3-(4-phenoxyphenyl)propane 19070-95-2P, 2-(Biphenyl-4-yloxy)ethanol 23418-85-1P, Toluene-4-sulfonic acid but-3-ynyl ester 29169-19-5P 54334-74-6P, (Biphenyl-4-yloxy)acetic acid ethyl ester 63457-51-2P, 1-(3-Bromopropoxy)-4-phenoxybenzene 69455-12-5P, 4-Benzyloxy-3-bromobenzaldehyde 87545-48-0P, 4-(2-Bromoethoxy)phenoxybenzene 96363-80-3P, Methanesulfonic acid 3-dimethylaminopropyl ester 102229-10-7P, 2-(tert-Butyldimethylsilanyloxy)ethanol 111915-33-4P, 4-(2,2,3,3-Tetrafluoropropoxy)phenol 113795-28-1P, 4-(3-Bromopropoxy)biphenyl 119437-35-3P, 1-Chloro-3-(4-phenoxyphenyl)propane 128316-64-3P, 3-(4-Benzyloxyphenyl)-2-hydroxypropanoic acid methyl ester 156335-14-7P, Methyl 3-(4-hydroxyphenyl)-2-methoxypropanoate 156335-15-8P, 2-Ethoxy-3-(4-hydroxyphenyl)propionic acid methyl ester 156659-87-9P, (2S,4S)-4-(tert-Butyldimethylsilanyloxy)pentan-2-ol 162919-37-1P 173025-78-0P, 3-(Biphenyl-4-yloxy)propan-1-ol 183612-97-7P, (1R*,3S*)-3-(tert-Butyldimethylsilanyloxy)cyclopentanol 183795-20-2P, trans-3-(tert-Butyldimethylsilanyloxy)cyclopentanol 211617-68-4P 222835-03-2P, 3-(4-Benzyloxyphenyl)-2-ethoxyacrylic acid ethyl ester 223126-28-1P, 3-(4-Benzyloxyphenyl)-2-ethoxypropionic acid ethyl ester 251978-39-9P, 3-(4-Hydroxyphenyl)-2-phenoxypropanoic acid methyl ester 267228-40-0P, (S)-3-(4-Benzyloxyphenyl)-2-hydroxypropionic acid ethyl ester 267228-41-1P, (2S)-2-Hydroxy-3-(4-hydroxyphenyl)propionic acid ethyl ester 325827-53-0P, (S)-3-(4-Hydroxyphenyl)-2-isopropoxypropionic acid ethyl ester 361576-28-5P, 3-(4-Benzyloxyphenyl)-2-ethoxy-3-hydroxypropionic acid ethyl ester 477979-19-4P,

(2S)-2-Methoxy-3-(4-trifluoromethanesulfonyloxyphenyl)propionic acid ethyl ester 477979-21-8P, (2S)-3-[4-(3-Hydroxyprop-1-ynyl)phenyl]-2-methoxypropionic acid ethyl ester 477979-26-3P, (2S)-3-[4-(3-Chloroprop-1-ynyl)phenyl]-2-methoxypropionic acid ethyl ester 477979-44-5P, (2S)-3-[4-(5-Hydroxypent-1-ynyl)phenyl]-2-methoxypropionic acid ethyl ester 477979-49-0P, 3-[4-(5-Bromopent-1-ynyl)phenyl]-2-methoxypropionic acid ethyl ester 477979-66-1P, 4-But-3-ynyloxybiphenyl 477979-67-2P, (2S)-3-[4-[4-(Biphenyl-4-yloxy)but-1-ynyl]phenyl]-2-methoxypropionic acid ethyl ester 477979-69-4P, 1-(But-3-ynyloxy)-4-phenoxybenzene 477979-71-8P, [4-(But-3-ynyloxy)phenyl]phenylmethanone 477979-72-9P, (2S)-3-[4-[4-(4-Benzoylphenoxy)but-1-ynyl]phenyl]-2-methoxypropionic acid ethyl ester 477979-80-9P, (2S)-3-[4-(6-Hydroxyhex-1-ynyl)phenyl]-2-methoxypropionic acid ethyl ester 477979-88-7P, (2S)-3-[4-[4-(4-Benzoylphenoxy)butyryl]phenyl]-2-methoxypropionic acid ethyl ester 477979-96-7P, cis-2-(tert-Butyldimethylsilanyloxy)cyclopentanol 477979-97-8P 477979-99-0P 477980-00-0P 477980-11-3P, (2R,3S)-3-(4-Phenoxyphenoxy)butan-2-ol 477980-12-4P 477980-13-5P 477980-20-4P, (2S)-3-(3'-Hydroxymethylbiphenyl-4-yl)-2-methoxypropionic acid ethyl ester 477980-23-7P 477980-24-8P 477980-35-1P 477980-36-2P, 3-(Biphenyl-4-yloxy)cyclohexanol 477980-37-3P, (trans)-3-(Biphenyl-4-yloxy)cyclohexanol 477980-38-4P, (cis)-3-(Biphenyl-4-yloxy)cyclohexanol 477980-44-2P, (2S)-3-[4-(tert-Butyldimethylsilanyloxy)phenyl]-2-methoxypropionic acid 477980-45-3P, (2S)-3-[4-(3-Hydroxypropoxy)phenyl]-2-methoxypropionic acid 477980-56-6P, (2S)-3-[4-(2-Hydroxyethoxy)phenyl]-2-methoxypropanoic acid 477980-59-9P, (2S)-3-(4-Ethynylphenyl)-2-methoxypropionic acid ethyl ester 477980-60-2P, (2S)-3-(4-Acetylphenyl)-2-methoxypropionic acid ethyl ester 477980-61-3P, (2S)-3-[4-(2-Bromoacetyl)phenyl]-2-methoxypropionic acid ethyl ester 477980-66-8P, (2S)-3-[4-(4-Hydroxybutyl)phenyl]-2-methoxypropionic acid ethyl ester 477980-69-1P, 3-(4-Benzyloxy-3-methoxyphenyl)-3-hydroxy-2-methoxypropionic acid methyl ester 477980-70-4P, 3-(4-Hydroxy-3-methoxyphenyl)-2-methoxypropionic acid methyl ester 477980-71-5P, 3-(4-Hydroxy-3-methoxyphenyl)-2-methoxypropionic acid 477980-72-6P, 3-[4-(tert-Butyldimethylsilanyloxy)-3-methoxyphenyl]-2-methoxypropionic acid 477980-76-0P, 3-(4-Hydroxy-3-methoxyphenyl)-2-methoxypropionic acid ethyl ester 477980-77-1P, 3-[4-[3-(Biphenyl-4-yloxy)propoxy]-3-methoxyphenyl]-2-methoxypropionic acid ethyl ester 477980-80-6P, (2S)-3-(3-Chloro-4-hydroxyphenyl)-2-methoxypropionic acid ethyl ester 477980-82-8P, (2S)-3-[4-[3-(Biphenyl-4-yloxy)propoxy]-3-chlorophenyl]-2-methoxypropionic acid ethyl ester 477980-84-0P, 2-(3-Fluoro-4-methoxyphenyl)-[1,3]dioxolane 477980-85-1P, 4-[1,3]Dioxolan-2-yl-2-fluorophenol 477980-86-2P, 4-[3-(Biphenyl-4-yloxy)propoxy]-3-fluorobenzaldehyde 477980-87-3P, 3-[4-[3-(Biphenyl-4-yloxy)propoxy]-3-fluorophenyl]-3-hydroxy-2-methoxypropionic acid methyl ester 477980-88-4P, 3-[4-[3-(Biphenyl-4-yloxy)propoxy]-3-fluorophenyl]-2-methoxypropionic acid methyl ester 477980-90-8P, 4-Benzyloxy-3-trifluoromethylbenzaldehyde 477980-91-9P, 3-(4-Hydroxy-3-trifluoromethylphenyl)-2-methoxyacrylic acid methyl ester 477980-92-0P, 3-[4-[3-(Biphenyl-4-yloxy)propoxy]-3-trifluoromethylphenyl]-2-methoxyacrylic acid methyl ester 477980-93-1P, 3-[4-[3-(Biphenyl-4-yloxy)propoxy]-3-trifluoromethylphenyl]-2-methoxyacrylic acid 477980-95-3P 477980-96-4P, (2S)-3-(6-Hydroxy-4'-methoxybiphenyl-3-yl)-2-methoxypropionic acid ethyl ester 477980-97-5P, (2S)-3-[6-[3-(Biphenyl-4-yloxy)propoxy]-4'-methoxybiphenyl-3-yl]-2-methoxypropionic acid ethyl ester 477981-00-3P, 2-Methyl-4-(triisopropylsilanyloxy)benzaldehyde 477981-01-4P,

3-[4-[3-(Biphenyl-4-yloxy)propoxy]-2-methylphenyl]-2-methoxyacrylic acid methyl ester 477981-02-5P, 3-(4-Hydroxy-2-methylphenyl)-2-methoxyacrylic acid 477981-04-7P, 3-[4-[3-(Biphenyl-4-yloxy)propoxy]-2-methylphenyl]-2-methoxypropionic acid methyl ester 477981-07-0P, 3-(3-Hydroxyphenyl)-2-methoxypropionic acid methyl ester 477981-20-7P, (2S)-3-[4-(3-Bromopropoxy)phenyl]-2-methoxypropionic acid ethyl ester 477981-27-4P, (S)-5-(4-Benzyloxybenzyl)-2,2-dimethyl-[1,3]dioxolan-4-one 477981-33-2P, (S)-5-(4-Hydroxybenzyl)-2,2-dimethyl-[1,3]dioxolan-4-one 477982-20-0P, 4-[3-(Biphenyl-4-yloxy)propoxy]-2-fluorobenzaldehyde 477982-21-1P, 3-[4-[3-(Biphenyl-4-yloxy)propoxy]-2-fluorophenyl]-3-hydroxy-2-methoxypropionic acid methyl ester 477982-22-2P, 3-[4-[3-(Biphenyl-4-yloxy)propoxy]-2-fluorophenyl]-2-methoxypropionic acid methyl ester 477982-24-4P, 3-(4-Benzyloxyphenyl)-2-(4-chlorophenoxy)propanoic acid methyl ester 477982-25-5P, 2-Phenoxy-3-[4-[3-(4-phenoxyphenoxy)propoxy]phenyl]propanoic acid methyl ester 477982-27-7P, Methyl 3-hydroxy-2-methoxy-3-[4-(phenylmethoxy)phenyl]propanoate 477982-28-8P, 3-(4-Hydroxyphenyl)-2-methoxypropanoic acid 477982-29-9P 477982-30-2P, Ethyl (2S)-2-methoxy-3-[4-[3-(4-phenoxyphenoxy)propoxy]phenyl]propanoate 477982-37-9P, (2S)-2-Hydroxy-3-[4-[3-(4-phenoxyphenoxy)propoxy]phenyl]propionic acid ethyl ester 477982-38-0P, (2S)-2-Ethoxy-3-[4-[3-(4-phenoxyphenoxy)propoxy]phenyl]propionic acid ethyl ester 477982-41-5P, (2S)-3-[4-[3-[4-(4-Hydroxybenzoyl)phenoxy]propoxy]phenyl]-2-methoxypropionic acid ethyl ester 477982-42-6P, (2S)-3-[4-[3-[4-[4-[2-(tert-Butyldimethylsilanyloxy)ethoxy]benzoyl]phenoxy]propoxy]phenyl]-2-methoxypropionic acid ethyl ester 477982-45-9P, (2S)-2-Allyloxy-3-(4-benzyloxyphenyl)propionic acid ethyl ester 477982-46-0P, (2S)-3-(4-Hydroxyphenyl)-2-propoxypropionic acid ethyl ester 477982-47-1P, (2S)-3-[4-[3-(4-Phenoxyphenoxy)propoxy]phenyl]-2-propoxypropionic acid ethyl ester 477982-49-3P, (2S)-3-[4-[3-(4-Benzoylphenoxy)propoxy]phenyl]-2-ethoxypropionic acid methyl ester 477982-51-7P, (2S)-3-[4-[3-(4-Benzylphenoxy)propoxy]phenyl]-2-ethoxypropionic acid ethyl ester 477982-53-9P, (2S)-3-(3-Chloro-4-hydroxyphenyl)-2-ethoxypropionic acid ethyl ester 477982-54-0P, (2S)-3-[4-[3-(4-Benzoylphenoxy)propoxy]-3-chlorophenyl]-2-ethoxypropionic acid ethyl ester 477982-56-2P, 3-[4-(3-Hydroxypropoxy)-3-methoxyphenyl]-2-methoxypropionic acid methyl ester 477982-57-3P, (2S)-4'-[3-[2-Methoxy-4-(2-methoxy-2-methoxycarbonylethyl)phenoxy]propoxy]biphenyl-4-carboxylic acid methyl ester 477982-59-5P, 3-[4-(3-Bromopropoxy)-2-methoxy-phenyl]-2-methoxypropionic acid methyl ester 477982-61-9P, (2S)-3-[4-[3-(4'-tert-Butylbiphenyl-4-yloxy)propoxy]-2-methoxyphenyl]-2-methoxypropionic acid methyl ester 477982-64-2P, 4-(2,2,3,3-Tetrafluoropropoxy)1-benzyloxybenzene 477982-65-3P, (2S)-2-Methoxy-3-[4-[3-[4-(2,2,3,3-tetrafluoropropoxy)phenoxy]propoxy]phenyl]propionic acid ethyl ester 477982-68-6P, (2S)-3-[4-[3-(4-Benzyloxyphenoxy)propoxy]phenyl]-2-methoxypropionic acid ethyl ester 477982-69-7P, (2S)-3-[4-[3-(4-Hydroxyphenoxy)propoxy]phenyl]-2-methoxypropionic acid ethyl ester 477982-70-0P, (2S)-2-Methoxy-3-[4-[3-[4-(3-methylbutoxy)phenoxy]propoxy]phenyl]propionic acid ethyl ester 477982-78-8P, (2S)-3-[4-[3-(4-Iodophenoxy)propoxy]phenyl]-2-methoxypropionic acid ethyl ester 477982-79-9P, (2S)-3-[4-[3-[4-(1H-Indol-5-yl)phenoxy]propoxy]phenyl]-2-methoxypropionic acid ethyl ester 477982-84-6P, (2S)-3-[4-[3-(4'-Cyanobiphenyl-4-yloxy)propoxy]phenyl]-2-methoxypropionic acid ethyl ester 477982-86-8P, (2S)-2-Methoxy-3-[4-[3-[4'-(1H-tetrazol-5-yl)biphenyl-4-yloxy]propoxy]phenyl]propionic acid ethyl ester 477982-91-5P, (2S)-2-Methoxy-3-[4-[3-[4-(piperazin-1-yl)phenoxy]propoxy]phenyl]propionic

acid ethyl ester 477982-93-7P, (2S)-2-Methoxy-3-[4-[3-[4-(morpholin-4-yl)phenoxy]propoxy]phenyl]propionic acid ethyl ester 477982-95-9P, 3-[4-[3-(Biphenyl-4-yloxy)propoxy]-2-chlorophenyl]-2-hydroxypropionic acid 477982-97-1P, (2S)-3-[4-(2-Bromoethoxy)phenyl]-2-methoxypropionic acid ethyl ester 477983-02-1P, 3-(3-Benzyloxyphenyl)-3-hydroxy-2-methoxypropionic acid methyl ester 477983-03-2P, 3-(3-Benzyloxyphenyl)-2-methoxyacrylic acid methyl ester 477983-04-3P 477983-05-4P, 3-(3-Benzyloxyphenyl)-2-methoxypropionic acid methyl ester 477983-44-1P, 3-[3-(3-Bromopropoxy)phenyl]-2-methoxypropionic acid methyl ester 477983-82-7P, 3-[3-(2-Bromoethoxy)phenyl]-2-methoxypropionic acid methyl ester 477984-10-4P, (2S)-3-(4-Benzyloxyphenyl)-2-propoxypropionic acid ethyl ester 477984-12-6P, 2-Ethoxy-3-(3-hydroxyphenyl)propionic acid methyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of substituted (phenyl)(alkoxy)propanoic acids and analogs as PPAR modulators for treatment of diabetes and related conditions)

IT 186895-45-4P, 3-(4-Benzyloxyphenyl)propionic acid ethyl ester 477980-81-7P, (2S)-3-(3,5-Dichloro-4-hydroxyphenyl)-2-methoxypropionic acid ethyl ester
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of substituted (phenyl)(alkoxy)propanoic acids and analogs as PPAR modulators for treatment of diabetes and related conditions)

L20 ANSWER 7 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:793403 CAPLUS Full-text
DOCUMENT NUMBER: 137:310931
TITLE: Preparation of phenylalkanoic acid derivatives as preventive or remedial agents for digestive tract diseases
INVENTOR(S): Horizoe, Tatsuo; Shinoda, Masanobu; Emori, Eita; Matsuura, Fumiyoshi; Kaneko, Toshihiko; Ohi, Norihito; Kasai, Shunji; Yoshitomi, Hideki; Yamazaki, Kazuto; Miyashita, Sadakazu; Hihara, Taro; Seiki, Takashi; Clark, Richard; Harada, Hitoshi
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 344 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002080899	A1	20021017	WO 2002-JP3006		20020327 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2002242989	A1	20021021	AU 2002-242989		20020327 <--
PRIORITY APPLN. INFO.:			JP 2001-101465	A	20010330 <--
			JP 2001-105131	A	20010403 <--
			WO 2002-JP3006	W	20020327 <--

OTHER SOURCE(S): MARPAT 137:310931
GI

/ Structure 123 in file .gra /

AB Disclosed is a preventive/remedy for digestive tract or inflammatory diseases, which contains as the active ingredient a novel carboxylic acid derivative represented by the following formula [I; R1 = H, OH, each (un)substituted C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, C1-6 hydroxyalkyl, C1-6 hydroxyalkoxy, C1-6 hydroxyalkylthio, C1-6 aminoalkyl, C1-6 aminoalkoxy, C1-6 aminoalkylthio, C2-12 alkoxyalkyl, C3-7 cycloalkyl, C3-7 cycloalkyloxy, C3-7 cycloalkylthio, C2-6 alkenyl, C2-6 alkenyloxy, or C2-6 alkenylthio, etc.; L = a single or double bond, each (un)substituted C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene; M = a single bond, each (un)substituted C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene; T = a single bond, each (un)substituted C1-3 alkylene, C2-3 alkenylene, or C2-3 alkynylene; W = 2,4-dioxothiazolidin-5-yl, 2,4-dioxothiazolidin-5-ylidene, carboxy, (un)substituted CONH2; X = O, (un)substituted C2-6 alkenylene, hydroxymethylene, CO, CS, N-(un)substituted CQNH, NHCQ, SO2NH, NHSO2, or NHCQNH (Q = O, S); Y = (un)substituted C5-12 aromatic hydrocarbyl or C3-7 aliphatic hydrocarbyl optionally containing ≥1 heteroatoms; ring Z = C5-6 aromatic hydrocarbyl; Y = (un)substituted aromatic hydrocarbon group optionally containing ≥1 heteroatoms; some provisos given], a salt of the derivative, or a hydrate of either. The above digestive tract diseases include (1) inflammatory digestive tract diseases such as ulcerous colitis, Crohn's disease, pancreatitis, and gastritis, (2) digestive tract proliferative diseases such as digestive tract benign rumors, digestive tract polyp, hereditary (genetic) polyposis syndromes, colon cancer, rectum cancer, and stomach cancer, and (3) digestive tract ulcerous diseases such as duodenal ulcer, stomach ulcer, esophagus ulcer, regurgitant esophagitis, stress ulcer or erosion, erosion caused by drugs, and Zollinger-Ellison syndromes. The above inflammatory diseases include arthritic rheumatism, multiple sclerosis, immunodeficiency, cachexia, osteoarthritis, osteoporosis, asthma, and allergy. The compds. I are triple agonists for PPAR (peroxisome proliferator-activated receptor) α, β, and γ subtype. Thus, 2-isopropoxy-3-[4-methoxy-3-[[[4-(trifluoromethyl)benzyl]amino]carbonyl]phenyl]propanoic acid in vitro showed the transcription activity for PPARα, β, and γ with EC50 of 0.08, 2.513, and 0.382 μM, resp., in CV-1 cell. (2S)-3-[3-[[(2,4-dichlorobenzoyl)amino]methyl]-4-methoxyphenyl]-2- isopropoxypropanoic acid at 1 mg/kg/day p.o. for 3 days showed a disease activity index based on diarrhea, bloody excrement, and weight loss (DAI) of 2.0±0.3 in mice suffering from colitis induced by dextran sulfate sodium salt vs. 2.8±0.2 for the control group and 2.1±0.3 for the mice treated with rosiglitazone at 30 mg/kg/day. Many compds. prepared do not possess the thiazolidine skeleton and thereby may completely avoid toxicity such as liver disorder which was noted in the past as a problem for compds. having PPARγ agonist activity.

L20 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:286703 CAPLUS Full-text
DOCUMENT NUMBER: 136:309930
TITLE: Preparation of benzimidazole derivatives for treatment and prevention of diabetes
INVENTOR(S): Fujita, Takashi; Wada, Kunio; Koguchi, Minoru; Honma, Eiji; Fujiwara, Toshihiko

PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 135 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002114781	A	20020416	JP 2000-307157	20001006 <--

PRIORITY APPLN. INFO.: JP 2000-307157 20001006 <--
OTHER SOURCE(S): MARPAT 136:309930
GI

/ Structure 124 in file .gra /

AB The title compds. I [R1 - R6 = H, alkyl, etc.; n, q = 1 - 5; Q, Y = O, S; X = CH2, etc.; Z = CH, N; A = (CH2)mCH(CO2H)BR7, etc.; B = O, etc.; R7 = H, alkyl, etc.; m = 0 - 8] are prepared Compds. of this invention at 0.01% in feed (given for 3 days) gave 34.9% to 66.7% decrease of blood sugar in diabetic KK mice.

TI Preparation of benzimidazole derivatives for treatment and prevention of diabetes

PI JP 2002114781 A 20020416

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002114781	A	20020416	JP 2000-307157	20001006 <--

PRAI JP 2000-307157 20001006 <--

IT Arteriosclerosis
Arthritis
Autoimmune disease
Cachexia
Cardiovascular system, disease
Glaucoma (disease)
Gout
Hypertension
Osteoporosis
Rheumatoid arthritis
(preparation and effect of benzimidazole derivs.)

IT 62517-34-4P 300666-00-6P 300666-01-7P 300666-02-8P
300666-03-9P 300666-04-0P 300666-05-1P 300666-06-2P 300666-07-3P
300666-08-4P 300666-09-5P 300666-10-8P 300666-11-9P 300666-12-0P
300666-13-1P 300666-14-2P 300666-15-3P 300666-16-4P 300666-17-5P
300666-18-6P 300666-19-7P 300666-20-0P 300666-21-1P 300666-22-2P
300666-23-3P 300666-24-4P 300666-25-5P 300666-26-6P 300666-27-7P
300666-28-8P 300666-29-9P 300666-30-2P 300666-31-3P 300666-32-4P
300666-33-5P 300666-34-6P 300666-35-7P 300666-36-8P 300666-37-9P
300666-38-0P 300666-39-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzimidazole derivs. for treatment and prevention of diabetes)

L20 ANSWER 9 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:157746 CAPLUS Full-text

DOCUMENT NUMBER: 136:200176
TITLE: Preparation of 3-[(oxazolylalkoxy)phenyl]-2-phenoxypropionic acid derivatives as PPAR agonists for treatment of diabetes mellitus and related conditions
INVENTOR(S): Ardecky, Robert J.; Brooks, Dawn Alisa; Godfrey, Alexander Glenn; Jones, Sarah Beth; Mantlo, Nathan Bryan; McCarthy, James Ray; Michellys, Pierre-Yves; Rito, Christopher John; Tyhonas, John S.; Winneroski, Leonard Larry; Xu, Yanping
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Ligand Pharmaceuticals
SOURCE: PCT Int. Appl., 217 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 2002016332	A1	20020228	WO 2001-US22617		20010823	<--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW						
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG						
CA 2418134	A1	20020228	CA 2001-2418134		20010823	<--
AU 2001084660	A	20020304	AU 2001-84660		20010823	<--
EP 1313717	A1	20030528	EP 2001-963734		20010823	<--
EP 1313717	B1	20071017				
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR						
JP 2004506722	T	20040304	JP 2002-521433		20010823	<--
AT 375985	T	20071115	AT 2001-963734		20010823	<--
ES 2295200	T3	20080416	ES 2001-963734		20010823	<--
MX 2003PA01610	A	20030910	MX 2003-PA1610		20030221	<--
US 20040138277	A1	20040715	US 2003-343187		20030729	<--
US 7176224	B2	20070213				
PRIORITY APPLN. INFO.:			US 2000-227456P	P	20000823	<--
			WO 2001-US22617	W	20010823	<--

OTHER SOURCE(S): MARPAT 136:200176
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein n = 2-4; R1 = H, (halo)alkyl, or Ph; R2 and R3 = independently H, alkyl, cycloalkyl(alkyl), alkoxy, or aryl(alkyl); or R2 forms (tetrahydro)naphthyl together with the Ph to which they are bound; R4 = alkyl; R5 = independently H or (un)substituted (hetero)aryl, with provisos; R6 = H or (amino)alkyl; R7 and R8 = independently H, (cyclo)alkyl, (halo)alkoxy, or halo(alkyl); or R8 form benzodioxolyl together with the Ph to which they are bound; and pharmaceutically acceptable salts, solvates, and hydrates thereof] were prepared as agonists of peroxisome proliferator activated receptors

(PPARs). For example, 2-[2-(3-bromophenyl)-5-methyloxazol-4-yl]ethanol was coupled with p-fluorophenyl boronic acid in the presence of PPh3, Pd(OAc)2, and Na2CO3 to give the biphenyl derivative (36%). Esterification with tosyl anhydride in the presence of pyridine and DMAP, followed by reaction with 3-(4-hydroxyphenyl)-2-methyl-2-phenoxypropionic acid Et ester in the presence of polystyrene bound 1,5,7-triazabicyclo[4.4.0]dec-5-ene and hydrolysis with NaOH, afforded II (24%). The latter bound to PPARα and PPARγ with IC50 values of 147 nM and 41 nM, resp., and activated the nuclear transcription factors huPPARα and huPPARγ with cotransfection efficacies of 38% and 93%, resp. In addition, HDLc serum levels increased by 40.4% in male transgenic mice dosed with 30 mg/kg of II, and glucose levels were normalized to 91% in male diabetic (db/db) mice dosed with 30 mg/kg of II. Thus, I are useful in the treatment and prevention of diabetes mellitus and related conditions.

L20 ANSWER 10 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:115318 CAPLUS Full-text
DOCUMENT NUMBER: 134:177470
TITLE: Process for the preparation of substituted 3-phenyl-propanoic acid esters and substituted 3-phenyl-propanoic acids
INVENTOR(S): Ebdrup, Soren; Deussen, Heinz-Josef W.; Zundel, Magali; Bury, Paul Stanley
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001011073	A1	20010215	WO 2000-DK440	20000807 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1206565	A1	20020522	EP 2000-952953	20000807 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003506065	T	20030218	JP 2001-515321	20000807 <--
WO 2002012472	A1	20020214	WO 2001-DK508	20010719 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001081739	A	20020218	AU 2001-81739	20010719 <--
EP 1309674	A1	20030514	EP 2001-960183	20010719 <--
EP 1309674	B1	20070613		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

AT 364691	T	20070715	AT 2001-960183		20010719 <--
ES 2288976	T3	20080201	ES 2001-960183		20010719 <--
US 20030008361	A1	20030109	US 2002-132428		20020424 <--
US 20030199048	A1	20031023	US 2003-343879		20030205 <--
US 7091023	B2	20060815			

PRIORITY APPLN. INFO.:

DK 1999-1101	A	19990805 <--
US 1999-148643P	P	19990812 <--
US 2000-633613	B1	20000807 <--
WO 2000-DK439	A	20000807 <--
WO 2000-DK440	W	20000807 <--
DK 2001-88	A	20010117 <--
US 2001-263364P	P	20010123 <--
WO 2001-DK508	W	20010719 <--

OTHER SOURCE(S): CASREACT 134:177470; MARPAT 134:177470

AB A process is provided for the preparation of optically enriched substituted esters of 3-phenyl-propanoic acids and substituted 3-phenyl-propanoic acids by the hydrolysis or transesterification of one of the two enantiomeric forms of a racemic or enantiomerically enriched 3-phenyl-propanoic acid ester by an enzyme. This enzymic resolution may be catalyzed by a large number of com. available lipases, proteases, peptidases, esterases or other hydrolytic enzymes. Thus, Et (2RS)-2-ethoxy-3-(4-hydroxyphenyl)propanoic acid ester was converted to (2S)-2-ethoxy-3-(4-hydroxyphenyl)propanoic acid and Et (2R)-2-ethoxy-3-(4-hydroxyphenyl)propanoic acid ester by immobilized Mucor mihei lipase in 9 h with an enantiomeric selectivity of 81% for the ester.

=> d l20 ibib abs ti hit 11-15

L20 ANSWER 11 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:742095 CAPLUS Full-text
DOCUMENT NUMBER: 133:296438
TITLE: Preparation of substituted fused imidazole derivatives as hypoglycemics
INVENTOR(S): Fujita, Takashi; Wada, Kunio; Oguchi, Minoru; Honma, Hidehito; Fujiwara, Toshihiko
PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan
SOURCE: PCT Int. Appl., 274 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000061582	A1	20001019	WO 2000-JP2217	20000406 <--
W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, RU, TR, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 2000351777	A	20001219	JP 2000-105985	20000407 <--

PRIORITY APPLN. INFO.:

JP 1999-101369	A	19990408 <--

OTHER SOURCE(S): MARPAT 133:296438
GI

/ Structure 125 in file .gra /

AB Compds. represented by general formula (I) and salts and esters thereof [wherein R1 is hydrogen, C1-6 alkyl, (un)substituted C6-10 aryl or C7-16 aralkyl, HO, (un)substituted acyloxy, C1-6 alkoxy, (un)substituted NH2, etc.; R2 is hydrogen, C1-6 alkyl, or (un)substituted C7-16 aralkyl; R4, R4, or R5 is each hydrogen, C1-6 alkyl, or C1-6 alkoxy; R6 is hydrogen, C1-6 alkyl, (un)substituted C6-10 aryl or C7-16 aralkyl; Q and Y are each oxygen or sulfur; X is CH2, CO, CH(OR9), or C(:NOR10); wherein R9 or R10 is hydrogen, (un)substituted C1-6 alkyl, C7-16 aralkyl, or acyl; Z is CH or nitrogen; n and q are each 1 to 5; and A is a group represented by general formula Q1, Q2, Q3, or (CH2)m CH(CO2H)-BR7; wherein m is 0 to 8; X1 is oxygen or sulfur; B is oxygen, sulfur, or (un)substituted NH; and R7 is hydrogen, C1-6 alkyl, (un)substituted C6-10 aryl or C7-16 aralkyl, or haloalkyl] are prepared These compds. are useful as insulin resistance improvers, hypoglycemics, antiinflammatory agents, immunomodulators, aldose reductase inhibitors, 5-lipoxygenase inhibitors, lipid peroxide-formation inhibitors, peroxisome proliferator-activated receptor (PPAR) activators, anti-osteoporosis agents, leukotriene antagonists, promoters of fat cell formation, cancer cell-proliferation inhibitors, or calcium antagonists. They are useful for the prevention or treatment of diabetes, hyperlipidemia, obesity, glucose tolerance insufficiency, hypertension, fatty liver, diabetes complication, arteriosclerosis, gestational diabetes, polycystic ovarian syndrome, cardiovascular diseases, cell damages caused by atherosclerosis or ischemic heart diseases, gout, osteoarthritis, rheumatic arthritis, allergic diseases, asthma, gastrointestinal ulcer, cachexia, autoimmune diseases, cancer, osteoporosis, or cataract. Thus, N-[2-amino-5-(6-methoxymethoxy-2,5,7,8-tetramethylchroman-2- ylmethoxy)phenyl]-N-methylcarbamic acid tert-Bu ester was condensed with 4-(2,4-dioxothiazolin-5-ylmethyl)phenoxyacetic acid using di-Et cyanophosphate and Et3N in THF at room temperature for 30 min, followed by treatment of the product with 4 N HCl/dioxane at room temperature for 5 h gave 5-[4-[6-(6-hydroxy-2,5,7,8-tetramethylchroman-2-ylmethoxy)-1-methyl-1H-benzimidazol-2-ylmethoxy]benzyl]thiazolidine-2,4-dione hydrochloride (II.HCl). When a feed containing 0.01% II.HCl was fed to mice for 3 days, the blood sugar level was lowered by 66.7% compared to control animal.

L20 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:383506 CAPLUS Full-text
DOCUMENT NUMBER: 131:179289
TITLE: Non-thiazolidinedione antihyperglycemic agents. Part 3: The effects of stereochemistry on the potency of α-methoxy-β-phenylpropanoic acids
AUTHOR(S): Haigh, David; Allen, Graham; Birrell, Helen C.; Buckle, Derek R.; Cantello, Barrie C. C.; Eggleston, Drake S.; Haltiwanger, R. Curtis; Holder, Julie C.; Lister, Carolyn A.; Pinto, Ivan L.; Rami, Harshad K.; Sime, John T.; Smith, Stephen A.; Sweeney, John D.
CORPORATE SOURCE: SmithKline Beecham Pharmaceuticals, Essex, CM19 5AW, UK
SOURCE: Bioorganic & Medicinal Chemistry (1999), 7(5), 821-830
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal

LANGUAGE: English
GI

/ Structure 126 in file .gra /

AB Rhizopus delemar lipase catalyzed ester hydrolysis of the α-methoxy-β-phenylpropanoate (I) affords the (R)-(+) and (S)-(-) isomers in > 84% enantiomeric excess. Absolute stereochem. was determined by a single crystal X-ray anal. of a related synthetic analog. The activity of these two enantiomers on glucose transport in vitro and as anti-diabetic agents in vivo is reported and their unexpected equivalence attributed to an enzyme-mediated stereospecific isomerization of the (R)-(+) isomer. Binding studies using recombinant human PPARγ (peroxisomal proliferator activated receptor γ), now established as a mol. target for this compound class, indicate a 20-fold higher binding affinity for the (S) antipode relative to the (R) antipode.

L20 ANSWER 13 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:244628 CAPLUS Full-text
DOCUMENT NUMBER: 130:296612
TITLE: Preparation of amidocarboxylic acid derivatives as inhibitors of aldose reductase, 5-lipoxygenase, and lipid peroxide formation and as peroxisome proliferator-activated receptor (PPAR) activators
INVENTOR(S): Yanagisawa, Hiroaki; Sakurai, Mitsuya; Takamura, Makoto; Fujiwara, Toshihiko
PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan
SOURCE: PCT Int. Appl., 720 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9918066	A1	19990415	WO 1998-JP4396		19980930 <--
W: AU, BR, CA, CN, CZ, HU, ID, IL, JP, KR, MX, NO, NZ, PL, RU, TR, US					
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
CA 2305808	A1	19990415	CA 1998-2305808		19980930 <--
AU 9892798	A	19990427	AU 1998-92798		19980930 <--
AU 738134	B2	20010906			
EP 1026149	A1	20000809	EP 1998-945527		19980930 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
BR 9813019	A	20000905	BR 1998-13019		19980930 <--
TR 200000896	T2	20000921	TR 2000-896		19980930 <--
HU 2000003881	A2	20010129	HU 2000-3881		19980930 <--
HU 2000003881	A3	20010428			
RU 2176999	C2	20011220	RU 2000-108440		19980930 <--
US 6528525	B1	20030304	US 2000-540765		20000330 <--
NO 2000001689	A	20000531	NO 2000-1689		20000331 <--
MX 200003309	A	20010629	MX 2000-3309		20000404 <--
US 20040006141	A1	20040108	US 2002-254154		20020925 <--
PRIORITY APPLN. INFO.:			JP 1997-269923	A	19971002 <--
			WO 1998-JP4396	W	19980930 <--
			US 2000-540765	A3	20000330 <--

OTHER SOURCE(S): MARPAT 130:296612
GI

/ Structure 127 in file .gra /

AB Claimed and prepared are amidocarboxylic acid derivs. (phenylalkanoic acids containing arylcarboxamide derivs.) represented by general formula (I), pharmacol. acceptable salts thereof, or pharmacol. acceptable esters thereof, [wherein R1 = H, linear or branched C1-6 alkyl, C7-12 aralkyl; R2 = linear or branched C1-6 alkylene; R3 = H, linear or branched alkyl C1-6 alkyl, C1-4 alkoxy, or C1-4 alkylthio, halo, NO2, di(linear or branched C1-4 alkyl)amino, (un)substituted C6-10 aryl, C7-12 aralkyl optionally having 1-5 substituents on the aryl, OH, linear or branched C1-5 aliphatic acyl; R4 = H, linear or branched C1-6 alkyl; Z = linear or branched C1-6 alkylene; W = HO, linear or branched C1-6 alkyl, C1-4 alkoxy, or C1-4 alkylthio, (un)substituted C6-10 aryl, C6-10 aryloxy, C6-10 arylthio, C7-12 aralkyloxy, C7-12 aralkylthio, or C6-10 aryloxy-linear or branched C1-4 alkyl each optionally having 1-5 substituents on the aryl, 5- to 10-membered mono- or bicyclic heteroaryloxy containing 1-4 heteroatoms selected from O, N, and S, etc.; X = C6-10 aryl optionally having 1-3 substituents, 5- to 10-membered mono- or bicyclic heteroaryl containing 1-4 heteroatoms selected from O, N, and S; Y = single bond, O, S, (un)substituted NH]. Also claimed are blood sugar- and blood lipid-lowering agents, insulin resistance improver, antiinflammatory agents, immunomodulators, aldose reductase inhibitors, 5-lipoxygenase inhibitors, lipid peroxide formation inhibitors, PPAR activators, and anti-osteoporosis agents and therapeutic or prophylactic agents for diabetes, hyperlipemia, obesity, impaired glucose tolerance, insulin resistant non-impaired glucose tolerance, fatty liver, diabetes complications, gestational diabetes mellitus, polycystic ovary syndrome, osteoarthritis, rheumatoid arthritis, allergies, asthma, cancers, autoimmune diseases, pancreatitis, and cataract. Thus, N-deprotection of Et 2-ethoxy-3-[4-(2-phthalimidoethoxy)phenyl]propionate with hydrazine hydrate in MeOH at room temperature for 1.5 h followed by amidation with 4-pyridin-2-ylbenzoic acid using carbonyl diimidazole in CH2Cl2 at room temperature for 1. 5 h followed by saponification with a mixture of 1 N aqueous NaOH and MeOH and acidification gave 3-[4-[2-(4-pyridin-2-ylbenzoylamino)ethoxy]phenyl]propionic acid derivative (II.Na; R = H, R1 = Et) (III). III and (S)-II (R = H, R1 = 4-isopropoxyphenyl) in feed containing 0.01% at .apprx.10 mg drug/kg/day for 3 days lowered blood sugar level by 43 and 73%, resp. A capsule, a tablet, and a granule formulation containing III were prepared

L20 ANSWER 14 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:45114 CAPLUS Full-text
DOCUMENT NUMBER: 126:148282
ORIGINAL REFERENCE NO.: 126:28607a,28610a
TITLE: Flavonol glycosides and phenolics from leaves of Cordia dichotoma
AUTHOR(S): Wang, Yan; Ohtani, Kazuhiro; Kasai, Ryoji; Yamasaki, Kazuo
CORPORATE SOURCE: Institute Pharmaceutical Sciences, Hiroshima University School Medicine, Hiroshima, 734, Japan
SOURCE: Natural Medicines (1996), 50(5), 367
CODEN: NMEDEO; ISSN: 1340-3443

PUBLISHER: Japanese Society of Pharmacognosy
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Fresh leaves of Cordia dichotoma were extracted with MeOH. The concentrated extract was dissolved in water and successively extracted with hexane, EtOAc, and 1-BuOH. Six flavonol glycosides and two phenolic compds. were isolated from the butanol extract by a series of chromatog. Rosamarinic acid was the major constituent of the leaves of the plant, which may be responsible for the anti-inflammatory action of this plant.
TI Flavonol glycosides and phenolics from leaves of Cordia dichotoma
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
SO Natural Medicines (1996), 50(5), 367
CODEN: NMEDEO; ISSN: 1340-3443
IT 153-18-4P, Quercetin-3-O-rutinoside 604-80-8P, Isorhamnetin-3-O-rutinoside 17297-56-2P 17650-84-9P, Kaempferol-3-O-rutinoside 20283-92-5P, Rosmarinic acid 55696-57-6P 55804-74-5P 99353-00-1P, Methyl rosmarinate
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation)
(flavonol glycosides and phenolics from leaves of Cordia dichotoma)

L20 ANSWER 15 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:515023 CAPLUS Full-text
DOCUMENT NUMBER: 125:212112
ORIGINAL REFERENCE NO.: 125:39394h,39395a
TITLE: 3α-Hydroxysteroid dehydrogenase inhibitory actions of flavonoids and phenylpropanoids from Schizonepeta spikes
AUTHOR(S): Matsuta, Muneto; Kanita, Rie; Saito, Yuji; Yamashita, Akira
CORPORATE SOURCE: Kampo Res. Lab., Kanebo Ltd., Osaka, 534, Japan
SOURCE: Natural Medicines (1996), 50(3), 204-211
CODEN: NMEDEO; ISSN: 1340-3443
PUBLISHER: Japanese Society of Pharmacognosy
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
AB Dry spikes of Schizonepeta tenuifolia Briquet (Labiatae) exhibited an inhibitory activity on 3α-hydroxysteroid dehydrogenase. From S. spikes, five flavonoids (hesperidin, hesperetin, apigenin, luteolin and ladanein), five phenylpropanoids (caffeic acid, rosmarinic acid, cinnamic acid, p-coumaric acid and 2,3-di-O-cinnamoyltartaric acid) and eight new caffeic acid derivs. (schizotenuins A-F) were isolated and their structures were elucidated on the basis of NMR, IR, UV, MS and other physicochem. evidences. The inhibitory activities of all of these compds. on 3α-hydroxysteroid dehydrogenase were stronger than that of aspirin. The pharmacol. effect of S. spikes was considered to be mainly due to luteolin, rosmarinic acid, schizotenuins A and C1, because they have strong inhibitory activities on 3α-hydroxysteroid dehydrogenase, and their contents were high.

=> d l20 ibib abs ti hit 16-20

L20 ANSWER 16 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:482641 CAPLUS Full-text
DOCUMENT NUMBER: 121:82641

ORIGINAL REFERENCE NO.: 121:14837a,14840a
TITLE: Competing O-H insertion and β-elimination in rhodium carbenoid reactions; synthesis of 2-alkoxy-3-arylpropanoates
AUTHOR(S): Cox, Geoffrey G.; Haigh, David; Hindley, Richard M.; Miller, David J.; Moody, Christopher J.
CORPORATE SOURCE: Dep. Chem., Loughborough Univ. Tech., Leicestershire, KT18 5XQ, UK
SOURCE: Tetrahedron Letters (1994), 35(19), 3139-42
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 121:82641
GI

/ Structure 128 in file .gra /

AB Rhodium(II) carboxylate catalyzed decomposition of diazo esters 3 (shown as I) and PhCH2C(CO2Et)N2 4 in the presence of alcs. or water results in formation of 2-alkoxy- or 2-hydroxy-3-arylpropanoates, resp., by O-H insertion in competition with cinnamates by elimination; the ratio of insertion to elimination is dramatically affected by the carboxylate ligand on rhodium. Use of methanol-d as the alc. confirms that the alkene does not arise by elimination from the initial alkoxyester product.

TI Competing O-H insertion and β-elimination in rhodium carbenoid reactions; synthesis of 2-alkoxy-3-arylpropanoates

TI Competing O-H insertion and β-elimination in rhodium carbenoid reactions; synthesis of 2-alkoxy-3-arylpropanoates

SO Tetrahedron Letters (1994), 35(19), 3139-42
CODEN: TELEAY; ISSN: 0040-4039

AB Rhodium(II) carboxylate catalyzed decomposition of diazo esters 3 (shown as I) and PhCH2C(CO2Et)N2 4 in the presence of alcs. or water results in formation of 2-alkoxy- or 2-hydroxy-3-arylpropanoates, resp., by O-H insertion in competition with cinnamates by elimination; the ratio of insertion to elimination is dramatically affected by the carboxylate ligand on rhodium. Use of methanol-d as the alc. confirms that the alkene does not arise by elimination from the initial alkoxyester product.

L20 ANSWER 17 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1993:169109 CAPLUS Full-text
DOCUMENT NUMBER: 118:169109
ORIGINAL REFERENCE NO.: 118:29012h,29013a
TITLE: Preparation of (tetrazolylbiphenylmethyl)benzazepinones and related compounds as growth hormone release promoters
INVENTOR(S): Fisher, Michael H.; Wyvratt, Matthew J.; Schoen, William R.; Devita, Robert J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 346 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 9216524	A1	19921001	WO 1992-US2271		19920319	<--
W: BB, BG, BR, LK, MG, MN, MW, PL, RO, RU, SD						
US 5206235	A	19930427	US 1992-839742		19920228	<--
EP 513974	A1	19921119	EP 1992-302143		19920312	<--
EP 513974	B1	19960904				
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE						
AT 142206	T	19960915	AT 1992-302143		19920312	<--
IL 101206	A	19970218	IL 1992-101206		19920312	<--
CA 2063185	A1	19920921	CA 1992-2063185		19920317	<--
AU 9213012	A	19920924	AU 1992-13012		19920319	<--
AU 653992	B2	19941020				
CN 1066070	A	19921111	CN 1992-102954		19920319	<--
CN 1033584	C	19961218				
ZA 9202009	A	19921125	ZA 1992-2009		19920319	<--
JP 06172316	A	19940621	JP 1992-112069		19920319	<--
JP 08000814	B	19960110				
HU 66796	A2	19941228	HU 1992-915		19920319	<--
RO 117326	B1	20020130	RO 1993-1245		19920319	<--
US 5310737	A	19940510	US 1993-12190		19930202	<--
PRIORITY APPLN. INFO.:			US 1991-673695	A	19910320	<--
			US 1992-839742	A	19920228	<--
			WO 1992-US2271	W	19920319	<--

OTHER SOURCE(S): MARPAT 118:169109
GI

/ Structure 129 in file .gra /

AB Title compds. [I; L = (substituted) phenylene; n, w = 0, 1; p = 0-3; q = 0-4; X = CO, O, S, SO, SO2, CH(OH), CH:CH, imino; R1, R2, R7, R8 = H, halo, (perfluoro)alkyl, perfluoroalkoxy, cyano, NO2, (substituted) Ph, acyl(alkyl), etc.; R4, R5 = H, (substituted) Ph, alkyl, alkenyl, alkynyl, alkanoyloxy, alkoxycarbonyl, carboxy, CHO, amino; R4R5 = (CH2)rB(CH2)s; B = CH2, O, imino, S, SO, SO2; r, s = 1-3; R6 = H, alkyl, Ph, phenylalkyl; R9 = H, (substituted) tetrazolyl, acylalkyl, aminoalkyl, carbamoylalkyl, tetrazolylalkyl, tetrazolylphenyl, tetrazolylphenoxy, etc.; A = (CH2)xCR10R11(CH2)y; x, y = 0-3; R10, R11 = H, CF3, (substituted) alkyl, Ph, etc.; R10R11 = (CH2)t; t = 2-6; R10, R11 may be joined to R4 and/or R5], were prepared for promotion of release of growth hormone (no data). Thus, 3-benzyloxycarbonylamino-3-methyl-N-[2,3,4,5-tetrahydro-2-oxo-1H-1- benzazepin-3R-yl]butanamide (preparation from 3-azido-2,3,4,5-tetrahydro-1H-1-benzazepin-2-one given) was stirred 15 min with NaH in DMF; N-triphenylmethyl-5-[2-(4'-bromobiphen-4-yl)]tetrazole (preparation starting from 5-phenyl-2-trityltetrazole and 4-IC6H4Me given) in DMF was added and the mixture was stirred 90 min to give 95% coupling product, which was hydrogenated in MeOH over Pd(OH)2/C for 14 h to give 89% 3-amino-3-methyl-N-[2,3,4,5-tetrahydro-2-oxo-1-[[2'-(1H- tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1H-1-benzazepin-3R- yl]butanamide trifluoroacetate.

L20 ANSWER 18 OF 26 CAPLUS

ACCESSION NUMBER: 1990:459705 CAPLUS Full-text
DOCUMENT NUMBER: 113:59705
ORIGINAL REFERENCE NO.: 113:10119a,10122a
TITLE: Total syntheses of potassium lespedezate and potassium isolespedezate, bioactive substances concerned with circadian rhythm in nyctinastic plants
AUTHOR(S): Shigemori, Hideyuki; Miyoshi, Eiichi; Shizuri, Yoshikazu; Yamamura, Shosuke
CORPORATE SOURCE: Fac. Sci. Technol., Keio Univ., Yokohama, 223, Japan
SOURCE: Tetrahedron Letters (1989), 30(46), 6389-92
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:59705
GI

/ Structure 130 in file .gra /

AB Potassium lespedezate (I) and potassium isolespedezate (II) have been synthesized. The synthetic compds. have exhibited activities indistinguishable from the natural ones on leaf-opening of nyctinastic plants.

L20 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1975:443121 CAPLUS Full-text
DOCUMENT NUMBER: 83:43121
ORIGINAL REFERENCE NO.: 83:6811a,6814a
TITLE: Polyphenolic acids of Lithospermum ruderale (Boraginaceae). I. Isolation and structure determination of lithospermic acid
AUTHOR(S): Kelley, Charles J.; Mahajan, J. R.; Brooks, Lucille C.; Neubert, Leonard A.; Breneman, W. R.; Carmack, Marvin
CORPORATE SOURCE: Dep. Chem., Indiana Univ., Bloomington, IN, USA
SOURCE: Journal of Organic Chemistry (1975), 40(12), 1804-15
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB A structure is proposed for lithospermic acid (I), C27H22O12, the major polyphenolic acid of Lithospermum ruderale and several other plant species of the families, Boraginaceae and Labiatae. Chromatog. on Sephadex of aqueous exts. of the plant yields the di-K salt of I, together with salts of lesser constituents which include (R)-3-(3,4-dihydroxyphenyl)lactic acid, 2-(3,4-dihydroxyphenyl)-3-carboxy-4-(2-carboxy-trans-vinyl)-7- hydroxycoumaran, and rosmarinic acid. Structures were deduced from spectral studies of the salts, the free acids, and also the methylated derivs., produced by the action of CH2N2 on the free acids or Me2SO4 on the salts.

L20 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1970:121115 CAPLUS Full-text
DOCUMENT NUMBER: 72:121115

ORIGINAL REFERENCE NO.: 72:21759a,21762a
TITLE: Fukiic acid isolated from the hydrolysate of a polyphenol in Petasites japonicus
AUTHOR(S): Sakamura, Sadao; Yoshihara, Teruhiko; Toyoda, Katsuhiko
CORPORATE SOURCE: Dep. Agr. Chem., Hokkaido Univ., Sapporo, Japan
SOURCE: Agricultural and Biological Chemistry (1969), 33(12), 1795-7
CODEN: ABCHA6; ISSN: 0002-1369
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A new polyphenol was isolated from leaves, leave stems, and flower stalks of P. japonicus, and named as fukinolic acid (I). Alkaline hydrolysis of I yielded equal moles of caffeic acid and a polyphenol , fukiic acid (II). II was crystallized as its monomethyl ester, 3,4-(HO)2C6H3CH2C(OH)(CO2H)C(OH)CO2Me, m. 188-90°. [α]2D2 40.5° (c 1, water), C12H14O8. II was also crystallized as its dimethyl ester, m. 140°, and the dimethyl ester of the dimethyl ether derivative m., 117-18°. The structure of II was suggested to be 3,4-(HO)2C6H3CH2C(OH)(CO2H)CH(OH)CO2H based on ir and mass spectra.

=> d l20 ibib abs ti hit 21-25

L20 ANSWER 21 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1966:473090 CAPLUS Full-text
DOCUMENT NUMBER: 65:73090
ORIGINAL REFERENCE NO.: 65:13587d-h,13588a
TITLE: Two diastereomeric forms of guaiacylglycerol β-(2-methoxyphenyl) ether and of guaiacylglycerol
AUTHOR(S): Miksche, Gerhard E.; Gratzl, Josef; Fried-Matzka, Maria
CORPORATE SOURCE: Chalmers Tekn. Hoegskol., Goteborg, Swed.
SOURCE: Acta Chemica Scandinavica (1966), 20(4), 1038-43
CODEN: ACHSE7; ISSN: 0904-213X
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.

AB The title compds. have been prepared as model substances to study lignin degradation products. Bromination of 109 g. Et benzylvanilloylacetate in 500 ml. CHCl3 with 17.4 ml. Br in 100 ml. CHCl3 in the presence of .apprx.75 g. (precipitated) CaCO3 gives 80% Et α-bromobenzylvanilloylacetate (I), 75-90° (decomposition), which is converted to 70% Et α-(2-methoxy-phenoxy) benzylvanilloylacetate (II), m. 87-9°, by treating with 60 g. K guaiacolate in 250 ml. HCONMe2 (DMF). Analogously, I with Na dihydroeugenol in DMF gives the 2-methoxy-4-propylphenoxy derivative which crystallizes in 2 modifications, a: m. 779°, b: m. 103-6°. I (5 g.) with 4.2 g. Na vanillate gives 4 g. 2-methoxy-4-formylphenoxy derivative, m. 118-20°. Reduction of 10 g. II in 150 ml. EtOH with H (1 g. Pd/C, 1 atmospheric) yields 75% Et erythro-2-(2-methoxyphenoxy)-3-hydroxy-3-(3-methoxy-4- hydroxyphenyl)propionate (III), m. 137-9° (EtOH-H2O); diacetyl derivative (from Ac2O-C5H5N) m. 106° (EtOH), b0.005 185-90°. Evaporation of the mother liquor gives 10% threo-form of III; diacetyl derivative, m. 98-100° c. (EtOH). Reduction of 6 g. erythro-III in 100 ml. anhydrous tetrahydrofuran (THF) with 3 g. LiAlH4 in 200 ml. THF, 50° c., 6 hrs., gives, on addition of H2O, precipitation with dry-ice, and extraction with AcOEt, 3.8 g. erythro-guaiacylglycerol-β-(2methoxyphenyl) ether (IV), m. 90-2°. This ether can also be obtained by reduction of II with LiAlH4. Analogously, reduction of 2.6 g. threo-III with LiAlH4 yields 93% 1.7 g.

threo-IV, m. 119-20°. Methylation of 2 g. III in 30 ml. 1:1 MeOH-dioxane with CH2N2 in Et2O yields Et erythro-2-(2-methoxyphenoxy)-3-hydroxy-3-(3,4-dimethoxyphenyl)propionate, m. 101-2°. The methylated threo derivative, m. 99-101°, is obtained analogously from threo-III. Methylation of IV gives 75% erythro-3,4-dimethoxyphenylglycerol-β-(2-methoxyphenyl) ether, m. 98-9°. The analogous methylated threo derivative obtained from threo-IV failed to crystallize; diacetate (from Ac2O-C5H5N) m. 96°. Treatment of 1 g. I in 10 ml. DMF with 2 g. anhydrous K acetate 1 hr. at 55° gives on dilution with H2O and extraction with Et2O 0.61 g. Et α-acetoxybenzylvanilloacetate, b0.201 185-8°. Further treatment (402 mg.)in 50 ml. EtOH with H over 0.1 g. Pd/C gives 307 mg. oily mixture of Et threo- and erythro-2-acetoxy-3-hydroxy-3-(3-methoxy-4-hydroxyphenyl)propionate. A crystalline triacetate (from Ac2O-C5H5N) of the erythro form is obtained, m. 110.5-11.5°, b0.007 145-50°, which can be reduced with LiAlH4 to yield the known erythro-guaiacylglycerol (V), m. 83-4° (Adler and Gustafsson, CA 59, 6301g).

L20 ANSWER 22 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1965:438715 CAPLUS Full-text
DOCUMENT NUMBER: 63:38715
ORIGINAL REFERENCE NO.: 63:6873c-g
TITLE: Synthesis of prephenic acid diethyl acetal and its hydrolysis to phenylpyruvic acid and prephenic acid
AUTHOR(S): Plieninger, Hans; Arnold, Lothar; Fischer, Rolf; Hoffmann, Werner
CORPORATE SOURCE: Univ. Heidelberg, Germany
SOURCE: Chemische Berichte (1965), 98(6), 1774-81
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB I and the di-Et acetal (II) of III were prepared The time at which the maximum yield of III can be obtained by the acid hydrolysis of II was calculated from kinetic data and the calcn. confirmed by the experiment; III was formed together with larger amts. of PhCH2COCO2H (IV). Di-Et 2-cyclohexen-4-one-1-carboxylate-1-pyruvate di-Et acetal (35.6 g.), b0.1 155°, n25D 1.4087, in 350 cc. tert-BuOH refluxed 5 hrs. with stirring with 5 cc. AcOH and 11 g. SeO2, treated with an addnl. 11 g. SeO2, and again refluxed 5 hrs., and the product shaken in Et2O 6 hrs. with 10 g. deactivated Raney Ni yielded 25 g. I, b0.1 150°, n20D 1.4840. I (35.6 mg.), 5.0 cc. EtOH, and 4.0 cc. 0.1N NaOH heated 0.5 hr. at 50°, treated with 5 cc. N HCl, and heated 15 min. at 50°, and the mixture cooled and diluted with H2O to 100 cc. gave a solution containing 18 mg. p-HOC6H4CH2COCO2H. I (1.0 g.), 100 mg. Na, and 5 cc. EtOH heated 3 hrs. at 50° gave 0.70 g. p-HOC6H4CH24C(OEt)2CO2Et (IV). I (7.1 g.) in 10 cc. EtOH added dropwise with stirring during 15 min. at 5° to 0.40 g. NaBH4 in 40 cc. EtOH and kept 15 min. at 20° yielded 5.3 g. oily di-Et ester (V) of II, n25D 1.4665, and 1.1 g. IV. V (3.6 g.) in 30 cc. EtOH treated 2 days at room temperature with 1.6 g. NaOH in 20 cc. H2O and evaporated to 15 cc., buffered to pH 8 with N HCl, and diluted with H2O to 25 cc. gave a 0.4M solution; a 0.1-cc. portion and 4 cc. N HCl heated 15 min. at 50° and the mixture adjusted to pH 14 with N NaOH gave a 0.3M II solution; a 6.25-cc. portion stirred with 4.25 g. Ba(OAc)2, centrifuged to remove some solid, diluted with about 200 cc. EtOH, and kept several hrs. yielded 1.3 g. Ba salt; a 0.5-g. portion in 10 cc. H2O hydrogenated over PdBaSO4, filtered, and treated 20 hrs. with 2,4-(O2N)2C6H3NHNH2 in 2N HCl, and the precipitate chromatographed on paper showed the presence of the 2,4-dinitrophenylhydrazones of cis- and trans-tetrahydroprephenic acid (relative to OH and CO2H groups). II (0.3M aqueous solution) (10 cc.) adjusted with N HCl to pH 1.8, kept 10 min. at 20°, neutralized with N NaOH, and treated with

1.0 g. Ba(OAc)2 in 5 cc, H2O gave 0.5 g. Ba salt of a 6:50 mole ratio mixture of III and IV.

L20 ANSWER 23 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1965:30781 CAPLUS Full-text
DOCUMENT NUMBER: 62:30781
ORIGINAL REFERENCE NO.: 62:5491f-g
TITLE: The nonvolatile acids of succulent plants exhibiting a marked diurnal oscillation in their acid content. I. The detection of piscidic acid in Agave americana
AUTHOR(S): Nordal, Arnold; Ogner, Gunnar
CORPORATE SOURCE: Univ. Oslo, Norway
SOURCE: Acta Chemica Scandinavica (1964), 18(8), 1979-83
CODEN: ACHSE7; ISSN: 0904-213X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Piscidic acid (I) was detected in the leaves of A. americana by the following procedure: the acid mixture, isolated over the Pb salts from fresh leaves of the plant, was converted to the corresponding Me and Et esters, and the ester mixts. were fractionated in vacuo. From the individual fractions the hydrazides and benzylidene hydrazides were prepared and examined A crystalline hydrazide (C11H16O5N4; m. 185-187°), corresponding to the hydrazide of I, was isolated from the highest boiling fractions, and from this hydrazide the corresponding benzylidene hydrazide (C25H25O5N4; m. 136-138°) was prepared From the highest boiling fractions of the Me ester mixture, a crystalline ester (C13H16O7; m. 126-127°), corresponding to the Me ester of I, was isolated and from this an acetyl derivative (m. 84°) was prepared The properties of these 4 derivs. and the ir spectra of the ester identified the acid in question as I.
TI The nonvolatile acids of succulent plants exhibiting a marked diurnal oscillation in their acid content. I. The detection of piscidic acid in Agave americana
SO Acta Chemica Scandinavica (1964), 18(8), 1979-83
CODEN: ACHSE7; ISSN: 0904-213X
AB Piscidic acid (I) was detected in the leaves of A. americana by the following procedure: the acid mixture, isolated over the Pb salts from fresh leaves of the plant, was converted to the corresponding Me and Et esters, and the ester mixts. were fractionated in vacuo. From the individual fractions the hydrazides and benzylidene hydrazides were prepared and examined A crystalline hydrazide (C11H16O5N4; m. 185-187°), corresponding to the hydrazide of I, was isolated from the highest boiling fractions, and from this hydrazide the corresponding benzylidene hydrazide (C25H25O5N4; m. 136-138°) was prepared From the highest boiling fractions of the Me ester mixture, a crystalline ester (C13H16O7; m. 126-127°), corresponding to the Me ester of I, was isolated and from this an acetyl derivative (m. 84°) was prepared The properties of these 4 derivs. and the ir spectra of the ester identified the acid in question as I.

L20 ANSWER 24 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1963:435348 CAPLUS Full-text
DOCUMENT NUMBER: 59:35348
ORIGINAL REFERENCE NO.: 59:6301g-h,6302a-d
TITLE: Preparation of the threo- and erythro-forms of DL-guaiacylglycerol and of DL-veratrylglycerol
AUTHOR(S): Adler, Erich; Gustafsson, Bo
CORPORATE SOURCE: Chalmers Tek. Hogskola, Goteborg, Swed.
SOURCE: Acta Chemica Scandinavica (1963), 17, 27-36

CODEN: ACHSE7; ISSN: 0904-213X
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 59:35348

AB cf. CA 48, 5147g. Hydrogenation of 3,4-dimethoxyphenylpropiolic acid in EtOH with Lindlar catalyst 50 min. gave 70% cis-methylferulic acid (I), m. 104°, which with CH_2N_2 yielded 90% Me ester, prisms, m. 92-3°. Reduction of 30 g. Me trans-methylferulate (II) in 200 cc. dioxane with 5.7 g. $LiAlH_4$ in 500 cc. absolute Et_2O, addition of H_2O and dilute H_2SO_4, extraction with $CHCl_3$, and distillation of the residue of the $CHCl_3$ extract gave 70% methylconiferyl alc., b5 110-20°, needles, m. 79-80°; Ac derivative (III) b12 190-5°. Treating 80 mg. III in 3 cc. Et_2O-C_5H_5N (25:1) 16 hrs. with 0.1 g. OsO_4 in 2 cc. Et_2O and hydrolyzing the osmic ester in 2 cc. EtOH with 0.65 g. Na_2SO_3 in 3 cc. H_2O 1 hr. at 100°, evaporating the filtered solution in vacuo, and extracting the residue with $CHCl_3$ gave 85% DL-threoveratrylglycerol (IV), m. 109-10°. Treating II similarly with OsO_4 and acetylating the hydrolyzed Os ester gave 60% DL-threo-α,β-diacetoxymethylhydroferulic acid (V), prisms, m. 148-9°. Esterification of V with CH_2N_2 followed by reduction yielded IV. Refluxing 15 g. Me α-bromo-β-acetoxymethyl-hydroferulate in 65 cc. AcOH and 65 cc. Ac_2O 45 min. with 7 g. AgOAc, treating the filtered solution with H_2O, evaporating the solution in vacuo, extracting the residue with $CHCl_3$, evaporating the washed ($NaHCO_3$) solution, and crystallizing the residue from Et_2O-C_6H_{14} gave 41% Me threo-α,β-diacetoxymethylhydroferulate (VI), m. 102-3°. Concentration of the mother liquor gave 40% erythro isomer (VII), prisms, m. 71-3°. VI was also obtained in 10% yield when 4.44 g. II was oxidized with $KMnO_4$ at -50° according to Riiber (CA 9, 2244). Reduction of VII with $LiAlH_4$ gave DL-erythroveratrylglycerol (VIII), plates, m. 92-3°, λmaximum 278 mμ (log ε 3.52). The infrared spectra of IV and VIII differed distinctly. When Me erythro-diacetoxyacetylhydroferulate was reduced with $LiAlH_4$ (cf. loc. cit.) and the acid step was avoided by neutralizing the reaction mixture with AcOH, 55% DL-erythro-guaiacylglycerol (IX), m. 83-4° was obtained; IX tetraacetate m. 86-8°. IX and CH_2N_2 gave 90% VIII. Treating 0.31 g. IX with 0.1N H_2SO_4 neutralizing the mixture with $BaCO_8$, evaporating the filtered solution in vacuo, and treating the residue with moist EtOAc gave 0.18 g. unchanged IX and, from the mother liquor, 0.05 g. threo-DL-guaiacylglycerol (X); tetraacetate m. 113-14°. Benzyl-coniferyl alc. benzoate (0.515 g.) (Freudenberg and Achtzehn, CA 50, 1661h) was treated in 12 cc. Et_2O-C_5H_5N with 0.35 g. OsO_4 and the precipitate formed was boiled 1 hr. with 2.3 g. Na_2SO_3 in 10 cc. H_2O, giving threo-(O-benzylguaiacyl)glycerol, m. 101°, which when treated in EtOH with prehydrogenated $PdCl_2$-$BaSO_4$, yielded X as a sirup; tetraacetate, 70%, m. 113-14°. X and CH_2N_2 gave 70% IV. Careful fractionation of the mother liquor of the Me erythro-α,β-diacetoxyacetylhydroferulate gave 10% threo isomer, prisms, m. 81-2°, which with $LiAlH_4$ gave 55% X. Treating 0.074 g. trans-methylisoeugenol (XI) with OsO_4 gave 75% DL-threo-methylisoeugenol glycol, m. 90°, which was also obtained in 15% yield when 1.93 g. XI was treated at -50° with 1.96 g. $KMnO_4$. Acetylation of 3.3 g. XI in 3 cc. AcOH with 6.6 g. $Pb(OAc)_4$ and reduction of the α,β-diacetoxyveratrylpropane formed with $LiAlH_4$ gave 2 g. of a product, m. 80-100°, which on fractional crystallization yielded crythro-methylisoeugenol glycol, m. 123°, and the threo isomer, m. 88°.

L20 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1957:5337 CAPLUS Full-text
DOCUMENT NUMBER: 51:5337
ORIGINAL REFERENCE NO.: 51:1084e-i,1085a-d
TITLE: Synthesis and reactions of guaiacylglycerol
AUTHOR(S): Stumpf, Walter; Rumpf, Gunther
CORPORATE SOURCE: Univ. Heidelberg, Germany

SOURCE: Annalen der Chemie, Justus Liebigs (1956), 599, 51-60
CODEN: 9X224Y
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 51:5337

AB 3,4-MeO(PhCH2O)C6H3CHO (138 g.) in 230 cc. AcOMe, after standing overnight with 17.1 g. Na wire and 2 cc. MeOH was triturated carefully with 230 cc. AcOMe, kept another 48 hrs. at room temperature, refluxed 1 hr. with 230 cc. absolute Et2O, and shaken with 740 cc. H2SO4. The organic phase washed with aqueous NaHCO3 and H2O, dried and evaporated gave 95.5% crude and 83% pure 3,4-MeO(PhCH2O)C6H3CH:CHCO2Me (I), m. 98-9° (from MeOH or PrOH). To 7.16 g. I in 24 cc. CHCl2 at 0°-5° were added dropwise (over a 1.5-hr. period) 3.84 g. Br; the mixture after 1 hr. at 0° was evaporated giving the crude di-Br derivative (II) of I (not weighed or analyzed), 22.9 g. of which were added to 15 g. dry AcOK in 150 cc. AcOH and 50 cc. Ac2O, heated 9 hrs. on a steam bath, then boiled 8 hrs., filtered, and concentrated in vacuo to incipient crystallization, treated with H2O and extracted with ET2O; the washed and dried extract evaporated in vacuo gave 19 g. sirup, a small sample of which, triturated with MeOH, gave seed crystals of (III), C22H24O8, m. sharply 112.5-13.5° (after 2 crystns. from MeOH). The main portion of the sirup, inoculated with III, crystallized gradually giving 8.25 g. of what was probably a mixture of isomeric forms of 3,4-MeO(PhCH2O)C6H3CH(OAc)CH(OAc)CO2Me (IV), m. poorly 90-100° (even after repeated crystallization from MeOH). In another experiment in which 169 g. crude II was heated 15 hrs. at 100° with 110 g. AcOK, 800 cc. AcOH, and 400 cc. Ac2O, a red sirup was formed, which, with III, gave 52.45 g. IV, leaflets, m. poorly 89-98°, the mother liquors from which gave I. A series of fully described attempts were made to fractionate IV into its component (racemic) isomers, but although 3 fractions were obtained, m., resp., 85.5-87°, 86-91°, and 88-91°, none of these was homogeneous. IV (m. 89-98°)(12.5 g.) in 50 cc. dry AcOMe was hydrogenated with 0.75 g. 2% pd-BaSO4. After 1.5 hrs. 745 cc. H had been taken up. The filtered, evaporated solution gave 3,4-MeO(HO) C6H3CH(OAc) CH(OAc) CO2Me (V), viscous, uncrystallizable pale yellow sirup. V (8.34 g.) in 100 cc. absolute Et2O was added dropwise to 5.6 g. LiAlH4 in 200 cc. Et2O, and after 5 hrs. at room temperature was refluxed 2 hrs., cooled to 0° in a stream of CO2 and treated dropwise with H2O, shaken with H2O saturated with CO2, the Et2O layer separated and the aqueous phase extracted continuously for 7 days with peroxide-free Et2O in a Perforator, using fresh Et2O after 28 hrs. (when a sirup separated from the Et2O-phase). The various combined Et2O exts. evaporated in vacuo gave 2.7 g. crude resinous guaiacylglycerol (VI), which was boiled briefly with 200 cc. H2O, filtered and reextd. twice with Et2O. The aqueous phase (in which VI is very soluble) was evaporated to dryness in vacuo under N, giving 1.98 g. (36.3%) purified VI, C10H14O5, yellow sirup (after drying 14 hrs. at 34° in vacuo and 2 days at 20° over P2O5). VI is difficultly soluble in Et2O and C6H6 and could not be crystallized IV (m. 87-93°) (21.2 g.) in 750 cc. absolute Et2O was stirred into a mixture of 10 g. LiAlH4 and 200 cc. Et2O, and treated as in the case of V. The resultant aqueous solution was filtered and extracted 40 hrs. with Et2O; the Et2O layer yielded 2.15 g. PhCH2 derivative (VII) of VI, m. 68-74° (from C6H6), m. 99-100.5° (from MeOH by addition of Et2O and petr. ether to incipient cloudiness, or from AcOEt). Even this purified VII may be a mixture of racemic isomers. VI (1.81 g.) and Na2S2O5 in 85 cc. H2O was heated and shaken 19 hrs. at 135° in a sealed tube, then freed from SO2 and extracted 40 hrs. with Et2O giving 0.15 g. impure 4,3-HO(MeO)C6H3CH(SO3H)CH(OH)CH2OH, 81% of which was soluble in cold H2O forming a pale pink Ba salt (VIII) (containing 32.68% C and 21.3% Ba; calculated 34.71 and 19.85%, resp.). Oxidations with NaIO4 were carried out with various phenolic compds. or their derivs., and results are given in terms of moles NaIO4 consumed per mole of compound within a specific time period. No NaIO4 was consumed by veratrole within 17.5 hrs. The consumption of NaIO4 by

PhOH, vanillin, and p-cresol was very slight (0.14-0.33 mole within 21.5-24 hrs.). V, VI, guaiacol, cresol, guaiacylethylcarbinol, VIII, 1,4-C6H4(OH)2, catechol, and pyrogallol all consumed appreciable amts. of NaIO4 within relatively short periods. On oxidation all guaiacyl compds. gave red solns., the color being ascribed to quinoid oxidation products. Oxidation data are discussed at length.

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L16          17 SEA SSS SAM L15

=> s l15 sss full
FULL SEARCH INITIATED 14:46:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    12084 TO ITERATE

100.0% PROCESSED    12084 ITERATIONS                              232 ANSWERS
SEARCH TIME: 00.00.01

L17         232 SEA SSS FUL L15

=> d scan

L17  232 ANSWERS   REGISTRY  COPYRIGHT 2009 ACS on STN
IN   Benzenepropanoic acid, α-ethoxy-4-hydroxy-3-(phenylmethyl)-
MF   C18 H20 O4

=> s l17/prep
          2028 L17
       4706241 PREP/RL
L18         433 L17/PREP
                (L17 (L) PREP/RL)

=> s l18 and (PY<2003 or AY<2003 or PRY<2003)
      22983071 PY<2003
       4502933 AY<2003
       3971676 PRY<2003
L19         151 L18 AND (PY<2003 OR AY<2003 OR PRY<2003)

=> s l19 and 'asymmetric? hydrogenation?'
          76842 'ASYMMETRIC'
             31 'ASYMMETRICS'
          76873 'ASYMMETRIC'
                ('ASYMMETRIC' OR 'ASYMMETRICS')
         147845 'ASYM'
              6 'ASYMS'
         147848 'ASYM'
                ('ASYM' OR 'ASYMS')
         171543 'ASYMMETRIC'
                ('ASYMMETRIC' OR 'ASYM')
         184239 'HYDROGENATION'
           2478 'HYDROGENATIONS'
         184500 'HYDROGENATION'
                ('HYDROGENATION' OR 'HYDROGENATIONS')
           4062 'ASYMMETRIC? HYDROGENATION?'
                ('ASYMMETRIC'(W)'HYDROGENATION')
L20            0 L19 AND 'ASYMMETRIC? HYDROGENATION?'

=> s l19 and 'chiral cataly?'
         129207 'CHIRAL'
```

```
            19 'CHIRALS'
        129212 'CHIRAL'
                 ('CHIRAL' OR 'CHIRALS')
             2 'CATALY'
             0 'CHIRAL CATALY?'
                 ('CHIRAL'(W)'CATALY')
L21          0 L19 AND 'CHIRAL CATALY?'

=> s l19 and 'transition metal'
       1075521 'TRANSITION'
        279137 'TRANSITIONS'
       1194858 'TRANSITION'
                 ('TRANSITION' OR 'TRANSITIONS')
       1895500 'METAL'
        944697 'METALS'
       2295558 'METAL'
                 ('METAL' OR 'METALS')
        199466 'TRANSITION METAL'
                 ('TRANSITION'(W)'METAL')
L22          0 L19 AND 'TRANSITION METAL'

=> s l19 and 'transition metal?'
       1075521 'TRANSITION'
        279137 'TRANSITIONS'
       1194858 'TRANSITION'
                 ('TRANSITION' OR 'TRANSITIONS')
       1895500 'METAL'
        944697 'METALS'
       2295558 'METAL'
                 ('METAL' OR 'METALS')
        199466 'TRANSITION METAL?'
                 ('TRANSITION'(W)'METAL')
L23          0 L19 AND 'TRANSITION METAL?'

=> s l19 and 'chiral ligand?'
        129207 'CHIRAL'
            19 'CHIRALS'
        129212 'CHIRAL'
                 ('CHIRAL' OR 'CHIRALS')
        357937 'LIGAND'
        243988 'LIGANDS'
        486792 'LIGAND'
                 ('LIGAND' OR 'LIGANDS')
          4294 'CHIRAL LIGAND?'
                 ('CHIRAL'(W)'LIGAND')
L24          0 L19 AND 'CHIRAL LIGAND?'

=> s l19 and 'chiral?'
        129207 'CHIRAL'
            19 'CHIRALS'
        129212 'CHIRAL?'
                 ('CHIRAL' OR 'CHIRALS')
L25          4 L19 AND 'CHIRAL?'

=> s l19 and ('chiral?' or 'optical?')
        129207 'CHIRAL'
            19 'CHIRALS'
        129212 'CHIRAL?'
                 ('CHIRAL' OR 'CHIRALS')
       1078969 'OPTICAL'
```

```
            24 'OPTICALS'
       1078978 'OPTICAL?'
                 ('OPTICAL' OR 'OPTICALS')
L26          5 L19 AND ('CHIRAL?' OR 'OPTICAL?')

=> s l19 and ('chiral?' or 'optical?' or 'hydrogenation?')
        129207 'CHIRAL'
            19 'CHIRALS'
        129212 'CHIRAL?'
                 ('CHIRAL' OR 'CHIRALS')
       1078969 'OPTICAL'
            24 'OPTICALS'
       1078978 'OPTICAL?'
                 ('OPTICAL' OR 'OPTICALS')
        184239 'HYDROGENATION'
          2478 'HYDROGENATIONS'
        184500 'HYDROGENATION?'
                 ('HYDROGENATION' OR 'HYDROGENATIONS')
L27          8 L19 AND ('CHIRAL?' OR 'OPTICAL?' OR 'HYDROGENATION?')

=> d l27 ibib abs ti hit 1-8

L27  ANSWER 1 OF 8  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         2008:994060  CAPLUS  Full-text
DOCUMENT NUMBER:          149:306485
TITLE:                    Di-m-Chlorobis[Bis-(cyclooctene)rhodium]
AUTHOR(S):                Judd, Andrew S.
CORPORATE SOURCE:         USA
SOURCE:                   e-EROS Encyclopedia of Reagents for Organic Synthesis
                          (2001), No pp. given.  John Wiley & Sons,
                          Ltd.: Chichester, UK.
                          CODEN: 69KUHI
                          URL: http://www3.interscience.wiley.com/cgi-
                          bin/mrwhome/104554785/HOME
DOCUMENT TYPE:            Conference; General Review; (online computer file)
LANGUAGE:                 English
OTHER SOURCE(S):          CASREACT 149:306485
AB    A review of the article Di-m-Chlorobis[Bis-(cyclooctene)rhodium].
TI    Di-m-Chlorobis[Bis-(cyclooctene)rhodium]
SO    e-EROS Encyclopedia of Reagents for Organic Synthesis (2001), No
      pp. given Publisher: John Wiley & Sons, Ltd., Chichester, UK.
      CODEN: 69KUHI
      URL: http://www3.interscience.wiley.com/cgi-bin/mrwhome/104554785/HOME
ST    review Dim Chlorobis Biscyclooctene rhodium Cycloaddn
      Hydrogenation Bond Activation
IT    583-60-8P   716-79-0P   833-50-1P   932-56-9P   933-17-5P   937-05-3P
      942-92-7P   948-65-2P   1120-72-5P   4255-62-3P   4423-94-3P   4971-18-0P
      19312-06-2P   21862-63-5P 28831-65-4P   29981-98-4P
      65193-45-5P   134856-46-5P   159659-47-9P   159659-48-0P   194787-73-0P
      202808-66-0P   321337-92-2P   336106-30-0P   481697-69-2P   481697-91-0P
      615576-81-3P   851530-46-6P   1035814-95-9P
      RL: SPN (Synthetic preparation); PREP (Preparation)
         (Di-m-Chlorobis[Bis-(cyclooctene)rhodium])

L27  ANSWER 2 OF 8  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         2004:2837  CAPLUS  Full-text
DOCUMENT NUMBER:          140:59411
TITLE:                    Preparation of phenoxyalkanamides as amide linker
                          peroxisome proliferator activated receptor agonists
                          for treating and/or preventing diabetes mellitus and
```

syndrome X

INVENTOR(S): Ferritto Crespo, Rafael; Martin, Jose Alfredo; Martin-Ortega, Finger Maria Dolores; Rojo Garcia, Isabel; Shen, Quanrong; Warshawsky, Alan M.; Xu, Yanping

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 168 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 2004000789	A1	20031231	WO 2003-US16207		20030611	<--
WO 2004000789	A9	20040311				
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW						
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG						
CA 2488972	A1	20031231	CA 2003-2488972		20030611	<--
AU 2003241579	A1	20040106	AU 2003-241579		20030611	<--
EP 1517882	A1	20050330	EP 2003-731326		20030611	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK						
BR 2003011834	A	20050412	BR 2003-11834		20030611	<--
CN 1662487	A	20050831	CN 2003-814173		20030611	<--
JP 2005529975	T	20051006	JP 2004-515700		20030611	<--
US 20060111406	A1	20060525	US 2004-517581		20041208	<--
US 7220880	B2	20070522				
MX 2004PA13000	A	20050912	MX 2004-PA13000		20041217	<--
IN 2004KN01957	A	20061215	IN 2004-KN1957		20041220	<--
PRIORITY APPLN. INFO.:			US 2002-390102P	P	20020619	<--
			WO 2003-US16207	W	20030611	

OTHER SOURCE(S): MARPAT 140:59411

GI

/ Structure 180 in file .gra /

AB The present invention is directed to phenoxyalkanamides (shown as I; variables defined below; e.g. II), compns., and their use as peroxisome proliferator activated receptor agonists for treating and/or preventing diabetes mellitus and syndrome X. The binding and cotransfection efficacy values found for compds. of this invention that are useful for modulating a PPARα receptor are about <100 nM and >50%, resp. Although the methods of preparation are not claimed, .apprx.140 example prepns. of I are included. For example, II was prepared in 3 steps starting from (2S)-2-ethoxy-3-(4-hydroxyphenyl)propionic acid Me ester, (2S)-2-hydroxypropionic acid benzyl ester and involving intermediates (2S)-3-[4-[[(1R)-1-[(benzyloxy)carbonyl]ethyl]oxy]phenyl]-2-ethoxypropionic acid Et ester and (2S)-3-[4-[((1R)-1-carboxyethyl)oxy]phenyl]-2-ethoxypropionic acid. For I: R1 = H, C1-C8 alkyl,

C3-C6 cycloalkyl, aryl-C0-4-alkyl, heteroaryl-C0-4-alkyl, aminoC1-C4alkyl, C3-C6 cycloalkylaryl-C0-2-alkyl, arylheteroC1-C8alkyl, -CHC(O)C1-C4 alkoxy, C0-4-alkyl-C(O)heteroC1-C8alkyl, and -CH2C(O)-R15R16. R2 = C1-C8 alkyl, C3-C6 cycloalkyl, aryl-C0-C4-alkyl, heteroaryl-C0-C4-alkyl, heteroC1-C6cycloalkylaryl, heteroC1-C6cycloalkylarylC1-C4alkyl, aminoC1-C4alkyl, C3-C6 cycloalkylaryl-C0-C2-alkyl, arylheteroC1-C8alkyl, C0-C4-alkyl-C(O)heteroC1-C8alkyl, -CH(C(O)OCH3)benzyl, and -CH2C(O)R15''R16''. R1 and R2 together may form a heterocyclic ring which heterocyclic ring is (un)substituted with 1-3 substituents R1' and which heterocyclic ring is optionally fused with an aryl; E = C(R3)(R4)A, (CH2)nCOOR13, aryl-C0-C4-alkyl, thio-C1-C4-alkyl, thioaryl, arylC1-C4alkoxy, C1-C4alkoxy C1-C4alkyl, aminoaryl, and aminoC1-C4alkyl. R5 and R6 = H, C1-C8 alkyl, aryl-C0-C4-alkyl, heteroaryl-C0-C4-alkyl, C3-C6 cycloalkyl, aryl-C0-C2-alkyl, C3-C6 cycloalkyl-C0-2-alkyl, and -CH2C(O)R17R18.

L27 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:416876 CAPLUS Full-text
DOCUMENT NUMBER: 135:33368
TITLE: Preparation of (S)-2-ethoxy-3-(4-hydroxyphenyl)propanoates by resolution using chiral amines.
INVENTOR(S): Andersson, Kjell; Fischer, Alan Eric; Ioannidis, Panagiotis; Larsson, Magnus; Larsson, Maria; Sivadasan, Sivaprasad
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001040159	A1	20010607	WO 2000-SE2382	20001129 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2392032	A1	20010607	CA 2000-2392032	20001129 <--
CA 2392032	C	20081028		
BR 2000016136	A	20020820	BR 2000-16136	20001129 <--
EP 1237838	A1	20020911	EP 2000-983617	20001129 <--
EP 1237838	B1	20040414		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003515578	T	20030507	JP 2001-541849	20001129 <--
AU 765938	B2	20031002	AU 2001-20349	20001129 <--
AT 264287	T	20040415	AT 2000-983617	20001129 <--
PT 1237838	T	20040831	PT 2000-983617	20001129 <--
ES 2218258	T3	20041116	ES 2000-983617	20001129 <--
CN 1213016	C	20050803	CN 2000-818703	20001129 <--
ZA 2002003705	A	20030811	ZA 2002-3705	20020509 <--

MX 2002PA05321	A	20021206	MX 2002-PA5321		20020529 <--
NO 2002002604	A	20020711	NO 2002-2604		20020531 <--
KR 794091	B1	20080110	KR 2002-707070		20020601 <--
US 20030139474	A1	20030724	US 2002-148818		20021113 <--
US 7002037	B2	20060221			
US 20060069283	A1	20060330	US 2005-282247		20051118 <--
PRIORITY APPLN. INFO.:			SE 1999-4415	A	19991203 <--
			WO 2000-SE2382	W	20001129 <--
			US 2002-148818	A1	20021113 <--

OTHER SOURCE(S): CASREACT 135:33368; MARPAT 135:33368
GI

/ Structure 181 in file .gra /

AB Title compds. (I; R2 = H, protecting group; the aryl ring may be halogenated) (II; Q = H, protecting group) with chiral amines to form the diastereomeric salts, which were separated by crystallization followed by removal of the amine and optional deprotection and protection steps. Thus, 2-ethoxy-3-(4-methoxyphenyl)propionic acid (preparation given) in iPrOAc at 0-5° was treated with (S)-1-(1-naphthyl)ethylamine followed by heating to 75-80°, cooling, and seeding with (S)-2-ethoxy-3-(4-methoxyphenyl)propionic acid (S)-1-(1-naphthyl)ethylamine salt (III) to precipitate crude III, which was recrystd. from iPrOAc to give 74% III. III in PhMe was treated with aqueous NaOH and then with aqueous HCl followed by extraction of the aqueous layer with EtOAc to give an EtOAc solution of (S)-2-ethoxy-3-(4-methoxyphenyl)propionic acid. The EtOAc was replaced with N-methylpyrrolidone and the resulting solution was heated with NaOH and octanethiol at 115-125° to give 52% (S)-2-ethoxy-3-(4-hydroxyphenyl)propionic acid in 99.8% chemical purity and 97.8% enantiomeric excess.

L27 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1998:82175 CAPLUS Full-text
DOCUMENT NUMBER:	128:167302
ORIGINAL REFERENCE NO.:	128:32973a,32976a
TITLE:	A non-enzymic synthesis of (S)-(-)-rosmarinic acid and a study of a biomimetic route to (+)-rabdosiin
AUTHOR(S):	Bogucki, David E.; Charlton, James L.
CORPORATE SOURCE:	Dep. Chem., Univ. Manitoba, Winnipeg, MB, R3T 2N2, Can.
SOURCE:	Canadian Journal of Chemistry (1997), 75(12), 1783-1794 CODEN: CJCHAG; ISSN: 0008-4042
PUBLISHER:	National Research Council of Canada
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 128:167302

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The synthesis of (S)-(-)-rosmarinic acid (I; R = R1 = H) in 9% overall yield is described. The synthesis was achieved by a convergent route in which 3-(3',4'-dihydroxyphenyl)-(S)-lactic acid and caffeic acid, (E)-3,4-(HO)2C6H3CH:CHCO2H, both appropriately protected, were coupled to produce a pentaallyl precursor I (R = R1 = CH2CH:CH2) , which was then deprotected to give (S)-(-)-rosmarinic acid (I; R = R1 = H). A triallyl derivative I (R = H, R1 = CH2CH:CH2) was similarly prepared and converted to (+)-rabdosiin (II) and its (1R,2S) isomer via a biomimetic oxidative free radical coupling-cyclization followed by deallylation. The coupling-cyclization gave a ratio of rabdosiin diastereomers unlike that found in nature. A preliminary study showed that Me (R)-mandelyl sinapate (III) could be dimerized diastereoselectively to give a 1,2-trans thomasidioate diester (IV).

L27 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:436105 CAPLUS Full-text
DOCUMENT NUMBER: 125:109268
ORIGINAL REFERENCE NO.: 125:20363a,20366a
TITLE: Separation of rosmarinic acid enantiomers by three different chromatographic methods (HPLC, CE, GC) and the determination of rosmarinic acid in Hedera helix L
AUTHOR(S): Trute, Andreas; Nahrstedt, Adolf
CORPORATE SOURCE: Inst. Pharm. Biol. Phytochem., Wilhelms-Univ., Muenster, D-48149, Germany
SOURCE: Phytochemical Analysis (1996), 7(4), 204-208
CODEN: PHANEL; ISSN: 0958-0344
PUBLISHER: Wiley
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Three methods with HPLC, capillary electrophoresis (CE), and gas chromatog. (GC) were developed for the separation of enantiomers of rosmarinic acid (RA). Chiral resolution of underivatized RA was achieved on a chiral AGP HPLC column and by micellar electrokinetic capillary chromatog. Alternatively, after derivatization, the resulting diastereomeric 3,4-dihydroxyphenyllactic acid(-)-menthyl esters were separated by non-chiral GC. These methods allow reliable and rapid determination of the enantiomeric ratio of RA samples. RA obtained from Hedera helix L. (Araliaceae) was determined to be (R)-(+)-RA. In contrast to published data, the optical rotation value of rosmarinic acid was + 106°.
TI Separation of rosmarinic acid enantiomers by three different chromatographic methods (HPLC, CE, GC) and the determination of rosmarinic acid in Hedera helix L
SO Phytochemical Analysis (1996), 7(4), 204-208
CODEN: PHANEL; ISSN: 0958-0344
AB Three methods with HPLC, capillary electrophoresis (CE), and gas chromatog. (GC) were developed for the separation of enantiomers of rosmarinic acid (RA). Chiral resolution of underivatized RA was achieved on a chiral AGP HPLC column and by micellar electrokinetic capillary chromatog. Alternatively, after derivatization, the resulting diastereomeric 3,4-dihydroxyphenyllactic acid(-)-menthyl esters were separated by non-chiral GC. These methods allow reliable and rapid determination of the enantiomeric ratio of RA samples. RA obtained from Hedera helix L. (Araliaceae) was determined to be (R)-(+)-RA. In contrast to published data, the optical rotation value of rosmarinic acid was + 106°.

L27 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1991:490598 CAPLUS Full-text

DOCUMENT NUMBER: 115:90598
ORIGINAL REFERENCE NO.: 115:15571a,15574a
TITLE: Chemo-enzymic synthesis of rosmarinic acid
AUTHOR(S): Pabsch, K.; Petersen, M.; Rao, N. N.; Alfermann, A. W.; Wandrey, C.
CORPORATE SOURCE: Inst. Biotechnol., Res. Cent. Juelich, Juelich, D-5170, Germany
SOURCE: Recueil des Travaux Chimiques des Pays-Bas (1991), 110(05), 199-205
CODEN: RTCPA3; ISSN: 0165-0513
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

/ Structure 182 in file .gra /

AB (R)-(+)-3-(3,4-Dihydroxyphenyl)lactic acid (I) was produced in an enzyme membrane reactor with an optical purity >99%. Complete reactor modeling of the process was done and the theor. evaluated data was verified by a continuous experiment with volumetric yields of >1000 g/L-day. For the synthesis of CoA-activated caffeic acid, a new method was found to prepare the ester via the caffeic acid imidazolide. In a batch experiment, enzymically prepared I and chemical synthesized CoA caffeic ester were converted to rosmarinic acid (II) by an enzyme isolated from Coleus blumei cell cultures.

L27 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1988:492624 CAPLUS Full-text
DOCUMENT NUMBER: 109:92624
ORIGINAL REFERENCE NO.: 109:15441a,15444a
TITLE: Stereostructure of salvianolic acid B and isolation of salvianolic acid C from Salvia miltiorrhiza
AUTHOR(S): Ai, Chunbo; Li, Lianniang
CORPORATE SOURCE: Inst. Mater. Med., Chin. Acad. Med. Sci., Beijing, Peop. Rep. China
SOURCE: Journal of Natural Products (1988), 51(1), 145-9
CODEN: JNPRDF; ISSN: 0163-3864
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

/ Structure 183 in file .gra /

AB The depside salvianolic acid B (I), isolated from roots of S. miltiorrhiza was assigned a 2R,3R configuration and a 2β-pseudoequatorial aryl, 3α-pseudoaxial carboxyl conformation based on chemical degradation and spectral anal. Salvianolic acid C (II) was isolated from S. miltiorrhiza roots and the structure determined The fact that salvinolic acid A was converted to II in a TLC plate impregnated with 2% formic acid suggests II to be the cyclization product of the former.

L27 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1975:443121 CAPLUS Full-text
DOCUMENT NUMBER: 83:43121
ORIGINAL REFERENCE NO.: 83:6811a,6814a
TITLE: Polyphenolic acids of Lithospermum ruderale (Boraginaceae). I. Isolation and structure determination of lithospermic acid
AUTHOR(S): Kelley, Charles J.; Mahajan, J. R.; Brooks, Lucille C.; Neubert, Leonard A.; Breneman, W. R.; Carmack, Marvin
CORPORATE SOURCE: Dep. Chem., Indiana Univ., Bloomington, IN, USA
SOURCE: Journal of Organic Chemistry (1975), 40(12), 1804-15
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB A structure is proposed for lithospermic acid (I), C27H22O12, the major polyphenolic acid of Lithospermum ruderale and several other plant species of the families, Boraginaceae and Labiatae. Chromatog. on Sephadex of aqueous exts. of the plant yields the di-K salt of I, together with salts of lesser constituents which include (R)-3-(3,4-dihydroxyphenyl)lactic acid, 2-(3,4-dihydroxyphenyl)-3-carboxy-4-(2-carboxy-trans-vinyl)-7- hydroxycoumaran, and rosmarinic acid. Structures were deduced from spectral studies of the salts, the free acids, and also the methylated derivs., produced by the action of CH2N2 on the free acids or Me2SO4 on the salts.

```
=> s l17 and 'asymmetric hydrogenation'
          2028 L17
         76842 'ASYMMETRIC'
            31 'ASYMMETRICS'
         76873 'ASYMMETRIC'
                ('ASYMMETRIC' OR 'ASYMMETRICS')
        147845 'ASYM'
             6 'ASYMS'
        147848 'ASYM'
                ('ASYM' OR 'ASYMS')
        171543 'ASYMMETRIC'
                ('ASYMMETRIC' OR 'ASYM')
        184239 'HYDROGENATION'
          2478 'HYDROGENATIONS'
        184500 'HYDROGENATION'
                ('HYDROGENATION' OR 'HYDROGENATIONS')
          4062 'ASYMMETRIC HYDROGENATION'
                ('ASYMMETRIC'(W)'HYDROGENATION')
L28          2 L17 AND 'ASYMMETRIC HYDROGENATION'

=> d l28 ibib abs 1-2

L28 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:696717 CAPLUS Full-text
DOCUMENT NUMBER: 147:95305
TITLE: Process for the preparation of enantiomer-enriched 2-alkoxy-3-arylpropionic acids by asymmetric hydrogenation of substituted 2-alkoxycinnamic acids
```

INVENTOR(S): Woltering, Michael; Bunlaksananusorn, Tanasri; Gerlach, Arne
PATENT ASSIGNEE(S): Saltigo G.m.b.H., Germany
SOURCE: Eur. Pat. Appl., 16pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1801093	A1	20070627	EP 2006-25546	20061211
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
DE 102005061472	A1	20070705	DE 2005-102005061472	20051222
US 20070149804	A1	20070628	US 2006-635302	20061207
US 7429676	B2	20080930		
CN 1986516	A	20070627	CN 2006-10168677	20061222

PRIORITY APPLN. INFO.: DE 2005-102005061472A 20051222
OTHER SOURCE(S): CASREACT 147:95305; MARPAT 147:95305

AB Chiral 2-alkoxy-3-arylpropanoic acids R2nC6H5-nCH2CH(OR1)CO2H or their alkali metal salts [1; R1 = (un)substituted C1-18 alkyl, C4-24 aryl, C5-18 arylalkyl; R2 = OH, halo, (alkyl)amino, C1-18 alkyl(oxy), C4-24 aryl, C5-18 arylalkyl, C1-18 alkylsulfonyl(amino), acyl(amino), acyloxy, preferably R2 = OH; n = 1-5, preferably n = 1], useful as peroxisome proliferator activated receptors (PPAR) agonists, were prepared by an improved process comprising transition metal-catalyzed asym. hydrogenation of the corresponding cinnamic acids R2nC6H5-nCH:C(OR1)CO2H (2; same R, n) in the presence of at least one protic solvent. Compds. 2 were preferably prepared by Perkin condensation of benzaldehydes R2nC6H5-nCHO (3; same R2, n) with 2-alkoxyacetates R1OCH2CO2R3 (4; same R1; R3 = H, C1-18 alkyl, preferably C1-6 alkyl). In an example, sodium 4-hydroxy-α-methoxybenzenepropanoate (αS)-4-HOC6H4CH2CH(OMe)CO2Na was prepared in 53% yield and 92% ee by asym. hydrogenation of 200.0 mmol of (2Z)-4-HOC6H4CH:C(OMe)CO2H catalyzed by 0.5 mmol of [Ir(COD)Cl]2 and 1.0 mmol of (S,S)-2,4-bis(diphenylphosphino)pentane in 240 mL of iso-Pr acetate and 60 mL of MeOH for 24 h at 65° and 3 atm of H2.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:490344 CAPLUS Full-text
DOCUMENT NUMBER: 143:43684
TITLE: Process for preparation of optically active 3-(4-hydroxyphenyl)propionic acids by reaction of protected 4-hydroxybenzaldehydes and glycolic acid derivatives to give cinnamates and asymmetric hydrogenation of the latter.
INVENTOR(S): Yokozawa, Tohru; Shimizu, Hideo; Fujiwara, Takahiro; Ino, Yasunori
PATENT ASSIGNEE(S): Takasago International Corporation, Japan
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

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     WO 2005051882        A1    20050609    WO 2004-JP17998        20041126
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,
             SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
     EP 1687250           A1    20060809    EP 2004-819490         20041126
         R:  CH, DE, ES, FR, GB, LI, IE
     JP 2007512222        T     20070517    JP 2006-520429         20041126
     US 20070142472       A1    20070621    US 2006-578744         20060510
PRIORITY APPLN. INFO.:                      JP 2003-398201      A  20031127
                                            WO 2004-JP17998     W  20041126
OTHER SOURCE(S):         CASREACT 143:43684; MARPAT 143:43684
GI


/ Structure 184 in file .gra /


AB    Title compds. (I; R2 = alkyl; R5-R8 = H, substituent) and salts thereof were
      prepared by reaction of benzaldehydes (II; R1 = protective group; R5-R8 as
      defined above) with R2OCH2CO2R3 (R3 = hydrocarbyl; R2 as defined above),
      hydrolysis of the resulting cinnamate esters to give cinnamic acids, asym.
      hydrogenation, and O-deprotection.  Thus, a mixture of 4-
      benzyloxybenzaldehyde, Me methoxyacetate, and NaOMe was refluxed 5 h in MeOH
      to give 80% Me 3-(4-benzyloxyphenyl)-2-methoxyacrylate.  This was refluxed 2 h
      with 1N NaOH in MeOH to give 85% 3-(4-benzyloxyphenyl)-2-methoxyacrylic acid
      Na salt.  The latter was hydrogenated in MeOH over [Ru(p-cymene)[(S)-dm-
      segphos]]Cl in MeOH at 5 MPa and 60° for 16 h to give Na 3-(4-hydroxyphenyl)-
      2-methoxypropionate in 20% yield and 92.9% enantiomeric excess.
REFERENCE COUNT:         4     THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT


=> s l17 and 'chiral catalyst'
          2028 L17
        129207 'CHIRAL'
            19 'CHIRALS'
        129212 'CHIRAL'
                 ('CHIRAL' OR 'CHIRALS')
        827573 'CATALYST'
        823685 'CATALYSTS'
       1060345 'CATALYST'
                 ('CATALYST' OR 'CATALYSTS')
          2138 'CHIRAL CATALYST'
                 ('CHIRAL'(W)'CATALYST')
L29          0 L17 AND 'CHIRAL CATALYST'

=> s l17 and 'asymmetric react?'
          2028 L17
         76842 'ASYMMETRIC'
            31 'ASYMMETRICS'
         76873 'ASYMMETRIC'
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                ('ASYMMETRIC' OR 'ASYMMETRICS')
        147845 'ASYM'
             6 'ASYMS'
        147848 'ASYM'
                ('ASYM' OR 'ASYMS')
        171543 'ASYMMETRIC'
                ('ASYMMETRIC' OR 'ASYM')
        160456 'REACT'
        102018 'REACTS'
        249419 'REACT'
                ('REACT' OR 'REACTS')
             0 'ASYMMETRIC REACT?'
                ('ASYMMETRIC'(W)'REACT')
L30          0 L17 AND 'ASYMMETRIC REACT?'

=> s l17 and 'asymmetric?'
          2028 L17
         76842 'ASYMMETRIC'
            31 'ASYMMETRICS'
         76873 'ASYMMETRIC'
                ('ASYMMETRIC' OR 'ASYMMETRICS')
        147845 'ASYM'
             6 'ASYMS'
        147848 'ASYM'
                ('ASYM' OR 'ASYMS')
        171543 'ASYMMETRIC?'
                ('ASYMMETRIC' OR 'ASYM')
L31         10 L17 AND 'ASYMMETRIC?'

L31  ANSWER 1 OF 10  CAPLUS  COPYRIGHT 2009 ACS on STN
AN   2007:1187668  CAPLUS  Full-text
DN   148:54698
TI   Asymmetric intramolecular alkylation of chiral aromatic imines
     via catalytic C-H bond activation
AU   Watzke, Anja; Wilson, Rebecca M.; O'Malley, Steven J.; Bergman, Robert G.;
     Ellman, Jonathan A.
CS   Department of Chemistry and Division of Chemical Sciences, Lawrence
     Berkeley National Laboratory, University of California, Berkeley, CA,
     94720, USA
SO   Synlett (2007), (15), 2383-2389
     CODEN: SYNLES; ISSN: 0936-5214
PB   Georg Thieme Verlag
DT   Journal
LA   English
OS   CASREACT 148:54698
RE.CNT  19    THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31  ANSWER 2 OF 10  CAPLUS  COPYRIGHT 2009 ACS on STN
AN   2007:696717  CAPLUS  Full-text
DN   147:95305
TI   Process for the preparation of enantiomer-enriched
     2-alkoxy-3-arylpropionic acids by asymmetric hydrogenation of
     substituted 2-alkoxycinnamic acids
IN   Woltering, Michael; Bunlaksananusorn, Tanasri; Gerlach, Arne
PA   Saltigo G.m.b.H., Germany
SO   Eur. Pat. Appl., 16pp.
     CODEN: EPXXDW
DT   Patent
LA   German
```

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1801093	A1	20070627	EP 2006-25546	20061211
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
	DE 102005061472	A1	20070705	DE 2005-102005061472	20051222
	US 20070149804	A1	20070628	US 2006-635302	20061207
	US 7429676	B2	20080930		
	CN 1986516	A	20070627	CN 2006-10168677	20061222
PRAI	DE 2005-102005061472	A	20051222		

OS CASREACT 147:95305; MARPAT 147:95305
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2006:1173484 CAPLUS Full-text
DN 145:489283
TI N-Acylpiperidines and related compounds as CGRP-antagonists, methods for preparing them, pharmaceutical compositions and their use as pharmaceutical compositions
IN Mueller, Stephan Georg; Rudolf, Klaus; Lustenberger, Philipp; Stenkamp, Dirk; Santagostino, Marco; Paleari, Fabio; Schaenzle, Gerhard; Arndt, Kirsten; Doods, Henri
PA Boehringer Ingelheim International GmbH, Germany
SO U.S. Pat. Appl. Publ., 156pp.
CODEN: USXXCO
DT Patent
LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20060252931	A1	20061109	US 2006-277177	20060322
	WO 2005092880	A1	20051006	WO 2005-EP3094	20050323
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	WO 2005103037	A2	20051103	WO 2005-EP4104	20050418
	WO 2005103037	A3	20060112		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

EP 1770091 A1 20070404 EP 2005-21283 20050929
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU
PRAI AR 2005-101139 A 20050323
WO 2005-EP3094 A 20050323
WO 2005-EP4104 A 20050418
EP 2005-21283 A 20050929
DE 2004-102004015723 A 20040329
DE 2004-102004019492 A 20040422
OS MARPAT 145:489283

L31 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:973972 CAPLUS Full-text
DN 143:422193
TI Total Synthesis of (+)-Lithospermic Acid by Asymmetric Intramolecular Alkylation via Catalytic C-H Bond Activation
AU O'Malley, Steven J.; Tan, Kian L.; Watzke, Anja; Bergman, Robert G.; Ellman, Jonathan A.
CS Department of Chemistry, University of California, Berkeley, CA, 94720, USA
SO Journal of the American Chemical Society (2005), 127(39), 13496-13497
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
OS CASREACT 143:422193
RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:490344 CAPLUS Full-text
DN 143:43684
TI Process for preparation of optically active 3-(4-hydroxyphenyl)propionic acids by reaction of protected 4-hydroxybenzaldehydes and glycolic acid derivatives to give cinnamates and asymmetric hydrogenation of the latter.
IN Yokozawa, Tohru; Shimizu, Hideo; Fujiwara, Takahiro; Ino, Yasunori
PA Takasago International Corporation, Japan
SO PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005051882	A1	20050609	WO 2004-JP17998	20041126
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1687250	A1	20060809	EP 2004-819490	20041126
R: CH, DE, ES, FR, GB, LI, IE				

JP 2007512222 T 20070517 JP 2006-520429 20041126
US 20070142472 A1 20070621 US 2006-578744 20060510
PRAI JP 2003-398201 A 20031127
WO 2004-JP17998 W 20041126
OS CASREACT 143:43684; MARPAT 143:43684
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2003:746329 CAPLUS Full-text
DN 139:395734
TI Design and synthesis of small chemical inhibitors containing different scaffolds for lck SH2 domain
AU Park, See-Hyoung; Kang, Sun-Hee; Lim, Sang-Hyeong; Oh, Hyun-Sik; Lee, Keun-Hyeung
CS Signal Transduction Laboratory, Mogam Biotechnology Research Institute, Koosung-Myun, Yongin-City, Kyunggi-Do, 449-910, S. Korea
SO Bioorganic & Medicinal Chemistry Letters (2003), 13(20), 3455-3459
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
OS CASREACT 139:395734
RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2003:262905 CAPLUS Full-text
DN 139:149390
TI Asymmetric rhodium carbene insertion into the Si-H bond: identification of new dirhodium(II) carboxylate catalysts using parallel synthesis techniques
AU Buck, Richard T.; Coe, Diane M.; Drysdale, Martin J.; Ferris, Leigh; Haigh, David; Moody, Christopher J.; Pearson, Neil D.; Sanghera, J. Bobby
CS Department of Chemistry, Loughborough University, Loughborough, LE11 3TU, UK
SO Tetrahedron: Asymmetry (2003), 14(7), 791-816
CODEN: TASYE3; ISSN: 0957-4166
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 139:149390
RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN _
AN 2003:155400 CAPLUS Full-text
DN 138:338116
TI Synthesis and Biological and Structural Characterization of the Dual-Acting Peroxisome Proliferator-Activated Receptor α/γ Agonist Ragaglitazar
AU Ebdrup, Soren; Pettersson, Ingrid; Rasmussen, Hanne B.; Deussen, Heinz-Josef; Jensen, Anette Frost; Mortensen, Steen B.; Fleckner, Jan; Pridal, Lone; Nygaard, Lars; Sauerberg, Per
CS Novo Nordisk Park, Novo Nordisk A/S, Maalov, 2760, Den.
SO Journal of Medicinal Chemistry (2003), 46(8), 1306-1317
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English

OS CASREACT 138:338116
RE.CNT 72 THERE ARE 72 CITED REFERENCES AVAILABLE FOR THIS RECORD
            ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1998:82175 CAPLUS Full-text
DN 128:167302
OREF 128:32973a,32976a
TI A non-enzymic synthesis of (S)-(-)-rosmarinic acid and a study of a
    biomimetic route to (+)-rabdosiin
AU Bogucki, David E.; Charlton, James L.
CS Dep. Chem., Univ. Manitoba, Winnipeg, MB, R3T 2N2, Can.
SO Canadian Journal of Chemistry (1997), 75(12), 1783-1794
    CODEN: CJCHAG; ISSN: 0008-4042
PB National Research Council of Canada
DT Journal
LA English
OS CASREACT 128:167302
RE.CNT 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD
            ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1989:92028 CAPLUS Full-text
DN 110:92028
OREF 110:15153a,15156a
TI Rabdosiin, a new rosmarinic acid dimer with a lignan skeleton, from
    Rabdosia japonica
AU Agata, Isao; Hatano, Tsutomu; Nishibe, Sansei; Okuda, Takuo
CS Fac. Pharm. Sci., Higashi Nippon Gakuen Univ., Hokkaido, 061-02, Japan
SO Chemical & Pharmaceutical Bulletin (1988), 36(8), 3223-5
    CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
OS CASREACT 110:92028

    FILE 'REGISTRY' ENTERED AT 14:32:43 ON 16 JAN 2009
L1   STRUCTURE UPLOADED
              D L1
L2   17 SEA FILE=REGISTRY SSS SAM L1
L3   232 SEA FILE=REGISTRY SSS FUL L1

    FILE 'CAPLUS' ENTERED AT 14:34:36 ON 16 JAN 2009
L4   433 SEA FILE=CAPLUS SPE=ON ABB=ON PLU=ON L3/PREP
L5   151 SEA FILE=CAPLUS SPE=ON ABB=ON PLU=ON L4 AND (PY<2003 OR
              AY<2003 OR PRY<2003)
L6   0 SEA FILE=CAPLUS SPE=ON ABB=ON PLU=ON L5 AND 'ASYMMETRIC?
              HYDROGENATION?'
L7   0 SEA FILE=CAPLUS SPE=ON ABB=ON PLU=ON L5 AND 'ASYMMETRIC?
              CATALY?'
L8   3 SEA FILE=CAPLUS SPE=ON ABB=ON PLU=ON L5 AND HYDROGENATION?
              D L8 1-3

    FILE 'REGISTRY' ENTERED AT 14:36:53 ON 16 JAN 2009
L9   STRUCTURE UPLOADED
              D L9
L10   232 SEA FILE=REGISTRY SSS FUL L9

    FILE 'REGISTRY' ENTERED AT 14:38:28 ON 16 JAN 2009
L11   STRUCTURE UPLOADED

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                D L11
L12           4 SEA FILE=REGISTRY SSS SAM L11
L13          17 SEA FILE=REGISTRY SSS FUL L11

     FILE 'CAPLUS' ENTERED AT 14:39:19 ON 16 JAN 2009
L14           9 SEA FILE=CAPLUS SPE=ON  ABB=ON  PLU=ON  L13/PREP
                D L14 IBIB ABS TI HIT 1-9

     FILE 'REGISTRY' ENTERED AT 14:46:19 ON 16 JAN 2009
L15             STRUCTURE UPLOADED
                D L15
L16          17 SEA FILE=REGISTRY SSS SAM L15
L17         232 SEA FILE=REGISTRY SSS FUL L15

     FILE 'CAPLUS' ENTERED AT 14:47:17 ON 16 JAN 2009
L18         433 SEA FILE=CAPLUS SPE=ON  ABB=ON  PLU=ON  L17/PREP
L19         151 SEA FILE=CAPLUS SPE=ON  ABB=ON  PLU=ON  L18 AND (PY<2003 OR
                AY<2003 OR PRY<2003)
L20           0 SEA FILE=CAPLUS SPE=ON  ABB=ON  PLU=ON  L19 AND 'ASYMMETRIC?
                HYDROGENATION?'
L21           0 SEA FILE=CAPLUS SPE=ON  ABB=ON  PLU=ON  L19 AND 'CHIRAL
                CATALY?'
L22           0 SEA FILE=CAPLUS SPE=ON  ABB=ON  PLU=ON  L19 AND 'TRANSITION
                METAL'
L23           0 SEA FILE=CAPLUS SPE=ON  ABB=ON  PLU=ON  L19 AND 'TRANSITION
                METAL?'
L24           0 SEA FILE=CAPLUS SPE=ON  ABB=ON  PLU=ON  L19 AND 'CHIRAL
                LIGAND?'
L25           4 SEA FILE=CAPLUS SPE=ON  ABB=ON  PLU=ON  L19 AND 'CHIRAL?'
L26           5 SEA FILE=CAPLUS SPE=ON  ABB=ON  PLU=ON  L19 AND ('CHIRAL?' OR
                'OPTICAL?')
L27           8 SEA FILE=CAPLUS SPE=ON  ABB=ON  PLU=ON  L19 AND ('CHIRAL?' OR
                'OPTICAL?' OR 'HYDROGENATION?')
                D L27 IBIB ABS TI HIT 1-8
L28           2 SEA FILE=CAPLUS SPE=ON  ABB=ON  PLU=ON  L17 AND 'ASYMMETRIC
                HYDROGENATION'
                D L28 IBIB ABS 1-2
L29           0 SEA FILE=CAPLUS SPE=ON  ABB=ON  PLU=ON  L17 AND 'CHIRAL
                CATALYST'
L30           0 SEA FILE=CAPLUS SPE=ON  ABB=ON  PLU=ON  L17 AND 'ASYMMETRIC
                REACT?'
L31          10 SEA FILE=CAPLUS SPE=ON  ABB=ON  PLU=ON  L17 AND 'ASYMMETRIC?'
                D L 31 IBIB ABS 1-10
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